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| | | | |
|---|---|---|---|
| NEWS | 1 | | Web Page URLs for STN Seminar Schedule - N. America |
| NEWS | 2 | | "Ask CAS" for self-help around the clock |
| NEWS | 3 | JAN 27 | Source of Registration (SR) information in REGISTRY updated and searchable |
| NEWS | 4 | JAN 27 | A new search aid, the Company Name Thesaurus, available in CA/CAplus |
| NEWS | 5 | FEB 05 | German (DE) application and patent publication number format changes |
| NEWS | 6 | MAR 03 | MEDLINE and LMEDLINE reloaded |
| NEWS | 7 | MAR 03 | MEDLINE file segment of TOXCENTER reloaded |
| NEWS | 8 | MAR 03 | FRANCEPAT now available on STN |
| NEWS | 9 | MAR 29 | Pharmaceutical Substances (PS) now available on STN |
| NEWS | 10 | MAR 29 | WPIFV now available on STN |
| NEWS | 11 | MAR 29 | No connect hour charges in WPIFV until May 1, 2004 |
| NEWS | 12 | MAR 29 | New monthly current-awareness alert (SDI) frequency in RAPRA |
| NEWS | 13 | APR 26 | PROMT: New display field available |
| NEWS | 14 | APR 26 | FIPAT/IFIUDB/IFICDB: New super search and display field available |
| NEWS | 15 | APR 26 | LITALERT now available on STN |
| NEWS | 16 | APR 27 | NLDB: New search and display fields available |

| | |
|---|---|
| NEWS EXPRESS | MARCH 31 CURRENT WINDOWS VERSION IS V7.00A, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 13 APRIL 2004 |
| NEWS HOURS | STN Operating Hours Plus Help Desk Availability |
| NEWS INTER | General Internet Information |
| NEWS LOGIN | Welcome Banner and News Items |
| NEWS PHONE | Direct Dial and Telecommunication Network Access to STN |
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* * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 16:55:59 ON 28 APR 2004

=> file reg
COST IN U.S. DOLLARS SINCE FILE TOTAL

| | ENTRY | SESSION |
|---|---|---|
| FULL ESTIMATED COST | 0.21 | 0.21 |

FILE 'REGISTRY' ENTERED AT 16:56:11 ON 28 APR 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.


Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES: 27 APR 2004 HIGHEST RN 677274-15-6
DICTIONARY FILE UPDATES: 27 APR 2004 HIGHEST RN 677274-15-6

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

Please note that search-term pricing does apply when
conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more
information enter HELP PROP at an arrow prompt in the file or refer
to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

=>
Uploading c:\program files\stnexp\queries\10725212.1

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR
*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

Structure attributes must be viewed using STN Express query preparation.

=> s l1 sss full
FULL SEARCH INITIATED 16:56:35 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 7316 TO ITERATE

100.0% PROCESSED 7316 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.01

L2 0 SEA SSS FUL L1

=> file marpat

| COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION |
|---|---|---|
| FULL ESTIMATED COST | 155.42 | 155.63 |

FILE 'MARPAT' ENTERED AT 16:56:42 ON 28 APR 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE CONTENT: 1988-PRESENT (VOL 140 ISS 17)(20040423/ED)

MOST RECENT CITATIONS FOR PATENTS FROM FIVE MAJOR ISSUING AGENCIES
(COVERAGE TO THESE DATES IS NOT COMPLETE):

US 6709645 23 MAR 2004
DE 10335606 11 MAR 2004
EP 1403278 31 MAR 2004
JP 2004099560 02 APR 2004
WO 2004024934 25 MAR 2004

Structure search limits have been raised. See HELP SLIMIT for the new, higher limits.

=> s l1 sss full
FULL SEARCH INITIATED 16:56:49 FILE 'MARPAT'
FULL SCREEN SEARCH COMPLETED - 62152 TO ITERATE

| | | | | | | | |
|---|---|---|---|---|---|---|---|
| 10.6% | PROCESSED | 6577 | ITERATIONS | ( | 1 | INCOMPLETE) | 1 ANSWERS |
| 20.1% | PROCESSED | 12495 | ITERATIONS | ( | 1 | INCOMPLETE) | 1 ANSWERS |
| 34.1% | PROCESSED | 21215 | ITERATIONS | ( | 7 | INCOMPLETE) | 7 ANSWERS |
| 43.7% | PROCESSED | 27157 | ITERATIONS | ( | 8 | INCOMPLETE) | 8 ANSWERS |
| 52.4% | PROCESSED | 32585 | ITERATIONS | ( | 8 | INCOMPLETE) | 8 ANSWERS |
| 61.6% | PROCESSED | 38293 | ITERATIONS | ( | 13 | INCOMPLETE) | 13 ANSWERS |
| 69.7% | PROCESSED | 43310 | ITERATIONS | ( | 19 | INCOMPLETE) | 19 ANSWERS |
| 78.4% | PROCESSED | 48728 | ITERATIONS | ( | 21 | INCOMPLETE) | 21 ANSWERS |
| 83.3% | PROCESSED | 51785 | ITERATIONS | ( | 25 | INCOMPLETE) | 25 ANSWERS |
| 86.9% | PROCESSED | 54002 | ITERATIONS | ( | 28 | INCOMPLETE) | 28 ANSWERS |
| 90.5% | PROCESSED | 56274 | ITERATIONS | ( | 29 | INCOMPLETE) | 29 ANSWERS |
| 93.1% | PROCESSED | 57833 | ITERATIONS | ( | 31 | INCOMPLETE) | 31 ANSWERS |
| 94.3% | PROCESSED | 58610 | ITERATIONS | ( | 31 | INCOMPLETE) | 31 ANSWERS |
| 94.8% | PROCESSED | 58891 | ITERATIONS | ( | 32 | INCOMPLETE) | 32 ANSWERS |
| 95.3% | PROCESSED | 59213 | ITERATIONS | ( | 32 | INCOMPLETE) | 32 ANSWERS |
| 95.7% | PROCESSED | 59451 | ITERATIONS | ( | 32 | INCOMPLETE) | 32 ANSWERS |
| 95.9% | PROCESSED | 59632 | ITERATIONS | ( | 32 | INCOMPLETE) | 32 ANSWERS |
| 96.2% | PROCESSED | 59815 | ITERATIONS | ( | 32 | INCOMPLETE) | 32 ANSWERS |
| 96.3% | PROCESSED | 59866 | ITERATIONS | ( | 32 | INCOMPLETE) | 32 ANSWERS |
| 96.4% | PROCESSED | 59935 | ITERATIONS | ( | 32 | INCOMPLETE) | 32 ANSWERS |

96.5% PROCESSED 60000 ITERATIONS ( 32 INCOMPLETE) 32 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.05.52

FULL FILE PROJECTIONS: ONLINE **INCOMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 62152 TO 62152
PROJECTED ANSWERS: 32 TO 50

L3 32 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION
FULL ESTIMATED COST 113.20 268.83

FILE 'CAPLUS' ENTERED AT 17:02:48 ON 28 APR 2004
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FILE COVERS 1907 - 28 Apr 2004 VOL 140 ISS 18
FILE LAST UPDATED: 27 Apr 2004 (20040427/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

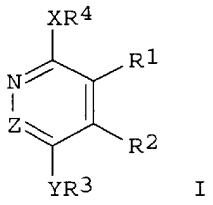
=> s l3
L4 32 L3

=> d l4 fbib hitstr abs total

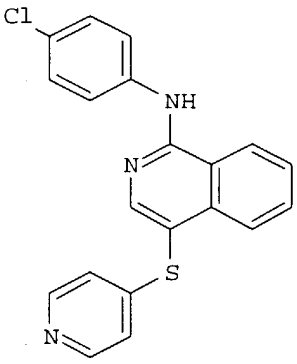
L4 ANSWER 1 OF 32 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2004:113527 CAPLUS
DN **140:163891**
TI Preparation of substituted pyridines and pyridazines with angiogenesis inhibiting activity for pharmaceutical use as antitumor agents
IN Dumas, Jacques P.; Boyer, Stephen James; Dixon, Julie A.; Joe, Teddy Kite; Kluender, Harold C. E.; Lee, Wendy; Nagarathnam, Dhanapalan; Sibley, Robert N.; Su, Ning
PA Bayer Pharmaceuticals Corporation, USA
SO U.S., 60 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | US 6689883 | B1 | 20040210 | US 2000-672294 | 20000928 |
| | | | | US 1999-287595PP | 19990928 |

OS MARPAT 140:163891
GI



I



II

AB Fused ring systems with a pyridine or pyridazine subunit, such as I [X = connecting group, such as O, S, NH, etc.; Y = connecting group, such as O, S, CH2O, CH2S, NH, OCH2, SCH2, SO, SO2, etc.; Z = CH, N; R1R2 = fused ring, such as CH:CHCH:CH, CH:CHS, CH:CHO, CH:CHNH, N:CHNH, N:NNH, etc.; R3, R4 = aryl, heteroaryl, etc.; XR4 = nitrogen bound heterocyclyl, such as 1-indolinyl], with angiogenesis inhibiting activity were prepared for pharmaceutical use as antitumor agents. Thus, substituted isoquinoline II was prepared in a 3 step sequence which included bromination of isocarbostyril to form 1,4-dibromoisoquinoline in 96% yield, followed by monoamination with 4-chloroaniline to give 4-bromo-N-(4-chlorophenyl)-1-isoquinolinamine in 64.4% yield, and subsequent reaction with 4-mercaptopyridine to give II in 19% yield. The prepared compds. were tested for KDR receptor inhibition.

RE.CNT 77 THERE ARE 77 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 32 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2004:60271 CAPLUS
DN **140:111196**
TI Preparation of 2-amino-9-(2-hydroxymethylcyclopropylidenemethyl)-purines as antiviral agents
IN Zemlicka, Jiri; Drach, John C.
PA Wayne State University, USA; The Regents of the University of Michigan
SO PCT Int. Appl., 36 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | WO 2004006867 | A2 | 20040122 | WO 2003-US7909 | 20030313 |

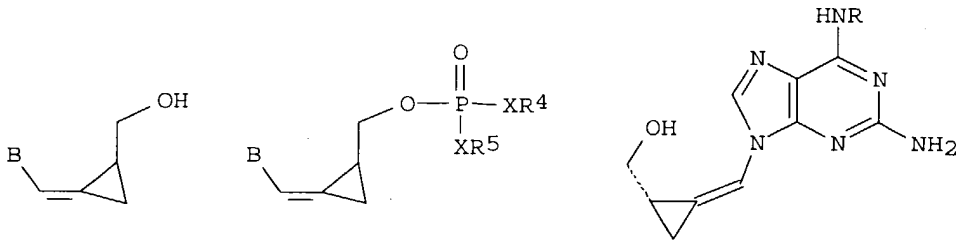
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2002-364495PP 20020315

OS MARPAT 140:111196

GI




I II III

AB Synthesis and antiviral activity of title compds. I and II [B = 2-aminopurine-9-yl, which may be unsubstituted or substituted in the 6 position with NHR1, OR2, or SR3; R1 = alkyl, alkenyl, alkynyl, cycloalkyl, which may be optionally substituted with one or more members of the group consisting of OH, halo, amino, acyl, cycloalkyl, heterocyclyl and aryl; R2, R3 = alkyl, alkenyl, alkynyl, cycloalkyl, any of which may be branched or unbranched and optionally substituted with hydroxy, halo, amino, acyl, cycloalkyl, heterocyclyl, and aryl; X = O; R4, R5 = alkyl, aryl; R4X and/or R5X may also be amino acid residues with X = NH] are disclosed. The compds. of the present invention also include the R- and S-enantiomers of the above compds. Thus, III [R = allyl (IV)] is prepared by reacting III (R = H) with allylamine in ethanol. IV demonstrated an IC50 = 0.18 μM against human cytomegalovirus.

L4 ANSWER 3 OF 32 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2004:60255 CAPLUS

DN **140:105258**

TI Benzimidazole compound-pentamidine compound combinations for the treatment of neoplasms

IN Borisy, Alexis; Keith, Curtis; Foley, Michael A.; Stockwell, Brent R.; Gaw, Debra A.

PA Combinatorx, Incorporated, USA

SO PCT Int. Appl., 79 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|

PI WO 2004006849 A2 20040122 WO 2003-US21984 20030715
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
US 2002-396151PP 20020715
OS MARPAT 140:105258
AB The invention features a method for treating a patient having a cancer or other neoplasm, by administering to the patient (i) a benzimidazole or a metabolite or analog thereof; and (ii) pentamidine or a metabolite or analog thereof simultaneously or within 14 days of each other in amts. sufficient to inhibit the growth of the neoplasm.

L4 ANSWER 4 OF 32 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2004:2850 CAPLUS
DN **140:77013**
TI Preparation of diphenylazetidinones for the treatment of hyperlipidemia, arteriosclerosis and hypercholesterolemia
IN Jaehne, Gerhard; Frick, Wendelin; Flohr, Stefanie; Lindenschmidt, Andreas; Glombik, Heiner; Kramer, Werner; Heuer, Hubert; Schaefer, Hans-Ludwig
PA Aventis Pharma Deutschland G.m.b.H., Germany
SO PCT Int. Appl., 74 pp.
CODEN: PIXXD2
DT Patent
LA German
FAN.CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| PI WO 2004000804 | A1 | 20031231 | WO 2003-EP5815 | 20030604 |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

DE 2002-10227506A 20020619

| | | | | |
|---|---|---|---|---|
| DE 10227506 | A1 | 20040108 | DE 2002-10227506 | 20020619 |

OS MARPAT 140:77013
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [R1, R2, R3, R4, R5, R6 = (un)substituted alkylene-(LAG)n;

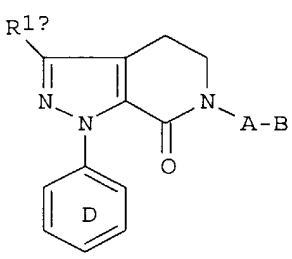
n = 1-5; LAG = sugar; amino sugar; amino acid, etc.] and their pharmacetcally acceptable salts were prepared For example, N-alkylation of 1,4-diazabicyclo[2.2.2]octane with benzyl bromide II, e.g., prepared from 1-(4-fluorophenyl)-3-[3-(4-fluorophenyl)-3-hydroxypropyl]-4-(4-hydroxyphenyl)-2-azetidinone and 1,2-bisbromomethylbenzene, afforded diphenylazetidinone III. In rat liver chloresterol absorption assays, 26-examples of compds. I exhibited EC50 values ranging from 0.03-<1.0 (mg/mouse), e.g., the EC50 value of diphenylazetidinone III was 0.3. Compds. I are claimed useful for the treatment of hyperlipidemia, arteriosclerosis and hypercholesterolemia.

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 32 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2003:472339 CAPLUS
DN **139:53014**
TI Synthesis of 4,5-dihydro-pyrazolo[3,4-c]pyrid-2-ones
IN Zhou, Jiacheng; Oh, Lynette M.; Ma, Philip; Li, Hui-yin
PA Bristol-Myers Squibb Company, USA
SO PCT Int. Appl., 143 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | WO 2003049681 | A2 | 20030619 | WO 2002-US38559 | 20021203 |
| | WO 2003049681 | A3 | 20030918 | | |
| | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| | RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| | | | | US 2001-339085PP | 20011210 |
| | US 2003181466 | A1 | 20030925 | US 2002-308741 | 20021203 |
| | | | | US 2001-339085PP | 20011210 |

OS MARPAT 139:53014
GI



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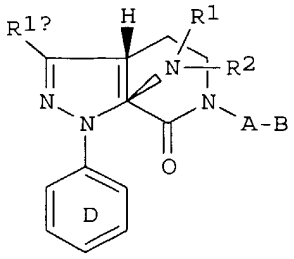

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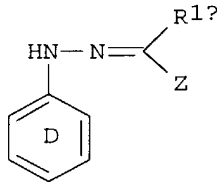
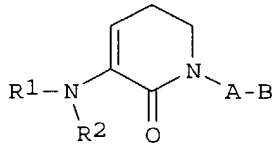


III



IV

AB A novel process and intermediates thereof for making 4,5-dihydro-pyrazolo[3,4-c]pyrid-2-ones (shown as I; variables defined below; e.g. 1-(4-methoxyphenyl)-7-oxo-6-[4-(2-oxopiperidin-1-yl)phenyl]-4,5,6,7-tetrahydro-1H-pyrazolo[3,4-c]pyridine-3-carboxylic acid amide) from appropriate Ph hydrazines is described. These compds. are useful as factor Xa inhibitors (no data). I are made from II using an acid, e.g. trifluoroacetic, sulfuric, nitric, hydrochloric. For example, 1-(3-cyano-4-fluorophenyl)-3-trifluoromethyl-6-(4-iodophenyl)-1,4,5,6-tetrahydro-7H-pyrazolo[3,4-c]pyridin-7-one was prepared (95% yield) from 1-(3-cyano-4-fluorophenyl)-3-trifluoromethyl-6-(4-iodophenyl)-8-morpholino-1,4,5,6,8,9-hexahydro-7H-pyrazolo[3,4-c]pyridin-7-one (1.0 mmol) in CH2Cl2 on treatment with CF3CO2H (2.0 mL). II are made from III and IV in the presence of base (e.g. triethylamine, diisopropylethylamine, and N-methylmorpholine). For example, 1-(3-cyano-4-fluorophenyl)-3-trifluoromethyl-6-(4-iodophenyl)-8-morpholino-1,4,5,6,8,9-hexahydro-7H-pyrazolo[3,4-c]pyridin-7-one was prepared (65% yield) from 2,2,2-trifluoro-N-(3-cyano-4-fluorophenyl)ethanehydrazonoyl mesylate (4.0 mmol) and N-(4-iodophenyl)-3-morpholino-5,6-dihydro-2H-pyridin-2-one (4.0 mmol) in toluene (18 mL) in the presence of N-methylmorpholine (16.0 mmol). For I-IV: ring D = 4-chlorophenyl, 4-methoxyphenyl, 2-cyanophenyl, 2-(aminomethyl)phenyl, 2-(PgNHCH2)phenyl, 3-cyanophenyl, 3-(aminomethyl)phenyl, 3-(PgNHCH2)phenyl, 3-cyano-4-fluorophenyl, (3-amino)benz[d]isoxazol-6-yl, and (3-PgNH)benz[d]isoxazol-6-yl (Pg is an amine protecting group). R1 and R2 = C1-6 alkyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, Ph, and benzyl; alternatively, NR1R2 is a 3-8 membered ring consisting of C atoms, N, and 0-1 O atoms; R1a = H, CH3, CH2CH3, CH2CH2CH3, CH2F, CH2Cl, Br, CH2Br, CN, CH2CN, CF3, CH2CF3, CH2OCH3, CO2CH3, CH2CO2CH3, CO2CH2CH3, CH2CO2CH2CH3, CH2SCH3, S(O)CH3, CH2S(O)CH3, S(O)2CH3, CH2S(O)2CH3, C(O)NH2, CH2C(O)NH2, SO2NH2, CH2SO2NH2, pyridin-2-yl, pyridin-3-yl, pyridin-4-yl, pyridin-2-yl N-oxide, pyridin-3-yl N-oxide, pyridin-4-yl N-oxide, imidazol-1-yl, CH2-imidazol-1-yl, 1,2,3,4-tetrazol-1-yl, 1,2,3,4-tetrazol-5-yl, CH2-1,2,3,4-tetrazol-1-yl, and CH2-1,2,3,4-tetrazol-5-yl, provided that R1a forms other than an N-halo, N-N, N-S, N-O, or N-CN bond. A = Ph substituted with 0-1 R4, pyridyl substituted with 0-1 R4, and pyrimidyl substituted with 0-1 R4; B = B1, Cl, Br, I, OMs, OTs, OSO2Ph, CH2Br,

CH2OH, and CHO; alternatively, A-B is H; B1 is Y or X-Y; X = C1-4 alkylene, -CR2(CHR2R2b)(CH2)t-, -C(O)-, -CR2(OR2)-, -CR2(SR2)-, -C(O)CR2R2a-, -CR2R2aC(O), -S(O)p-, - S(O)pCR2R2a-, -CR2R2aS(O)p-, -S(O)2NR2-, -NR2S(O)2-, -NR2S(O)2CR2R2a-, -CR2R2aS(O)2NR2-, -NR2S(O)2NR2-, -C(O)NR2-, -NR2C(O)-, -C(O)NR2CR2R2a-, -NR2C(O)CR2R2a-, -CR2R2aC(O)NR2-, -CR2R2aNR2C(O)-, -NR2C(O)O-, -OC(O)NR2-, -NR2C(O)NR2-, -NR2-, -NR2CR2R2a-, -CR2R2aNR2-, O, -CR2R2aO-, and -OCR2R2a-. Y = C3-10 carbocycle substituted with 0-2 R4a, and 5-10 membered heterocycle containing = 1-4 heteroatoms N, O, and S, substituted with 0-2 R4a; addnl. details are given in the claims. For III: Z = Cl, Br, I, OSO2Me, OSO2Ph, OSO2C6H4Me-p.

L4 ANSWER 6 OF 32 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2003:22883 CAPLUS
DN **138:73376**
TI Preparation of aryl boronic acids for treating obesity
IN Holmes-Farley, Stephen Randall; Mandeville, W. Harry, III; Huval, Chad Cori; Li, Xinhua; Dhal, Pradeep K.
PA Geltex Pharmaceuticals, Inc., USA
SO PCT Int. Appl., 62 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 3

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | WO 2003002570 | A1 | 20030109 | WO 2002-US20923 | 20020701 |
| | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| | RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| | | | | US 2001-302081PP | 20010629 |
| | | | | US 2002-359467PP | 20020222 |
| | US 2003064963 | A1 | 20030403 | US 2002-187397 | 20020627 |
| | | | | US 2001-302081PP | 20010629 |
| | | | | US 2002-359467PP | 20020222 |
| | EP 1404685 | A1 | 20040407 | EP 2002-746808 | 20020701 |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK | | | | |
| | | | | US 2001-302081PP | 20010629 |
| | | | | US 2002-359467PP | 20020222 |
| | | | | WO 2002-US20923W | 20020701 |

PATENT FAMILY INFORMATION:
FAN 2003:22696

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | WO 2003002130 | A1 | 20030109 | WO 2002-US20922 | 20020701 |
| | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, | | | | |

UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
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US 2001-302221PP 20010629
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US 2002-359473PP 20020222
US 2002-359474PP 20020222

EP 1404349 A1 20040407 EP 2002-744787 20020701
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK

US 2001-302081PP 20010629
US 2001-302221PP 20010629
US 2002-359467PP 20020222
US 2002-359473PP 20020222
US 2002-359474PP 20020222
WO 2002-US20922W 20020701

FAN 2003:22884

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| PI WO 2003002571 | A1 | 20030109 | WO 2002-US20947 | 20020701 |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

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US 2003059399 A1 20030327 US 2002-187316 20020627
US 2001-302221PP 20010629
US 2002-359473PP 20020222

EP 1404686 A1 20040407 EP 2002-748036 20020701
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK

US 2001-302221PP 20010629
US 2002-359473PP 20020222
WO 2002-US20947W 20020701

OS MARPAT 138:73376
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Aryl boronic acids [e.g., I; wherein Ph ring A is substituted or unsubstituted; R = (substituted) straight chained hydrocarbyl group optionally comprising one or more ether, thioether, phenylene, amine, or ammonium linking groups; Y = amine, ammonium group] were prepared For example, 4-(14'-trimethylammonium-3'-thia-1'-ketotetradecyl)-3-fluorophenylboronic acid chloride [(II)Cl-] was prepared in six steps from 4-cyano-3-fluorophenyl bromide. The prepared compds. are useful for treating obesity, and inhibiting the uptake of fat in the gastrointestinal tract. For example, (II)Br- showed good inhibition of in vitro [IC50 (μg/g fat) = 1.8] and in vivo [ED50 (mg/g fat) = 2] pancreatic lipolysis.

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 32 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:638288 CAPLUS
DN **137:185513**
TI Preparation of piperidine and piperazine derivatives as inhibitors of p38α kinase
IN Goehring, R. richard; Mavunkel, Babu J.; Liu, David Y.; Schreiner, George F.; Leudtke, Gregory; Lewicki, John A.
PA USA
SO U.S. Pat. Appl. Publ., 50 pp., Cont.-in-part of U.S. Ser. No. 385,494.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 3

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | US 2002115671 | A1 | 20020822 | US 2001-796997 | 20010228 |
| | US 6541477 | B2 | 20030401 | | |
| | | | | US 1999-385494 A2 | 19990827 |
| | | | | US 2000-185571PP | 20000228 |
| | US 6410540 | B1 | 20020625 | US 1999-385494 | 19990827 |
| | | | | US 1998-98219P P | 19980828 |
| | | | | US 1999-125343PP | 19990319 |

PATENT FAMILY INFORMATION:
FAN 2000:161119

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | WO 2000012074 | A2 | 20000309 | WO 1999-US19845 | 19990827 |
| | WO 2000012074 | A3 | 20000831 | | |
| | W: AE, AL, AU, BA, BB, BG, BR, CA, CN, CR, CU, CZ, EE, GE, HU, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| | RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| | | | | US 1998-98219P P | 19980828 |
| | | | | US 1999-125343PP | 19990319 |
| | CA 2342251 | AA | 20000309 | CA 1999-2342251 | 19990827 |
| | | | | US 1998-98219P P | 19980828 |
| | | | | US 1999-125343PP | 19990319 |
| | | | | WO 1999-US19845W | 19990827 |
| | AU 9957936 | A1 | 20000321 | AU 1999-57936 | 19990827 |
| | | | | US 1998-98219P P | 19980828 |
| | | | | US 1998-125343PP | 19990319 |

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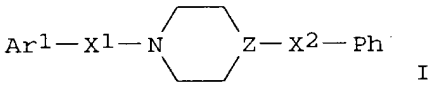
|---|---|---|---|---|---|
| | | | | WO 1999-US19845W | 19990827 |
| | EP 1107758 | A2 | 20010620 | EP 1999-945316 | 19990827 |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |
| | | | | US 1998-98219P P | 19980828 |
| | | | | US 1999-125343PP | 19990319 |
| | | | | WO 1999-US19845W | 19990827 |
| | BR 9913654 | A | 20011127 | BR 1999-13654 | 19990827 |
| | | | | US 1998-98219P P | 19980828 |
| | | | | US 1999-125343PP | 19990319 |
| | | | | WO 1999-US19845W | 19990827 |
| | JP 2002523448 | T2 | 20020730 | JP 2000-567192 | 19990827 |
| | | | | US 1998-98219P P | 19980828 |
| | | | | US 1999-125343PP | 19990319 |
| | | | | WO 1999-US19845W | 19990827 |

FAN 2001:661422

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | WO 2001064676 | A2 | 20010907 | WO 2001-US6715 | 20010228 |
| | WO 2001064676 | A3 | 20020328 | | |
| | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| | RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| | | | | US 2000-185571PP | 20000228 |

OS MARPAT 137:185513

GI



I

AB The title compds. I [Ar1 = furanyl optionally substituted; X1 = CO; Z = N, CH; X2 = CH2, isostere; Ph may be optionally substituted], inhibitors of p38α kinase, were prepared For example, 1-benzoyl-4-benzylpiperidine was prepared in 96% yield by reaction of 4-benzylpiperidine and PhCOCl in the presence of diisopropylethylamine in CH2Cl2. In p38α kinase inhibition assays, I showed substantial inhibition at 15 μM, some as high as 99%. I are useful for the treatment of conditions associated with activation of p38α, in particular inflammation and cardiac conditions (no data).

L4 ANSWER 8 OF 32 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:574927 CAPLUS
DN **137:119655**
TI Combinations of drugs (e.g., a benzimidazole and pentamidine) for the treatment of neoplastic disorders
IN Borisy, Alexis; Keith, Curtis; Foley, Michael A.; Stockwell, Brent R.
PA Combinatorx, Incorporated, USA
SO PCT Int. Appl., 57 pp.

CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| PI WO 2002058697 | A1 | 20020801 | WO 2002-US1707 | 20020122 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| | | | US 2001-768870 A120010124 | |
| US 2002165261 | A1 | 20021107 | US 2001-768870 | 20010124 |
| US 6693125 | B2 | 20040217 | | |
| EP 1363625 | A1 | 20031126 | EP 2002-709117 | 20020122 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| | | | US 2001-768870 A 20010124 | |
| | | | WO 2002-US1707 W 20020122 | |
| US 2004063769 | A1 | 20040401 | US 2003-677664 | 20031002 |
| | | | US 2001-768870 A120010124 | |

OS MARPAT 137:119655
AB The invention features a method for treating a patient having a cancer or other neoplasm, by administering to the patient (1) a benzimidazole or a metabolite or analog thereof; and (ii) pentamidine or a metabolite or analog thereof simultaneously or within 14 days of each other in amts. sufficient to inhibit the growth of the neoplasm.
RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 9 OF 32 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2001:661422 CAPLUS
DN **135:227015**
TI Preparation of piperidine and piperazine derivatives as inhibitors of p38-α kinase
IN Goehring, Richard R.; Mavunkel, Babu J.; Liu, David Y.; Schreiner, George F.; Luedtke, Gregory; Lewicki, John A.
PA Scios, Inc., USA
SO PCT Int. Appl., 74 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 3

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| PI WO 2001064676 | A2 | 20010907 | WO 2001-US6715 | 20010228 |
| WO 2001064676 | A3 | 20020328 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, | | | | |

YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
US 2000-185571PP 20000228

PATENT FAMILY INFORMATION:

FAN 2000:161119

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | WO 2000012074 | A2 | 20000309 | WO 1999-US19845 | 19990827 |
| | WO 2000012074 | A3 | 20000831 | | |
| | W: AE, AL, AU, BA, BB, BG, BR, CA, CN, CR, CU, CZ, EE, GE, HU, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| | RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| | | | | US 1998-98219P P | 19980828 |
| | | | | US 1999-125343PP | 19990319 |
| | CA 2342251 | AA | 20000309 | CA 1999-2342251 | 19990827 |
| | | | | US 1998-98219P P | 19980828 |
| | | | | US 1999-125343PP | 19990319 |
| | | | | WO 1999-US19845W | 19990827 |
| | AU 9957936 | A1 | 20000321 | AU 1999-57936 | 19990827 |
| | | | | US 1998-98219P P | 19980828 |
| | | | | US 1998-125343PP | 19990319 |
| | | | | WO 1999-US19845W | 19990827 |
| | EP 1107758 | A2 | 20010620 | EP 1999-945316 | 19990827 |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |
| | | | | US 1998-98219P P | 19980828 |
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| | | | | US 1998-98219P P | 19980828 |
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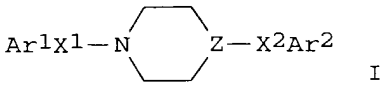
| | | | | WO 1999-US19845W | 19990827 |
| | JP 2002523448 | T2 | 20020730 | JP 2000-567192 | 19990827 |
| | | | | US 1998-98219P P | 19980828 |
| | | | | US 1999-125343PP | 19990319 |
| | | | | WO 1999-US19845W | 19990827 |

FAN 2002:638288

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | US 2002115671 | A1 | 20020822 | US 2001-796997 | 20010228 |
| | US 6541477 | B2 | 20030401 | | |
| | | | | US 1999-385494 A2 | 19990827 |
| | | | | US 2000-185571PP | 20000228 |
| | US 6410540 | B1 | 20020625 | US 1999-385494 | 19990827 |
| | | | | US 1998-98219P P | 19980828 |
| | | | | US 1999-125343PP | 19990319 |

OS MARPAT 135:227015
GI



I

AB The title compds. I [Ar1 = furanyl optionally substituted; X1 = CO; Z = N, CH; X2 = CH2, isostere; Ar2 = substituted Ph], inhibitors of p38-α kinase, were prepared E.g., 1-benzoyl-4-benzylpiperidine was prepared by reaction of 4-benzylpiperidine and PhCOCl.

L4 ANSWER 10 OF 32 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2000:475644 CAPLUS
DN **133:89443**
TI Quinolinecarboxamides as antiviral agents, especially against viruses of the herpes family
IN Turner, Steven Ronald; Strohbach, Joseph Walter; Thaisrivongs, Suvit; Vaillancourt, Valerie A.; Schnute, Mark E.; Tucker, John Alan
PA Pharmacia & Upjohn Company, USA
SO PCT Int. Appl., 219 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | WO 2000040561 | A1 | 20000713 | WO 1999-US27960 | 19991222 |
| | W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| | RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| | | | | US 1999-115301PP | 19990108 |
| | | | | US 1999-140610PP | 19990623 |
| | US 6248739 | B1 | 20010619 | US 1999-466712 | 19991217 |
| | | | | US 1999-115301PP | 19990108 |
| | | | | US 1999-140610PP | 19990623 |
| | EP 1140850 | A1 | 20011010 | EP 1999-967145 | 19991222 |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |
| | | | | US 1999-115301PP | 19990108 |
| | | | | US 1999-140610PP | 19990623 |
| | | | | WO 1999-US27960W | 19991222 |
| | JP 2002534416 | T2 | 20021015 | JP 2000-592270 | 19991222 |
| | | | | US 1999-115301PP | 19990108 |
| | | | | US 1999-140610PP | 19990623 |
| | | | | WO 1999-US27960W | 19991222 |
| | AU 760207 | B2 | 20030508 | AU 2000-23486 | 19991222 |
| | | | | US 1999-115301PP | 19990108 |
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| | NZ 512824 | A | 20030926 | NZ 1999-512824 | 19991222 |
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| ZA 2001004711 | A | 20020610 | ZA 2001-4711 | 20010608 |
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| NO 2001003383 | A | 20010907 | NO 2001-3383 | 20010706 |
| | | | US 1999-115301PP | 19990108 |
| | | | US 1999-140610PP | 19990623 |
| | | | WO 1999-US27960W | 19991222 |

OS MARPAT 133:89443
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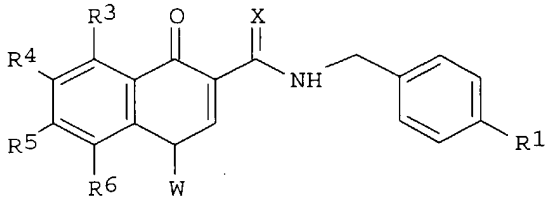


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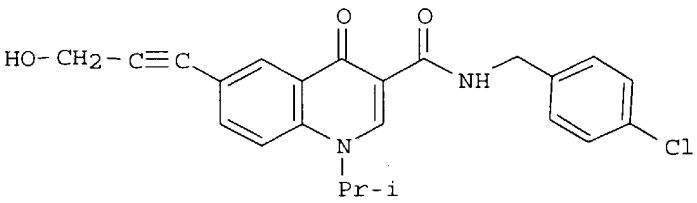


II

AB The invention provides quinolinecarboxamides I (X = O, S; W = R2, etc., where R1-R6 = a wide variety of defined groups, with 125 examples), e.g., hydroxypropynyl derivative II, and their pharmaceutically acceptable salts which are useful as antiviral agents, in particular, as agents against viruses of the herpes family. Activities of the compds. against HCMV, HSV, and VZV polymerase are presented. Pharmaceutical compns. comprising compds. I are claimed (no examples).

RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 11 OF 32 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2000:457413 CAPLUS
DN **133:73852**
TI Preparation of 3-(3,5,5-trimethyl-2,6-dioxocyclohex-3-enecarbonyl)benzoate ester and acid herbicides
IN Schaetzer, Juergen; Seckinger, Karl
PA Novartis A.-G., Switz.
SO Ger. Offen., 22 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
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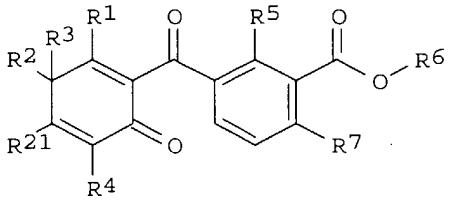
PI DE 19961466 A1 20000706 DE 1999-19961466 19991220
CH 1998-2522 A 19981221

OS CASREACT 133:73852; MARPAT 133:73852

GI



I

AB The title compds. [I; R1 = OH, halogen, alkoxy, alkoxy, alkoxycarbonyloxy, alkylthio, alkylsulfonyl, alkenylthio, (un)substituted benzoyloxy, etc.; R2-R4 = (un)substituted alkyl, (un)substituted cycloalkyl, alkoxycarbonyl, CN, NO2, etc.; R5, R7 = halogen, CN, NO2, alkyl, alkoxy, alkoxyalkyl, haloalkyl, alkenyl, etc.; R6 = H, (un)substituted alkyl, (un)substituted cycloalkyl, etc.; R21 = H, OH, alkyl, alkoxyalkyl, alkenyl, alkynyl, etc.], useful as herbicides, are prepared Thus, iso-Pr Me ketone and Me methacrylate were subjected to cyclocondensation in the presence of sodium methoxide, producing 4,4,6-trimethyl-1,3-cyclohexanedione, which was dehydrogenated into 4,6,6-trimethyl-4-cyclohexene-1,3-dione and acylated with 2-chloro-4-methanesulfonyl-3-methoxycarbonylbenzoyl chloride, producing herbicidal Me 2-chloro-6-methylsulfonyl-3-(3,5,5-trimethyl-2,6-dioxocyclohex-3-enecarbonyl)benzoate.

L4 ANSWER 12 OF 32 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2000:368343 CAPLUS
DN **133:4674**
TI Preparation of propanoic acid derivatives as integrin inhibitors
IN Alexander, Rikki Peter; Langham, Barry John; Reuberson, James Thomas; Trown, Emma Louise; Warrellow, Graham John
PA Celltech Therapeutics Ltd., UK
SO PCT Int. Appl., 111 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| PI WO 2000031067 | A1 | 20000602 | WO 1999-GB3893 | 19991123 |
| W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
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| EP 1133488 | A1 | 20010919 | EP 1999-956184 | 19991123 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, | | | | |

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| IE, SI, LT, LV, FI, RO | | | GB 1998-25652 A 19981123 |
| | | | WO 1999-GB3893 W 19991123 |
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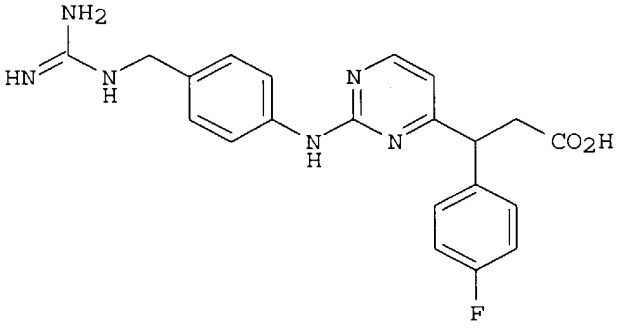
| | | | GB 1998-25652 A 19981123 |
| JP 2002530400 | T2 | 20020917 | JP 2000-583895 19991123 |
| | | | GB 1998-25652 A 19981123 |
| | | | WO 1999-GB3893 W 19991123 |
| US 2002035092 | A1 | 20020321 | US 2001-955508 20010918 |
| | | | GB 1998-25652 A 19981123 |
| | | | US 1999-448840 XX19991123 |

OS MARPAT 133:4674
GI



II

AB The title compds. Ar-X1-Ar1-Z-R [I; Ar = a nitrogen base containing group; X1 = linker atom or group; Ar1 = (un)substituted 5-6 membered nitrogen-containing aromatic or non-aromatic monocycle; Z = CH(R13)CH2 [wherein R13 = (un)substituted alkyl, cycloalkyl, aryl, etc.], C(R12a)(R13)CH(R12b) [R12a and R12b together with the carbon atoms to which they are attached form cycloalkyl], C(R13)CH; R = CO2H or a derivative or biostere thereof] and their salts which are able to inhibit the binding of αV integrins to their ligands and are of use in the prophylaxis and treatment of immune or inflammatory disorders, were prepared E.g., a multi-step synthesis of propanoic acid II was given. Compds. I are effective at 0.01-40 mg/kg/day (oral or buccal administration).

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

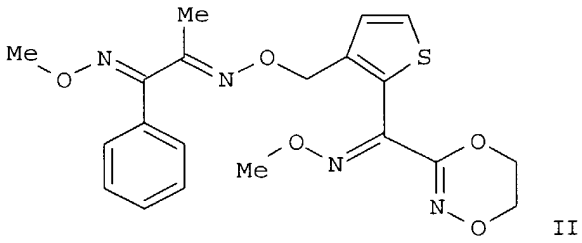
L4 ANSWER 13 OF 32 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2000:96068 CAPLUS
DN **132:151837**
TI Preparation of [dioxazinyl(methoxyimino)methyl]thiophenes as agrochemical fungicides
IN Gayer, Herbert; Gerdes, Peter; Heinemann, Ulrich; Krueger, Bernd-Wieland; Mauler-Machnik, Astrid; Stenzel, Klaus
PA Bayer A.-G., Germany
SO Ger. Offen., 16 pp.
CODEN: GWXXBX
DT Patent
LA German

FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | DE 19834830 | A1 | 20000210 | DE 1998-19834830 | 19980801 |
| | WO 2000008003 | A2 | 20000217 | WO 1999-EP5151 | 19990720 |
| | WO 2000008003 | A3 | 20010705 | | |
| | W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| | RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| | | | | DE 1998-19834830A | 19980801 |
| | AU 9952852 | A1 | 20000228 | AU 1999-52852 | 19990720 |
| | | | | DE 1998-19834830A | 19980801 |
| | | | | WO 1999-EP5151 W | 19990720 |
| | EP 1131309 | A2 | 20010912 | EP 1999-938292 | 19990720 |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |
| | | | | DE 1998-19834830A | 19980801 |
| | | | | WO 1999-EP5151 W | 19990720 |
| | JP 2002522427 | T2 | 20020723 | JP 2000-563636 | 19990720 |
| | | | | DE 1998-19834830A | 19980801 |
| | | | | WO 1999-EP5151 W | 19990720 |

OS MARPAT 132:151837

GI



II

AB The title compds. were prepared by condensation of methoxyiminoacetate esters (R1ON:)C(ZR2)CR3:NOCH2QC(:NOMe)CO2Alk [I; Alk = alkyl; Q = (un)substituted thiophenediyl; R1 (un)substituted (cyclo)alkyl, arylalkyl; R2 = (un)substituted alkyl, aryl, heterocyclyl, etc.; R3 = (un)substituted (cyclo)alkyl; Z = bond, O, NR4; R4 = alkyl, etc.] with hydroxylamine or its acid addition complex and ring closure of the products with an active ethane derivative Thus, etherification of PhC(:NOMe)CMe:NOH with NaH-treated Me (3-bromomethylthiophen-2-yl)methoxyiminoacetate in DMF gave 20.7% of 46.6% pure Me methoxyimino[3-(2-methoxyimino-1-methyl-2-phenylethylideneaminooxymethyl)thiophen-2-yl]acetate. This (1.8 g) was added at 15° to a mixture od HONH2·HCl and aqueous KOH in MeOH, the mixture was heated for 1 h at 60°, K2CO3 was added followed by BrCH2CH2Br and the whole was refluxed for 16 h to give 52% of the title compound II which at 250 g/ha gave 90% protection of wheat against Puccinia recondita.

L4 ANSWER 14 OF 32 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1999:529140 CAPLUS
DN **131:170632**
TI Novel cyclic sulfonamide derivatives as metalloproteinase inhibitors
IN Duan, Jingwu; Chen, Lihua; Cherney, Robert J.; Decicco, Carl P.; Voss, Matthew E.
PA Du Pont Pharmaceuticals Company, USA
SO PCT Int. Appl., 144 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| PI WO 9941246 | A1 | 19990819 | WO 1999-US2767 | 19990210 |
| W: AU, CA, IL, JP, MX, NZ | | | | |
| RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE | | | | |
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| CA 2319173 | AA | 19990819 | CA 1999-2319173 | 19990210 |
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| | | | WO 1999-US2767 W | 19990210 |
| AU 9925947 | A1 | 19990830 | AU 1999-25947 | 19990210 |
| | | | US 1998-74301P P | 19980211 |
| | | | WO 1999-US2767 W | 19990210 |
| EP 1054877 | A1 | 20001129 | EP 1999-905898 | 19990210 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI | | | | |
| | | | US 1998-74301P P | 19980211 |
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| JP 2002503657 | T2 | 20020205 | JP 2000-531441 | 19990210 |
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| | | | US 1998-74301P P | 19980211 |

OS MARPAT 131:170632
AB Cyclic sulfonamides ACR1R2NR3SO2CR4:CR5R6 [A = CHO, alkanoyl, CO2H or esters, CHRCO2H (R = H, Me, Et, i-Pr, vinyl, 1- or 2-propenyl), CHRCONHOH, CONHOH or O-substituted derivs., (un)substituted amino, SH, CH2SH, (un)substituted SONH2 or SNHNH2, P(O)(OH)2, (un)substituted P(O)(OH)NH2; R1 = H, Q (carbocyclic or heterocyclic residue), alkylene-Q, alkenylene-Q, alkynylene-Q, oxa- or aza-alkylene-Q, etc.; R2 = H, alkylene-H, alkenylene-H, alkynylene-H, oxa- or aza-alkylene-H, etc.; R3 and R5 form an (un)substituted 5-10 membered ring containing 0-2 addnl. heteroatoms and 0-1 double bonds; R4 and R6 form benzo or (un)substituted heteroarom. ring] were prepared as metalloprotease inhibitors. Thus, (R)-4,5-dihydro-N-hydroxy-α-methyl-1,2,5-benzothiadiazepine-2(3H)-acetamide 1,2-dioxide was prepared starting from the reaction of 2-nitrobenzenesulfonyl chloride with D-alanine Me ester hydrochloride.
RE.CNT 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 15 OF 32 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1999:487215 CAPLUS
DN **131:130007**
TI Substituted piperazinone derivatives and other oxoazaheterocyclyl compounds useful as factor Xa inhibitors
IN Ewing, William R.; Becker, Michael R.; Choi-Sledeski, Yong Mi; Pauls,

Heinz W.; He, Wei; Condon, Stephen M.; Davis, Roderick S.; Hanney, Barbara A.; Spada, Alfred P.; Burns, Christopher J.; Jiang, John Z.; Li, Aiwen; Myers, Michael R.; Lau, Wan F.; Poli, Gregory B.

PA Rhone-Poulenc Rorer Pharmaceuticals Inc., USA

SO PCT Int. Appl., 300 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 3

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | WO 9937304 | A1 | 19990729 | WO 1999-US1682 | 19990127 |
| | W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| | RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
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| | CA 2319198 | AA | 19990729 | CA 1999-2319198 | 19990127 |
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| | AU 745425 | B2 | 20020321 | | |
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| | EP 1051176 | A1 | 20001115 | EP 1999-906684 | 19990127 |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |
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| | JP 2002501024 | T2 | 20020115 | JP 2000-528286 | 19990127 |
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| | EE 200000435 | A | 20020215 | EE 2000-435 | 19990127 |
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| | | | | WO 1999-US1682 W | 19990127 |
| | WO 2000032590 | A1 | 20000608 | WO 1999-US28074 | 19991124 |
| | W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| | RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
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| | NO 2000003808 | A | 20000926 | NO 2000-3808 | 20000725 |
| | | | | US 1998-72707P P | 19980127 |
| | | | | WO 1999-US1682 W | 19990127 |
| | BG 104633 | A | 20010330 | BG 2000-104633 | 20000725 |
| | | | | US 1998-72707P P | 19980127 |
| | | | | WO 1999-US1682 W | 19990127 |

PATENT FAMILY INFORMATION:

FAN 2000:384179

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | WO 2000032590 | A1 | 20000608 | WO 1999-US28074 | 19991124 |
| | W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| | RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| | | | | US 1998-110012PA2 | 19981125 |
| | | | | WO 1999-US1682 A2 | 19990127 |
| | | | | US 1999-313611 A2 | 19990518 |
| | | | | US 1999-363196 A2 | 19990728 |
| | WO 9937304 | A1 | 19990729 | WO 1999-US1682 | 19990127 |
| | W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| | RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| | | | | US 1998-72707P A2 | 19980127 |
| | JP 2003529531 | T2 | 20031007 | JP 2000-585232 | 19991124 |
| | | | | US 1998-110012PP | 19981125 |
| | | | | WO 1999-US1682 W | 19990127 |
| | | | | US 1999-313611 A | 19990518 |
| | | | | US 1999-363196 A | 19990728 |
| | | | | WO 1999-US28074W | 19991124 |

FAN 2001:78383

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | WO 2001007436 | A2 | 20010201 | WO 2000-IB1156 | 20000726 |
| | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| | RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| | | | | US 1999-363196 A | 19990728 |

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| BR 2000013179 | A | 20020402 | BR 2000-13179 | 20000726 |
| | | | US 1999-363196 A | 19990728 |
| | | | WO 2000-IB1156 W | 20000726 |
| EP 1208097 | A2 | 20020529 | EP 2000-951781 | 20000726 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL | | | | |
| | | | US 1999-363196 A | 19990728 |
| | | | WO 2000-IB1156 W | 20000726 |
| JP 2003508353 | T2 | 20030304 | JP 2001-512520 | 20000726 |
| | | | US 1999-363196 A | 19990728 |
| | | | WO 2000-IB1156 W | 20000726 |
| EE 200200045 | A | 20030616 | EE 2002-45 | 20000726 |
| | | | US 1999-363196 A | 19990728 |
| | | | WO 2000-IB1156 W | 20000726 |
| NO 2002000214 | A | 20020402 | NO 2002-214 | 20020115 |
| | | | US 1999-363196 A | 19990728 |
| | | | WO 2000-IB1156 W | 20000726 |
| BG 106340 | A | 20021031 | BG 2002-106340 | 20020122 |
| | | | US 1999-363196 A | 19990728 |
| | | | WO 2000-IB1156 W | 20000726 |
| ZA 2002000543 | A | 20030623 | ZA 2002-543 | 20020122 |
| | | | US 1999-363196 A | 19990728 |

OS MARPAT 131:130007

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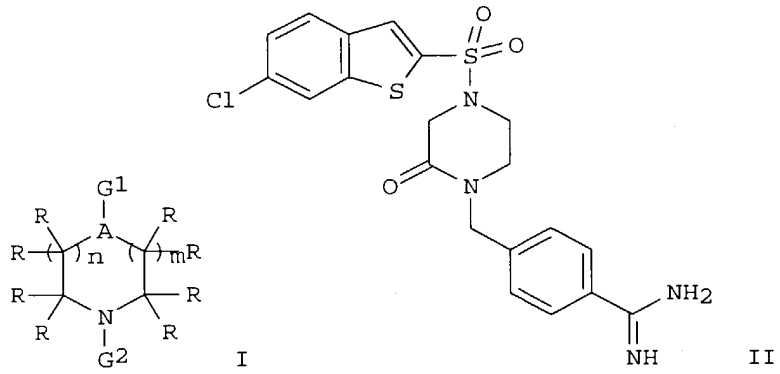


I II

AB The invention is directed to oxoazaheterocyclyl compds. I and their pharmaceutically acceptable salts, prodrugs, N-oxides, hydrates, and solvates [wherein A = CH, N; G1, G2 = (independently) -L-Cy; L = various atomic and mol. linkers, including O, (un)substituted NH or S, alk(en/yn)ylene, etc., or their combinations; Cy = (un)substituted (hetero)aryl, cycloalk(en)yl, heterocyclyl, etc.; R = (independently) H, CO2H, alkoxycarbonyl, (un)substituted carbamoyl, alkyl, (hetero)aryl, (hetero)aralkyl; or two geminal R groups = O or S; m, n = 0-2; with provisos]. The compds. inhibit factor Xa (no data), and thereby the production of thrombin, and are thus useful as anticoagulants in the treatment of a wide variety of conditions. The invention is also directed to pharmaceutical compns., synthetic intermediates, and a method of inhibiting factor Xa. Examples include the synthesis of approx. 780 compds. I, which are also claimed, and several hundred intermediates. For instance, sulfonamidation of 6-chlorobenzo[b]thiophene-2-sulfonyl chloride

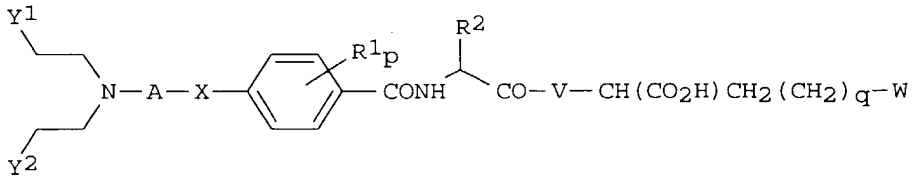
with 4-(2-oxopiperazin-1-ylmethyl)benzamidine bistrifluoroacetate (prepns. given) in CH2Cl2 in the presence of Et3N gave title compound II.

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 16 OF 32 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1998:568844 CAPLUS
DN **129:203269**
TI Compounds for use in antibody-directed enzyme prodrug therapy (ADEPT)
IN Davies, David Huw; Dowell, Robert Ian; Marsham, Peter Robert; Pease, Janet Elizabeth
PA Zeneca Limited, UK
SO PCT Int. Appl., 92 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| PI WO 9835982 | A1 | 19980820 | WO 1998-GB413 | 19980210 |
| W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | | |
| | | | GB 1997-3201 | 19970215 |
| AU 9860004 | A1 | 19980908 | AU 1998-60004 | 19980210 |
| | | | GB 1997-3201 | 19970215 |
| | | | WO 1998-GB413 | 19980210 |
| ZA 9801222 | A | 19980817 | ZA 1998-1222 | 19980213 |
| | | | GB 1997-3201 | 19970215 |

OS MARPAT 129:203269
GI




I

AB Compds. I [A = optionally substituted p-phenylene or 1,4-naphthalenediyl; X is a direct bond, CH2, or O; V = NH or O; q = 0-2; Y1, Y2 = Cl, Br, iodo, alkylsulfonyloxy, (un)substituted phenylsulfonyloxy; W = CO2H, 1H-1,2,3,4-tetrazol-5-yl; R1 = H, F, Cl, Br, alkyl, alkoxy; p = 0-4; R2 = alkyl, hydroxyalkyl, phenylalkyl, alkoxyalkyl, phenylalkoxyalkyl, alkylthioalkyl, phenylalkylthioalkyl or carbamoylalkyl] or an enantiomer, diastereoisomer, pharmaceutically acceptable salt, in-vivo hydrolyzable ester or solvate were prepared for the treatment of cancer using ADEPT. Thus, (N-[4-(4-[N,N-bis(2-bromoethyl)amino]phenoxy)benzoyl]-L-alanyl)-L-glutamic acid was prepared by a multistep procedure starting with reaction

of 4-(4-nitrophenoxy)benzoic acid with L-alanyl-L-glutamic acid dibenzyl ester hydrochloride.

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 17 OF 32 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1998:352804 CAPLUS
DN **129:40990**
TI Bi-aromatic compounds with RXR receptor activity, pharmaceutical and cosmetic compositions containing them, and their uses
IN Bernardon, Jean-Michel; Diaz, Philippe
PA Centre International de Recherches Dermatologiques Galderma (C.I.R.D. Galder, Fr.; Bernardon, Jean-Michel; Diaz, Philippe
SO PCT Int. Appl., 71 pp.
CODEN: PIXXD2
DT Patent
LA French
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | WO 9822423 | A1 | 19980528 | WO 1997-FR2063 | 19971117 |
| | W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| | RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | | |
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| | FR 2755965 | B1 | 19981218 | | |
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| | AU 9852254 | A1 | 19980610 | AU 1998-52254 | 19971117 |
| | AU 719468 | B2 | 20000511 | | |
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| | EP 915823 | A1 | 19990519 | EP 1997-947075 | 19971117 |
| | EP 915823 | B1 | 20010418 | | |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI | | | | |
| | | | | FR 1996-14098 A | 19961119 |
| | | | | WO 1997-FR2063 W | 19971117 |
| | AT 200661 | E | 20010515 | AT 1997-947075 | 19971117 |
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| | US 6258775 | B1 | 20010710 | US 1997-101622 | 19971117 |
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| | JP 2001233821 | A2 | 20010828 | JP 2000-399456 | 19971117 |

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| | | | JP 1998-523275 A319971117 |
| PT 915823 | T | 20010830 | PT 1997-97947075 19971117 |
| | | | FR 1996-14098 A 19961119 |
| ES 2158597 | T3 | 20010901 | ES 1997-947075 19971117 |
| | | | FR 1996-14098 A 19961119 |
| GR 3035762 | T3 | 20010731 | GR 2001-400605 20010419 |
| | | | FR 1996-14098 A 19961119 |
| | | | WO 1997-FR2063 W 19971117 |

OS MARPAT 129:40990
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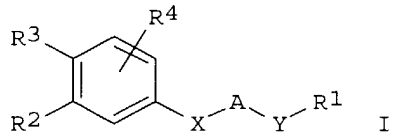


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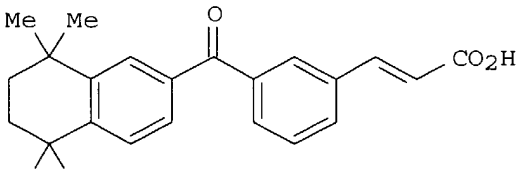


II

AB The invention concerns novel bi-aromatic compds. I [R1 = Me, CH2OR5, OR5, COR6; Y = (un)substituted CH:CH or C.tplbond.C; A = (un)substituted divalent (ortho or meta) benzene, furan, thiophene, or pyridine nucleus; X = O, S, SO, SO2, CO, C(:CH2), C(:CMe2), CH2, etc.; R2, R3 = H, alkyl, OR5, SR5, polyether; or R2R3 may form ring optionally substituted by Me or interrupted by O or S; R4 = H, halo, alkyl, OR5, polyether; R5 = H, alkyl, acyl; R6 = H, alkyl, (un)substituted NH2 or OH]. The compds. are agonists or antagonists of RXR receptors (no data), and can be used in pharmaceutical compns. for human or veterinary medicine (in particular for treating dermatol., rheumatic, respiratory, cardiovascular, and ophthalmol. disorders), as well as cosmetic compns. For instance, Friedel-Crafts acylation of 5,5,8,8-tetramethyl-5,6,7,8-tetrahydronaphthalene with 3-iodobenzoyl chloride (54.6%), followed by Pd-catalyzed vinylation of the iodide with Me acrylate (77%), and hydrolysis of the resultant ester with aqueous NaOH in THF (86%), gave title compound II.

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 18 OF 32 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1997:403183 CAPLUS
DN **127:17489**
TI Preparation of tetrahydronaphthylthiobenzoates and analogs as retinoid X receptor agonists
IN Beard, Richard L.; Colon, Diana F.; Chandraratna, Roshantha A.
PA Allergan, USA
SO PCT Int. Appl., 49 pp.
CODEN: PIXXD2

DT Patent
LA English
FAN.CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| PI WO 9716422 | A1 | 19970509 | WO 1996-US17295 | 19961029 |
| W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN | | | | |
| | | | US 1995-552965 | 19951101 |
| AU 9675985 | A1 | 19970522 | AU 1996-75985 | 19941029 |
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| | | | WO 1996-US17295 | 19961029 |
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OS MARPAT 127:17489
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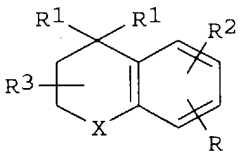


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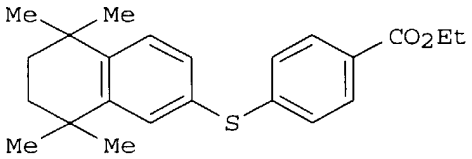


II

AB Title compds. [I; R = SO0-2ZAB; A = bond, alk(en)ylene, alkynylene; B = H, CH2OH, alkoxycarbonyl, etc.; R1 = H or alkyl; R2 = 1-3 substituents selected from H, halo, alkyl, alkoxy, etc.; R3 = 1-4 substituents selected from H, F, alkyl; X = O, S, (alkyl)imino, CH2, etc.; Z = (un)substituted phenylene, -heteroarylene] were prepared Thus, 5,6,7,8-tetrahydro-5,5,8,8-tetramethylnaphthalene-2-thiol was thioetherified by 4-IC6H4CO2Et to give title compound II. Data for biol. activity of I were given.

L4 ANSWER 19 OF 32 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1997:204146 CAPLUS
DN **126:199580**
TI Preparation of heterocyclyl-substituted quinazolines as protein tyrosine kinase inhibitors
IN Cockerill, George Stuart; Carter, Malcolm Clive; Mckeown, Stephen Karl; Vile, Sadie; Page, Martin John; Hudson, Alan Thomas; Barraclough, Paul; Franzmann, Karl Witold
PA Glaxo Group Limited, UK; Cockerill, George Stuart; Carter, Malcolm Clive; Mckeown, Stephen Karl; Vile, Sadie; Page, Martin John; Hudson, Alan Thomas; Barraclough, Paul; Franzmann, Karl Witold
SO PCT Int. Appl., 47 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| PI WO 9703069 | A1 | 19970130 | WO 1996-EP3026 | 19960711 |

W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA

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| | | | GB 1995-14265 | A 19950713 |
| AU 9666139 | A1 | 19970210 | AU 1996-66139 | 19960711 |
| | | | GB 1995-14265 | A 19950713 |
| | | | WO 1996-EP3026 | W 19960711 |
| EP 843671 | A1 | 19980527 | EP 1996-925710 | 19960711 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI | | | | |
| | | | GB 1995-14265 | A 19950713 |
| | | | WO 1996-EP3026 | W 19960711 |
| JP 11508906 | T2 | 19990803 | JP 1996-505503 | 19960711 |
| | | | GB 1995-14265 | A 19950713 |
| | | | WO 1996-EP3026 | W 19960711 |
| ZA 9605935 | A | 19980212 | ZA 1996-5935 | 19960712 |
| | | | GB 1995-14265 | A 19950713 |

OS MARPAT 126:199580
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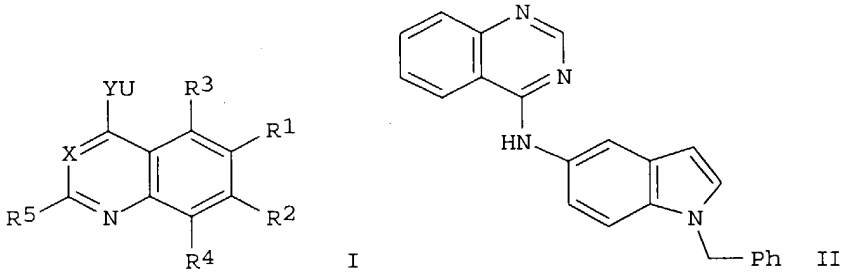


AB The title compds. [I; X = N, CH; Y = OCH2, CH2O, NH, etc.; U = (un)substituted 5-10-membered mono or bicyclic ring system containing one or more heteroatoms such as N, O, S; R1-R4 = H, halo, NH2, etc.; R5 = H, halo, CF3, etc.], which are protein tyrosine kinase inhibitors, and useful in the treatment of psoriasis, fibrosis, atherosclerosis, restenosis, auto-immune disease, allergy, asthma, transplantation rejection, inflammation, thrombosis, nervous system diseases, and cancer, were prepared Thus, reaction of 4-chloroquinazoline with 5-amino-1-benzylindole in iPrOH afforded II.HCl which showed IC50 of 0.26 μM against the c-erbB-2 kinase.

L4 ANSWER 20 OF 32 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1996:181574 CAPLUS
DN **124:232263**
TI Preparation of novel pyrrolopyridine derivatives as endothelin receptor antagonists.
IN Elliott, John Duncan; Leber, Jack Dale
PA SmithKline Beecham Corp., USA
SO PCT Int. Appl., 31 pp.

CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| PI WO 9533748 | A1 | 19951214 | WO 1995-US7220 | 19950607 |
| W: JP, US | | | | |
| RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE | | | | |
| | | | US 1994-255622 A2 | 19940609 |
| EP 763035 | A1 | 19970319 | EP 1995-922242 | 19950607 |
| R: BE, CH, DE, FR, GB, IT, LI, NL | | | | |
| | | | US 1994-255622 A | 19940609 |
| | | | WO 1995-US7220 W | 19950607 |
| JP 10510510 | T2 | 19981013 | JP 1995-501292 | 19950607 |
| | | | US 1994-255622 A | 19940609 |
| | | | WO 1995-US7220 W | 19950607 |
| US 6075037 | A | 20000613 | US 1996-11791 | 19961125 |
| | | | US 1994-255622 B1 | 19940609 |
| | | | WO 1995-US7220 A1 | 19950607 |

OS MARPAT 124:232263
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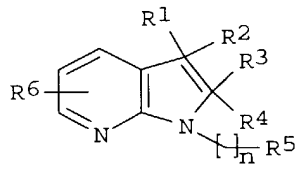
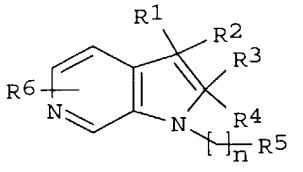

I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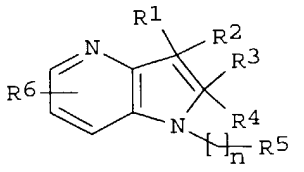
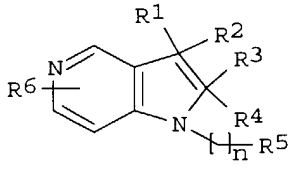

III

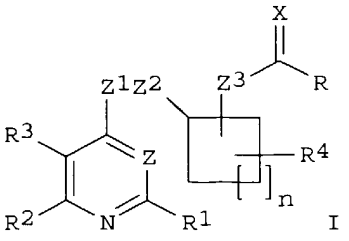

IV

AB Title compds. I-IV [R1 = X(CH2)nAr, X(CH2)n-1Me, etc.; R2, R6 = H, OH, C1-C8 alkoxy, etc.; R3, R4 = H, COOH, X(CH2)n-1Me, etc.; R5 = H, Ar, cycloalkyl; X = (CH2)n, O, NH, etc.; n = 0-6], useful in treatment of diseases caused by an excess of endothelin, were prepared and formulated. Reaction of Et 2-(4-methoxybenzyl)-3-oxobutyrate with 2-pyridinediazonium chloride in the presence of NaOH in EtOAc/H2O followed by treatment of the hydrazone intermediate with gaseous HCl, reaction of the pyrrolo[2,3-b]pyridine intermediate with piperonyl chloride in the presence of NaH in HMPA and subsequent hydrolysis afforded I [R1 = 4-MeOC6H4; R2 = R4 = R6 = H; R3 = COOH; R5 = 3,4-methylenedioxyphenyl; n= 1]. Compds. I-IV are effective at 0.001-40 mg/kg per day (parenteral administration).

L4 ANSWER 21 OF 32 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1996:127981 CAPLUS
DN **124:176139**
TI Preparation of pyrimidinylimino- and -oxycycloalkanecarboxylates and analogs as agrochemical fungicides and pesticides
IN Schaper, Wolfgang; Preus, Rainer; Braun, Peter; Knauf, Werner; Sachse, Burkhard; Waltersdorfer, Anna; Kern, Manfred; Luemmen, Peter; Bonin, Werner
PA Hoechst Schering AgrEvo GmbH, Germany
SO Ger. Offen., 56 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| PI DE 4417163 | A1 | 19951123 | DE 1994-4417163 | 19940517 |
| WO 9531441 | A1 | 19951123 | WO 1995-EP1666 | 19950503 |
| W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, IS, JP, KG, KP, KR, KZ, LK, LR, LT, LV, MD, MG, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TT, UA, UZ, VN | | | | |
| RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | | |
| | | | DE 1994-4417163 | 19940517 |
| CA 2190495 | AA | 19951123 | CA 1995-2190495 | 19950503 |
| | | | DE 1994-4417163 | 19940517 |
| AU 9525235 | A1 | 19951205 | AU 1995-25235 | 19950503 |
| AU 703538 | B2 | 19990325 | | |
| | | | DE 1994-4417163 | 19940517 |
| | | | WO 1995-EP1666 | 19950503 |
| EP 759909 | A1 | 19970305 | EP 1995-919376 | 19950503 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, NL, PT, SE | | | | |
| | | | DE 1994-4417163 | 19940517 |
| | | | WO 1995-EP1666 | 19950503 |
| CN 1148383 | A | 19970423 | CN 1995-193115 | 19950503 |
| | | | DE 1994-4417163 | 19940517 |
| BR 9507647 | A | 19970909 | BR 1995-7647 | 19950503 |
| | | | DE 1994-4417163 | 19940517 |
| | | | WO 1995-EP1666 | 19950503 |
| HU 76722 | A2 | 19971028 | HU 1996-3196 | 19950503 |
| | | | DE 1994-4417163 | 19940517 |
| JP 10500115 | T2 | 19980106 | JP 1995-529316 | 19950503 |
| | | | DE 1994-4417163 | 19940517 |
| | | | WO 1995-EP1666 | 19950503 |
| US 5691321 | A | 19971125 | US 1995-441217 | 19950515 |
| | | | DE 1994-4417163 | 19940517 |
| ZA 9503957 | A | 19960119 | ZA 1995-3957 | 19950516 |
| | | | DE 1994-4417163 | 19940517 |

OS MARPAT 124:176139
GI



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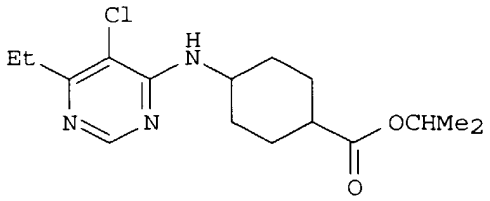


II

AB Title compds. [I; R = H, OH, alkyl, alkoxy, (di)(alkyl)amino, etc.; R1 = H, halo, (cyclo)alkyl; R2 = H, halo, (cyclo)alkyl, alkoxy, etc.; R3 = H, halo, (halo)alkyl, (halo)alkoxy, etc.; R2R3 = atoms to form a ring; R4 = H, alkyl; X = O or S; Z = CH or N; Z1 = O, S, NH; Z2 = bond, alkylene; Z3 = O, bond; n = 0-5] were prepared Thus, 4,5-dichloro-6-ethylpyrimidine was aminated by Me cis-4-aminocyclohexanecarboxylate to give, after transesterification, title compound II which gave complete control of Nilaparvata lugens on rice seedlings at 250ppm.

L4 ANSWER 22 OF 32 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1995:792607 CAPLUS
DN **123:198837**
TI Preparation of tricyclic benzodiazepinone inhibitors of the GPIIbIIIa fibrinogen receptor which block blood platelet aggregation
IN Blackburn, Brent K.; Robarge, Kirk; Somers, Todd C.
PA Genentech, Inc., USA
SO PCT Int. Appl., 355 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | WO 9504057 | A1 | 19950209 | WO 1994-US7989 | 19940715 |
| | W: CA, JP, US | | | | |
| | RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE | | | | |
| | | | | US 1993-99019 | 19930729 |
| | US 5493020 | A | 19960220 | US 1993-99019 | 19930729 |
| | EP 708775 | A1 | 19960501 | EP 1994-923512 | 19940715 |
| | EP 708775 | B1 | 19970528 | | |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE | | | | |
| | | | | US 1993-99019 | 19930729 |
| | | | | WO 1994-US7989 | 19940715 |
| | JP 09501158 | T2 | 19970204 | JP 1994-505853 | 19940715 |
| | | | | US 1993-99019 | 19930729 |
| | | | | WO 1994-US7989 | 19940715 |
| | AT 153665 | E | 19970615 | AT 1994-923512 | 19940715 |
| | | | | US 1993-99019 | 19930729 |
| | US 5705890 | A | 19980106 | US 1994-313069 | 19940926 |
| | | | | US 1993-99019 | 19930729 |
| | | | | WO 1994-US7989 | 19940715 |

PATENT FAMILY INFORMATION:
FAN 1998:31206

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | US 5705890 | A | 19980106 | US 1994-313069 | 19940926 |

| | | | | | |
|---|---|---|---|---|---|
| | | | | US 1993-99019 | 19930729 |
| | | | | WO 1994-US7989 | 19940715 |
| | US 5493020 | A | 19960220 | US 1993-99019 | 19930729 |
| | WO 9504057 | A1 | 19950209 | WO 1994-US7989 | 19940715 |
| | W: CA, JP, US | | | | |
| | RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE | | | | |
| | | | | US 1993-99019 | 19930729 |
| | US 5716951 | A | 19980210 | US 1995-438143 | 19950508 |
| | | | | US 1993-99019 | 19930729 |
| | | | | US 1994-313069 | 19940926 |

OS MARPAT 123:198837
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I; A1 = (un)substituted CH2, (un)substituted CH, N, (un)substituted NH; A2 = (un)substituted CH2, N, SO2, SO, S, O, C:O, etc.; L = (un)substituted alkylene, (un)substituted cycloalkylene, arylene, etc.; Q = (un)substituted NH2, (un)substituted amidino, etc.; R1, R2 = H, halogen, CN, CO2H, aminocarbonyl, carboxamido, etc.; R18-R21 = H, alkyl, halogen, alkoxy, CN, CO2H, OH, etc.; R22 = HO, alkoxy, alkenoxy, aryloxy, etc.] [II; B1 = (un)substituted CH, (un)substituted CH2, N, (un)substituted NH, C:O; B2 = (un)substituted CH, (un)substituted CH2, (un)substituted NH, SO2, SO, S, O, C:O; B3 = (un)substituted CH, (un)substituted CH2, C:O], which potently inhibit fibrinogen binding to the GPIIbIIIa receptor and are useful for the treatment of diseases (no data) in which blocking platelet aggregation is indicated, are prepared Thus, benzodiazepinone, III, was prepared and demonstrated IC50 0.009 μM for Fg/IIbIIIa and 0.133 for platelet aggregation inhibition (citrate).

L4 ANSWER 23 OF 32 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1995:408389 CAPLUS
DN **123:143926**
TI Aryl-1H-thiopyrano[2,3,4-cd]indoles as inhibitors of leukotriene biosynthesis
IN Girard, Yves; Hutchinson, John H.; Therien, Michel; Delorme, Daniel
PA Merck Frosst Canada Inc., Can.
SO PCT Int. Appl., 147 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | WO 9411378 | A1 | 19940526 | WO 1993-CA478 | 19931109 |
| | W: AU, BB, BG, BR, BY, CA, CZ, FI, HU, JP, KR, KZ, LK, LV, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SK, UA, US, UZ | | | | |
| | RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | | |
| | | | | US 1992-978834 | 19921119 |
| | US 5314900 | A | 19940524 | US 1992-978834 | 19921119 |
| | AU 9454151 | A1 | 19940608 | AU 1994-54151 | 19931109 |
| | | | | US 1992-978834 | 19921119 |
| | | | | WO 1993-CA478 | 19931109 |

OS MARPAT 123:143926

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. I (R1-R4 = H, alkyl, etc.; R5 = aryl, alkyl, etc.; R21, R22 = H, alkyl, etc.; A = phenylene, arylene; Q = carboxy, HO, amido, etc.; X = alkylene, etc.; Y = bond, O, S, amido, etc.; Z = CO, sulfonyl, bond, etc.; m, n, p = 0-3) were disclosed. I are useful as antiasthmatics, antiallergic, antiinflammatory, and cytoprotective agents (no claims). They are also useful in treating angina, cerebral spasm, glomerular nephritis, hepatitis, endotoxemia, psoriasis, uveitis, and allograft rejection and in preventing the formation of atherosclerotic plaques (no claims). An example compound, 3-[[[1-(4-chlorobenzyl)-4-methyl-6-(5-phenyl-2-pyridinyl)-4,5-dihydro-1H-thiopyrano-2,3,4-cd]indol-2-yl]methoxy]-2-naphthalenecarbocylic acid (II) was prepared

L4 ANSWER 24 OF 32 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1995:70 CAPLUS
DN **122:187392**
TI Preparation of [heterocyclylarylthio]aryl ketoximes and analogs as 5-lipoxygenase inhibitors
IN Bird, Thomas Geoffrey Colerick; Ple, Patrick
PA Zeneca Ltd., UK; Zeneca-Pharma
SO Eur. Pat. Appl., 85 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | EP 555068 | A1 | 19930811 | EP 1993-300782 | 19930203 |
| | EP 555068 | B1 | 19960410 | | |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE | | | | |
| | | | | EP 1992-400318 | 19920207 |
| | | | | EP 1992-402764 | 19921009 |
| | ZA 9300504 | A | 19930809 | ZA 1993-504 | 19930122 |
| | | | | EP 1992-400318 | 19920207 |
| | AU 9331972 | A1 | 19930812 | AU 1993-31972 | 19930122 |
| | AU 658964 | B2 | 19950504 | | |
| | | | | EP 1992-400318 | 19920207 |
| | | | | EP 1992-402764 | 19921009 |
| | HU 63840 | A2 | 19931028 | HU 1993-272 | 19930203 |
| | | | | EP 1992-400318 | 19920207 |
| | | | | EP 1992-402764 | 19921009 |
| | AT 136546 | E | 19960415 | AT 1993-300782 | 19930203 |
| | | | | EP 1992-400318 | 19920207 |
| | | | | EP 1992-402764 | 19921009 |
| | ES 2086878 | T3 | 19960701 | ES 1993-300782 | 19930203 |
| | | | | EP 1992-400318 | 19920207 |
| | | | | EP 1992-402764 | 19921009 |
| | CA 2088864 | AA | 19930808 | CA 1993-2088864 | 19930205 |
| | | | | EP 1992-400318 | 19920207 |
| | | | | EP 1992-402764 | 19921009 |
| | NO 9300411 | A | 19930809 | NO 1993-411 | 19930205 |
| | | | | EP 1992-400318 | 19920207 |

| | | | | |
|---|---|---|---|---|
| | | | EP 1992-402764 | 19921009 |
| JP 05286957 | A2 | 19931102 | JP 1993-18574 | 19930205 |
| | | | EP 1992-400318 | 19920207 |
| | | | EP 1992-402764 | 19921009 |
| US 5332757 | A | 19940726 | US 1993-14564 | 19930208 |
| | | | EP 1992-400318 | 19920207 |
| | | | EP 1992-402764 | 19921009 |
| US 5482966 | A | 19960109 | US 1994-240464 | 19940613 |
| | | | EP 1992-400318 | 19920207 |
| | | | EP 1992-402764 | 19921009 |
| | | | US 1993-14564 | 19930208 |

OS MARPAT 122:187392

AB R5ON:CR4Z1AXZ2C(OR1)R2R3 [A = bond, alkylene; R1 = alk(en)yl; R2R3 = atoms to complete a heterocyclic ring; R4 = H, alkyl, Ph, etc.; R5 = H, alk(en)yl, alkanoyl, CONH2, etc.; X = O, SO0-2; Z1 = phenylene, heteroarylene, etc.; Z2 = phenylene, pyridinediyl, thiophenediyl, etc.] were prepared Thus, 4-(2-methyl-1,3-dioxolan-2-yl)benzenethiol (preparation in 4 steps from 4-BrC6H4COMe given) was condensed with (2S,4R)-4-(3,5-difluorophenyl)-4-methoxy-2-methyltetrahydropyran and the product converted in 2 steps to title compound (2S,4R)-I which had ID50 of .apprx.0.05mg/kg orally against zymosan-induced LTB4 production in rat subcutaneous air pouch.

L4 ANSWER 25 OF 32 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1994:646331 CAPLUS

DN **121:246331**

TI Cycloalkylamine bis-aryl squalene synthase inhibitors

IN Ullrich, John W.; Kiesow, Terence J.; Neuenschwander, Kent W.; Scotese, Anthony C.; Learn, Keith S.; Dankulich, William P.

PA Rhone-Poulenc Rorer Pharmaceuticals Inc., USA

SO PCT Int. Appl., 63 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| PI WO 9414435 | A1 | 19940707 | WO 1993-US12638 | 19931229 |
| W: AT, AU, BB, BG, BR, CA, CH, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, LK, LU, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, US | | | | |
| RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | | |
| | | | US 1992-997818 A | 19921229 |
| US 5451596 | A | 19950919 | US 1992-997818 | 19921229 |
| CA 2152912 | AA | 19940707 | CA 1993-2152912 | 19931229 |
| | | | US 1992-997818 A | 19921229 |
| AU 9460806 | A1 | 19940719 | AU 1994-60806 | 19931229 |
| | | | US 1992-997818 A | 19921229 |
| | | | WO 1993-US12638W | 19931229 |
| EP 676960 | A1 | 19951018 | EP 1994-907116 | 19931229 |
| EP 676960 | B1 | 20020522 | | |
| R: DE, FR, GB, IT | | | | |
| | | | US 1992-997818 A | 19921229 |
| | | | WO 1993-US12638W | 19931229 |
| JP 08505847 | T2 | 19960625 | JP 1993-515486 | 19931229 |
| | | | US 1992-997818 A | 19921229 |

WO 1993-US12638W 19931229

OS MARPAT 121:246331

AB This invention relates to a class of novel polycyclic compds. containing a cycloalkyl ring having substituted thereon a primary amine and which is further linked or bridged to two mono- and/or bicycli rings and which reduces levels of serum cholesterol in the body without significantly reducing mevalonic metabolite synthesis. This invention relates also to pharmacol. compns. and method of treatment for lowering serum cholesterol levels using the compds. of this invention. E.g., trans-2-[4-(benzoxazol-2-yl)benzyloxy]cyclohexylamine acetate was prepared This and a number of other compds. were tested for squalene synthase inhibiting and anticholesteremic activity.

L4 ANSWER 26 OF 32 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1994:409389 CAPLUS

DN **121:9389**

TI Preparation of isoxazoles derivatives and their use as herbicides

IN Cramp, Susan Mary; Smith, Philip Henry Gaunt

PA Rhone-Poulenc Agriculture Ltd., UK

SO Eur. Pat. Appl., 23 pp.
CODEN: EPXXDW

DT Patent

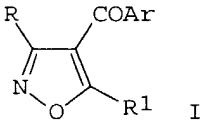
LA English

FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | EP 588357 | A1 | 19940323 | EP 1993-114989 | 19930917 |
| | EP 588357 | B1 | 20020612 | | |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE | | | | |
| | | | | GB 1992-19779 A | 19920918 |
| | AU 9346250 | A1 | 19940324 | AU 1993-46250 | 19930908 |
| | AU 666397 | B2 | 19960208 | | |
| | | | | GB 1992-19779 A | 19920918 |
| | CA 2105822 | AA | 19940319 | CA 1993-2105822 | 19930909 |
| | | | | GB 1992-19779 A | 19920918 |
| | IL 106997 | A1 | 19970610 | IL 1993-106997 | 19930913 |
| | | | | GB 1992-19779 A | 19920918 |
| | BR 9303517 | A | 19940322 | BR 1993-3517 | 19930916 |
| | | | | GB 1992-19779 A | 19920918 |
| | FI 9304089 | A | 19940319 | FI 1993-4089 | 19930917 |
| | | | | GB 1992-19779 A | 19920918 |
| | ZA 9306867 | A | 19940411 | ZA 1993-6867 | 19930917 |
| | | | | GB 1992-19779 A | 19920918 |
| | CN 1085219 | A | 19940413 | CN 1993-117864 | 19930917 |
| | CN 1045439 | B | 19991006 | | |
| | | | | GB 1992-19779 A | 19920918 |
| | JP 06192015 | A2 | 19940712 | JP 1993-231546 | 19930917 |
| | | | | GB 1992-19779 A | 19920918 |
| | HU 68735 | A2 | 19950728 | HU 1993-2622 | 19930917 |
| | | | | GB 1992-19779 A | 19920918 |
| | US 5480857 | A | 19960102 | US 1993-128605 | 19930917 |
| | | | | GB 1992-19779 A | 19920918 |
| | RU 2114842 | C1 | 19980710 | RU 1993-52688 | 19930917 |
| | | | | GB 1992-19779 A | 19920918 |
| | EP 1156048 | A1 | 20011121 | EP 2001-119705 | 19930917 |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE | | | | |
| | | | | GB 1992-19779 A | 19920918 |
| | | | | EP 1993-114989 A3 | 19930917 |

| | | | | | |
|---|---|---|---|---|---|
| | AT 219079 | E | 20020615 | AT 1993-114989 | 19930917 |
| | | | | GB 1992-19779 A | 19920918 |
| | ES 2173877 | T3 | 20021101 | ES 1993-114989 | 19930917 |
| | | | | GB 1992-19779 A | 19920918 |

OS MARPAT 121:9389
GI



I

AB Title compds. I (Ar = (substituted) heterocyclyl; R = H, R3O2C wherein R3 = (substituted) C1-6 alkyl; R1 = (halo) C1-6 alkyl, (substituted) C3-6 cycloalkyl)or a salt thereof, are prepared HONH2 and 3-cyclopropyl-1-(3,5-dichloropyridin-2-yl)-2-(dimethylamino)methylenepropane-1,3-dione (preparation given) in EtOH were stirred at room temperature overnight to give I (Ar = 3,5-dichloro-2-pyridyl, R = H, R1 = cyclopropyl) which with other 16 I when applied pre- or post-emergence at 4 kg/ha or less, gave at leat 80% control of one or more weed species.

L4 ANSWER 27 OF 32 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1994:270460 CAPLUS
DN **120:270460**
TI [(Benzodioxolyl)methyl]propenoates and their uses as endothelin receptor antagonists
IN Bryan, Deborah Lynne; Elliot, John Duncan
PA Smithkline Beecham Corp., USA
SO PCT Int. Appl., 44 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | WO 9402474 | A1 | 19940203 | WO 1993-US6667 | 19930715 |
| | W: AU, BB, BG, BR, BY, CA, CZ, FI, HU, JP, KP, KR, KZ, LK, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SK, UA, US, VN | | | | |
| | RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | | |
| | | | | US 1992-916051 | A219920717 |
| | | | | US 1993-49606 | A219930419 |
| | AU 9346797 | A1 | 19940214 | AU 1993-46797 | 19930715 |
| | | | | US 1992-916051 | A 19920717 |
| | | | | US 1993-49606 | A 19930419 |
| | | | | WO 1993-US6667 | W 19930715 |
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| | R: BE, CH, DE, FR, GB, IT, LI, NL | | | | |
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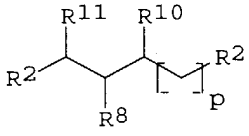


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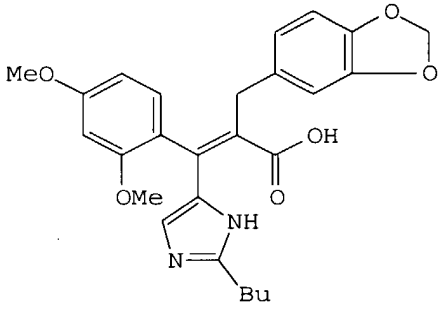


II

AB Endothelin receptor antagonists I (R1, R2 = Ph, benzodioxolyl, etc.; R10 = H, Ph, benzyl, etc.; R8 = carboxy, carbamoyl, cyano, etc.; R11 = aryl, cycloalkyl, etc.; p=0-2) and unsatd. derivs. of I were disclosed. A specifically claimed compound is (E)-3-(2-butyl-5-imidazolyl)-3-(2,4-dimethoxyphenyl)-2-(3,4-methylenedioxybenzyl)-2-propenoic acid (II). Pharmacol. test data for II were not listed; the potency of I range is 0.1 nM to 50 μM in an in vitro assay of endothelin-induced contractions of rat aortae. I are useful for the treatment of renal failure and as antihypertensives.

L4 ANSWER 28 OF 32 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1994:244673 CAPLUS
DN **120:244673**
TI Preparation of pyran containing hydroxylamine derivatives as 5-lipoxygenase inhibitors
IN Ple, Patrick
PA Zeneca Ltd., UK
SO Eur. Pat. Appl., 23 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
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| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE | | | | |
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OS MARPAT 120:244673

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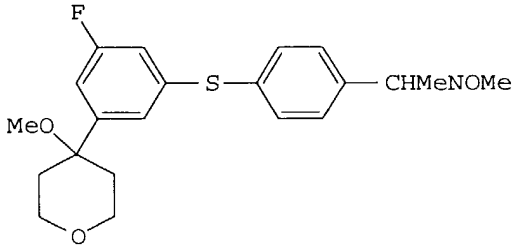


II

AB Title compds. R5ONR4CR6R7Ar1A1X1Ar2C(OR1)R2R3 (I; R1 = C1-4 alkyl, C3-4 alkenyl, C3-4 alkynyl; R2R3 = A2X2A3 which with the C to which A2 and A3 are attached define a (substituted) 5-6-membered ring, wherein A2, A3 = C1-3 alkylene and X2 = O, S, SO, SO2, NH, etc.; R6 = H, C1-4 alkyl, (substituted) Ph -Ph(C1-4 alkyl), etc.; R7 = H, C1-4 alkyl; Ar1 = (substituted) phenylene, etc.; A1 = bond, C1-4 alkylene; X1 = O, S, SO, SO2; Ar2 = phenylene, pyridinediyl, pyrimidinediyl, thiophenediyl, furandiyl, etc. all of which may be substituted; R4 = H, H2NCO, C1-4 alkyl, Bz, etc.; R5 = H, C1-4 alkyl, C3-4 alkenyl, C3-4 alkynyl, cyano-C1-4-alkyl, etc.) and a salt thereof, are prepared (E)-4'-[5-fluoro-3-(4-methoxytetrahydropyran-4-yl)phenylthio]acetophenone oxime O-Me ether was reduce to give the title compound II. The effect of inhibition was demonstrated by II which had an IC50 of 0.04 μM against LTB4 in an in vitro test and an oral ED50 of 0.5 mg/kg vs LTB4. Pharmaceutical compns. comprising I are given. Such compns. can include a cyclooxygenase inhibitory nonsteroidal antiinflammatory agent.

L4 ANSWER 29 OF 32 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1994:106563 CAPLUS
DN **120:106563**
TI Indane derivatives and their use as endothelin receptor antagonists
IN Cousins, Russell Donovan; Elliott, John Duncan; Lago, Maria Amparo; Leber, Jack Dale; Peishoff, Catherine Elisabeth
PA SmithKline Beecham Corp., USA
SO PCT Int. Appl., 86 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 4

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
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| | US 6448260 | B2 | 20020910 | |
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FAN 1995:763506

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FAN 1998:118608

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
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FAN 1998:650045

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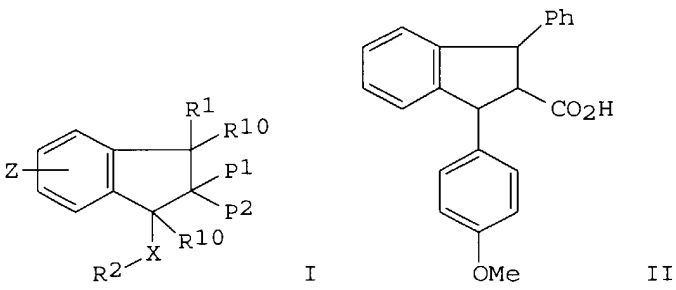


I II

AB The title compds. I (R1 = alkylaryl, etc.; R2 = H, aryl; R10 = alkylaryl, aryl, etc.; P1, R2 = substituted alkyl; Z = H, alkyl, etc.) and their use as endothelin receptor antagonists are claimed. I are useful as antihypertensives, treatment of renal failure or cerebrovascular disease. Addition reaction of 4-methoxyphenylmagnesium bromide with Et 1-oxo-3-phenylindene-2-carboxylate gave 1-(4-methoxyphenyl)-3-phenyl-2-indanecarboxylic acid (II). Data for the pharmacol. activity of I were not reported.

L4 ANSWER 30 OF 32 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1993:552116 CAPLUS
DN **119:152116**
TI Use of renin inhibitors for the treatment of glaucoma
IN Tanaka, Yoko; Kagayama, Akira; Hata, Takehisa
PA Fujisawa Pharmaceutical Co., Ltd., Japan
SO PCT Int. Appl., 25 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

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|---|---|---|---|---|---|
| PI | WO 9312796 | A1 | 19930708 | WO 1992-JP1656 | 19921218 |
| | W: AU, CA, HU, JP, KR, RU, US | | | | |
| | RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE | | | | |
| | | | | GB 1991-27041 | 19911220 |
| | ZA 9209738 | A | 19930617 | ZA 1992-9738 | 19921215 |
| | | | | GB 1991-27041 | 19911220 |
| | AU 9331712 | A1 | 19930728 | AU 1993-31712 | 19921218 |
| | AU 661748 | B2 | 19950803 | | |
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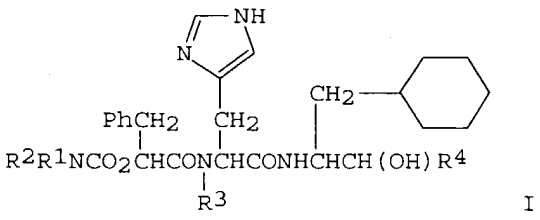
| | | | | WO 1992-JP1656 | 19921218 |
| | EP 617622 | A1 | 19941005 | EP 1993-900396 | 19921218 |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE | | | | |
| | | | | GB 1991-27041 | 19911220 |
| | | | | WO 1992-JP1656 | 19921218 |
| | JP 07506807 | T2 | 19950727 | JP 1992-511545 | 19921218 |
| | | | | GB 1991-27041 | 19911220 |
| | | | | WO 1992-JP1656 | 19921218 |
| | CN 1088934 | A | 19940706 | CN 1993-101190 | 19930102 |
| | | | | GB 1991-27041 | 19911220 |

OS MARPAT 119:152116
GI



I

AB The renin-inhibiting histidine derivs. I [R1 = (un)substituted alkyl or amino; R2, R3 = H, alkyl; NR1R2 = heterocyclyl; R4 = alkyl] or I salts are drugs for the treatment of glaucoma. Eye application of 0.2% 2(S)-[Nα-[2(S)-[N-methyl-N-[2-[N-(morpholinocarbonyl)-N-methylamino]ethyl]aminocarbonyloxy]-3-phenylpropionyl]-Nα-methyl-L-histidyl]amino-1-cyclohexyl-3(S)-hydroxy-6-methylheptane-HCl lower intraocular pressure in the rabbit.

L4 ANSWER 31 OF 32 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1992:13416 CAPLUS
DN **116:13416**
TI Pressure- and heat-sensitive recording materials with good sensitivity, storability and image stability
IN Sano, Masajiro; Takashima, Masanobu; Satomura, Masato
PA Fuji Photo Film Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 11 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | JP 03142277 | A2 | 19910618 | JP 1989-282319 | 19891030 |
| | | | | JP 1989-282319 | 19891030 |

OS MARPAT 116:13416
AB The title materials utilizes coloration by contact between electron-donating leuco dye Ar1R1CH:CR2:CH:CHR3CR4R5Ar2 (Ar1, Ar2 = amine residue-containing aryl or heterocyclic group; R1-4 = H, monovalent group; R5 = aryl group-containing alkoxy group; R1-4 may bond together forming 4- to 12-membered rings with or without containing heteroatom) and electron-accepting compound

L4 ANSWER 32 OF 32 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1989:576729 CAPLUS
DN **111:176729**
TI Acetylenic compounds for liquid crystal phases of liquid crystal display devices
IN Reiffenrath, Volker; Krause, Joachim; Weber, Georg
PA Merck Patent G.m.b.H., Fed. Rep. Ger.
SO Ger. Offen., 13 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | DE 3734517 | A1 | 19890503 | DE 1987-3734517 | 19871013 |
| | DE 3734517 | C2 | 19960808 | | |
| | | | | DE 1987-3734517 | 19871013 |

OS CASREACT 111:176729; MARPAT 111:176729

AB The acetylenic compds. R1(A1Z1)mA2(C.tplbond.C)nA3C.tplbond.CQR2 [A1 = (un)substituted, 1,4-phenylene, trans-1,4-cyclohexylene; A2, A3 = (un)substituted 1,4-phenylene, or when n = 0, 1,4-cyclohexylene; Q = O, CO2, O2C; R1 = H, halogen, CN, C1-18 alkyl in which ≥1 of the CH2 group(s) may be replaced with O, S, CO, O2C, CO2, C.tplbond.C, CH=CH, CHX or CHCN; X = halogen; R2 = C1-18 alkyl; Z1 = CH2CH2, CO2, O2C, CH2O, OCH2, direct bond; m = 0-2; n = 0, 1] are prepared and are useful in liquid crystal displays. 4-Bromoiodobenzene reacted with 1-heptyne in the presence of bis(triphenylphosphine) Pd(II) chloride and CuI for 6 h and the intermediate mixed with (4-propylphenyl)acetylene and warmed to 60° for 15 h, producing 1-(4-propylphenyl)-2-(4-heptyn-1-yl)phenyl]acetylene (I). A liquid crystal phase was prepared containing I 10.0, p-trans-4-propylcyclohexylbenzonitrile 21.6, p-trans-4-pentylcyclohexylbenzonitrile 32.4, p-trans-4-heptylcyclohexylbenzonitrile 22.5, and 4-cyano-4'-(trans-4-pentylcyclohexyl)biphenyl 13.5%, which had clear point 69° and viscosity 26 mm2/s.

=> log y

| COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION |
|---|---|---|
| FULL ESTIMATED COST | 119.55 | 388.38 |

| DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | SINCE FILE ENTRY | TOTAL SESSION |
|---|---|---|
| CA SUBSCRIBER PRICE | -22.18 | -22.18 |

STN INTERNATIONAL LOGOFF AT 17:03:27 ON 28 APR 2004

```
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LOGINID:ssspta1611sxp

PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2


* * * * * * * * * *   Welcome to STN International   * * * * * * * * * *

 NEWS  1           Web Page URLs for STN Seminar Schedule - N. America
 NEWS  2           "Ask CAS" for self-help around the clock
 NEWS  3  JAN 27   Source of Registration (SR) information in REGISTRY updated
                   and searchable
 NEWS  4  JAN 27   A new search aid, the Company Name Thesaurus, available in
                   CA/CAplus
 NEWS  5  FEB 05   German (DE) application and patent publication number format
                   changes
 NEWS  6  MAR 03   MEDLINE and LMEDLINE reloaded
 NEWS  7  MAR 03   MEDLINE file segment of TOXCENTER reloaded
 NEWS  8  MAR 03   FRANCEPAT now available on STN
 NEWS  9  MAR 29   Pharmaceutical Substances (PS) now available on STN
 NEWS 10  MAR 29   WPIFV now available on STN
 NEWS 11  MAR 29   No connect hour charges in WPIFV until May 1, 2004
 NEWS 12  MAR 29   New monthly current-awareness alert (SDI) frequency in RAPRA
 NEWS 13  APR 26   PROMT: New display field available
 NEWS 14  APR 26   FIPAT/IFIUDB/IFICDB: New super search and display field
                   available
 NEWS 15  APR 26   LITALERT now available on STN
 NEWS 16  APR 27   NLDB: New search and display fields available

 NEWS EXPRESS  MARCH 31 CURRENT WINDOWS VERSION IS V7.00A, CURRENT
               MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
               AND CURRENT DISCOVER FILE IS DATED 13 APRIL 2004
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 NEWS PHONE    Direct Dial and Telecommunication Network Access to STN
 NEWS WWW      CAS World Wide Web Site (general information)

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FILE 'HOME' ENTERED AT 17:09:01 ON 28 APR 2004

=> file reg
COST IN U.S. DOLLARS                          SINCE FILE      TOTAL
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| | ENTRY | SESSION |
|---|---|---|
| FULL ESTIMATED COST | 0.42 | 0.42 |

FILE 'REGISTRY' ENTERED AT 17:10:14 ON 28 APR 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES: 27 APR 2004 HIGHEST RN 677274-15-6
DICTIONARY FILE UPDATES: 27 APR 2004 HIGHEST RN 677274-15-6

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

Please note that search-term pricing does apply when
conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more
information enter HELP PROP at an arrow prompt in the file or refer
to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

=>
Uploading c:\program files\stnexp\queries\10725212.2

L1 STRUCTURE UPLOADED

=>
Uploading c:\program files\stnexp\queries\10725212.2

L2 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR
*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

Structure attributes must be viewed using STN Express query preparation.

=> s l1 sss full
FULL SEARCH INITIATED 17:10:56 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 1537 TO ITERATE

100.0% PROCESSED 1537 ITERATIONS 12 ANSWERS
SEARCH TIME: 00.00.01

L3 12 SEA SSS FUL L1

=> file caplus

| COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION |
|---|---|---|
| FULL ESTIMATED COST | 155.84 | 156.26 |

Patel <4/28/2004>

FILE 'CAPLUS' ENTERED AT 17:11:18 ON 28 APR 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.


FILE COVERS 1907 - 28 Apr 2004 VOL 140 ISS 18
FILE LAST UPDATED: 27 Apr 2004 (20040427/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

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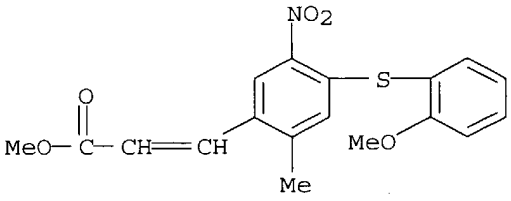
=> s l3
L4 3 L3

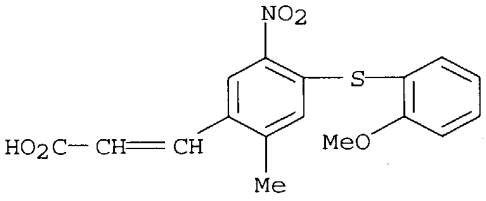
=> d l4 fbib hitstr abs total

L4 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2001:758465 CAPLUS
DN 136:47984
TI Discovery of Novel p-Arylthio Cinnamides as Antagonists of Leukocyte Function-Associated Antigen-1/Intercellular Adhesion Molecule-1 Interaction. 4. Structure-Activity Relationship of Substituents on the Benzene Ring of the Cinnamide
AU Winn, Martin; Reilly, Edward B.; Liu, Gang; Huth, Jeffrey R.; Jae, Hwan-Soo; Freeman, Jennifer; Pei, Zhonghua; Xin, Zhili; Lynch, John; Kester, Jeff; von Geldern, Thomas W.; Leitza, Sandra; DeVries, Peter; Dickinson, Robert; Mussatto, Donna; Okasinski, Gregory F.
CS Metabolic Disease Research Pharmaceutical Products Division, Abbott Laboratories, Abbott Park, IL, 60064-6098, USA
SO Journal of Medicinal Chemistry (2001), 44(25), 4393-4403
CODEN: JMCMAR; ISSN: 0022-2623
PB American Chemical Society
DT Journal
LA English
IT **381229-53-4**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation and structure-activity relationships of p-arylthio cinnamides as antagonists of LFA-1/ICAM-1)
RN 381229-53-4 CAPLUS
CN 2-Propenoic acid, 3-[4-[(2-methoxyphenyl)thio]-2-methyl-5-nitrophenyl]-, methyl ester (9CI) (CA INDEX NAME)

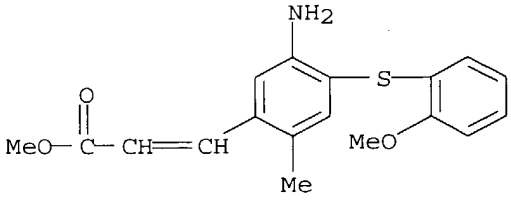


IT **381229-52-3P 381229-54-5P 381229-55-6P**
**381229-56-7P 381229-79-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and structure-activity relationships of p-arylthio cinnamides as antagonists of LFA-1/ICAM-1)

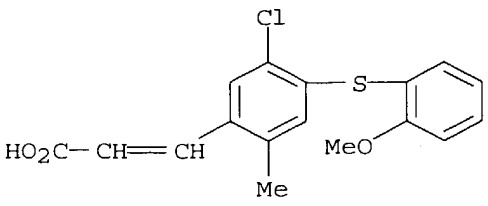
RN 381229-52-3 CAPLUS
CN 2-Propenoic acid, 3-[4-[(2-methoxyphenyl)thio]-2-methyl-5-nitrophenyl]- (9CI) (CA INDEX NAME)



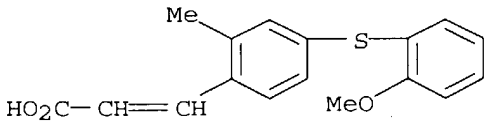
RN 381229-54-5 CAPLUS
CN 2-Propenoic acid, 3-[5-amino-4-[(2-methoxyphenyl)thio]-2-methylphenyl]-, methyl ester (9CI) (CA INDEX NAME)



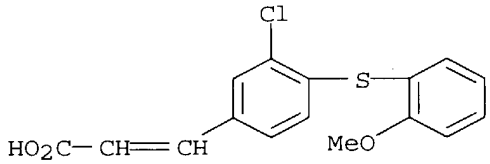
RN 381229-55-6 CAPLUS
CN 2-Propenoic acid, 3-[5-chloro-4-[(2-methoxyphenyl)thio]-2-methylphenyl]- (9CI) (CA INDEX NAME)




RN 381229-56-7 CAPLUS
CN 2-Propenoic acid, 3-[4-[(2-methoxyphenyl)thio]-2-methylphenyl]- (9CI) (CA INDEX NAME)




RN 381229-79-4 CAPLUS
CN 2-Propenoic acid, 3-[3-chloro-4-[(2-methoxyphenyl)thio]phenyl]- (9CI) (CA INDEX NAME)




AB We have shown that p-arylthio cinnamides can inhibit the interaction of LFA-1 and ICAM-1, which is involved in cell adhesion and the inflammatory process. We now show that 2,3-disubstitution on the aryl portion of the cinnamide results in enhanced activity over mono substitution on the ring. The best 2,3-substituents were chlorine and trifluoromethyl groups. Compds. 39 and 40 which contain two CF3 groups have IC50 values of 0.5 and 0.1 nM, resp., in inhibiting JY8 cells expressing LFA-1 on their surface, from adhering to ICAM-1. The structure-activity relation (SAR) was examined using an NMR based model of the LFA-1 I domain/compound 31 complex. One of our compds. (38) was able to reduce cell migration in two different in vivo expts.

RE.CNT 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2000:725609 CAPLUS
DN 133:296281
TI Preparation of 2- or 4-(phenylthio)cinnamides as cell adhesion-inhibiting antiinflammatory and immune-suppressive compounds
IN Link, James; Liu, Gang; Pei, Zhonghua; Von Geldern, Thomas W.; Winn, Martin; Xin, Zhili; Wang, Sheldon; Boyd, Steven A.; Zhu, Gui-Dong; Freeman, Jennifer C.; Gunawardana, Indrani W.; Staeger, Michael A.; Jae, Hwan-soo; Lynch, John K.

PA Abbott Laboratories, USA
SO PCT Int. Appl., 476 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | WO 2000059880 | A1 | 20001012 | WO 2000-US8895 | 20000403 |
| | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| | RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| | | | | US 1999-286645 A | 19990402 |
| | | | | US 1999-474517 A | 19991229 |
| | | | | US 2000-541795 A | 20000331 |
| | EP 1165505 | A1 | 20020102 | EP 2000-921654 | 20000403 |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |
| | | | | US 1999-286645 A | 19990402 |
| | | | | US 1999-474517 A | 19991229 |
| | | | | WO 2000-US8895 W | 20000403 |
| | BR 2000009426 | A | 20020409 | BR 2000-9426 | 20000403 |
| | | | | US 1999-286645 A | 19990402 |
| | | | | US 1999-474517 A | 19991229 |
| | | | | US 2000-541795 A | 20000331 |
| | | | | WO 2000-US8895 W | 20000403 |
| | EE 200100513 | A | 20021216 | EE 2001-513 | 20000403 |
| | | | | US 1999-286645 A | 19990402 |
| | | | | US 1999-474517 A | 19991229 |
| | | | | US 2000-541795 A | 20000331 |
| | | | | WO 2000-US8895 W | 20000403 |
| | NO 2001004767 | A | 20011130 | NO 2001-4767 | 20011001 |
| | | | | US 1999-286645 A | 19990402 |
| | | | | US 1999-474517 A | 19991229 |
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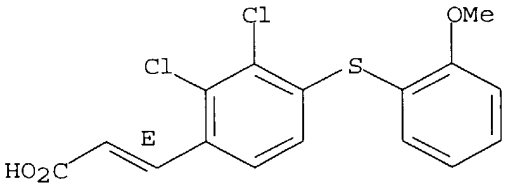
| | BG 106029 | A | 20020531 | BG 2001-106029 | 20011018 |
| | | | | US 1999-286645 A | 19990402 |
| | | | | US 1999-474517 A | 19991229 |
| | | | | US 2000-541795 A | 20000331 |
| | | | | WO 2000-US8895 W | 20000403 |
| | HR 2001000776 | A1 | 20021231 | HR 2001-776 | 20011023 |
| | | | | US 1999-286645 A | 19990402 |
| | | | | US 1999-474517 A | 19991229 |
| | | | | US 2000-541795 A | 20000331 |
| | | | | WO 2000-US8895 W | 20000403 |
| | ZA 2001008944 | A | 20030702 | ZA 2001-8944 | 20011030 |
| | | | | US 1999-286645 A | 19990402 |

OS MARPAT 133:296281
IT **280752-98-9**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of (phenylthio)cinnamides as cell adhesion inhibitors by coupling of thiophenols with halobenzaldehydes, conversion to cinnamic

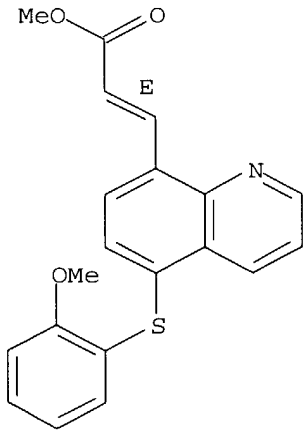
acids, amidation, and optional derivatization)
RN 280752-98-9 CAPLUS
CN 2-Propenoic acid, 3-[2,3-dichloro-4-[(2-methoxyphenyl)thio]phenyl]-, (2E)-(9CI) (CA INDEX NAME)

Double bond geometry as shown.

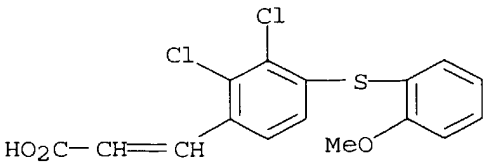



IT **280753-23-3P 301179-75-9P**, 2,3-Dichloro-4-(2-methoxyphenylthio)cinnamic acid **301179-87-3P 301179-94-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of (phenylthio)cinnamides as cell adhesion inhibitors by coupling of thiophenols with halobenzaldehydes, conversion to cinnamic acids, amidation, and optional derivatization)
RN 280753-23-3 CAPLUS
CN 2-Propenoic acid, 3-[5-[(2-methoxyphenyl)thio]-8-quinolinyl]-, methyl ester, (2E)- (9CI) (CA INDEX NAME)

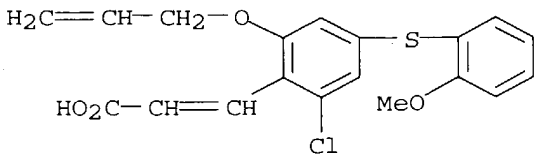
Double bond geometry as shown.




RN 301179-75-9 CAPLUS
CN 2-Propenoic acid, 3-[2,3-dichloro-4-[(2-methoxyphenyl)thio]phenyl]- (9CI) (CA INDEX NAME)

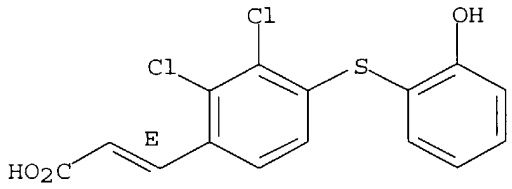


RN 301179-87-3 CAPLUS
CN 2-Propenoic acid, 3-[2-chloro-4-[(2-methoxyphenyl)thio]-6-(2-propenyloxy)phenyl]- (9CI) (CA INDEX NAME)



RN 301179-94-2 CAPLUS
CN 2-Propenoic acid, 3-[2,3-dichloro-4-[(2-hydroxyphenyl)thio]phenyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



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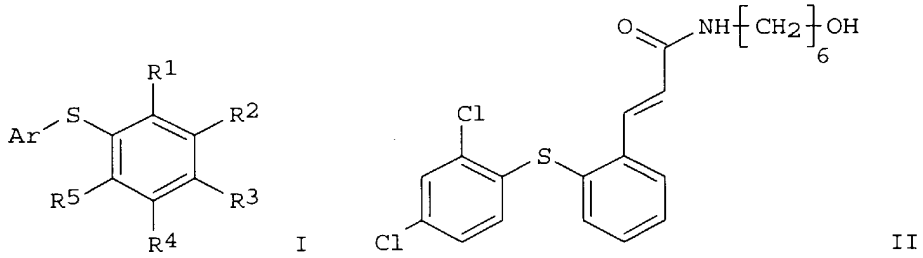


AB The title compds. (I) [wherein R1-R5 = independently H, halo, (halo)alkyl, alkoxy, cyano, NO2, CHO, and least one of R1 or R3 is an (un)substituted cis- or trans-cinnamide; Ar = (un)substituted (hetero)aryl] were prepared as cell adhesion inhibitors for the treatment of inflammatory and immune diseases. Examples include syntheses for 443 invention compds. and data for 3 bioassays. For instance, a mixture of 2-[(2,4-

dichlorophenyl)thio]benzaldehyde (preparation given), malonic acid, piperidine in anhydrous pyridine was heated at 110°C for 2 h and then treated with aqueous HCl to give trans-2-[(2,4-dichlorophenyl)thio]cinnamic acid (91%). Conversion to the acid chloride followed by amidation with 6-amino-1-hexanol gave (E)-II (90%). In an integrin LFA-1/ICAM-1 biochem. interaction assay, I demonstrated inhibition at 4 μM. In cell-based adhesion assays which measure the ability of test compds. to block adherence of JY-8 cells (a human EBV-transformed B cell line expressing LFA-1 on its surface) to immobilized ICAM-1 or ICAM-3, I exhibited blocking activity at 4 μM and 0.6 μM, resp.

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2000:457022 CAPLUS
DN 133:89514
TI Cell adhesion-inhibiting antiinflammatory and immune-suppressive compounds
IN Link, James; Liu, Gang; Pei, Zhonghua; Von Geldern, Tom; Winn, Martin; Xin, Zhili; Boyd, Steven A.; Jae, Hwan-Soo; Lynch, John K.; Zhu, Gui-Dong; Freeman, Jennifer C.; Gunawardana, Indrani W.; Staeger, Michael A.
PA Abbott Laboratories, USA
SO PCT Int. Appl., 400 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | WO 2000039081 | A2 | 20000706 | WO 1999-US31162 | 19991229 |
| | WO 2000039081 | A3 | 20010525 | | |
| | W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| | RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| | | | | US 1998-222491 A | 19981229 |
| | US 6110922 | A | 20000829 | US 1998-222491 | 19981229 |
| | CA 2356320 | AA | 20000706 | CA 1999-2356320 | 19991229 |
| | | | | US 1998-222491 A | 19981229 |
| | | | | WO 1999-US31162W | 19991229 |
| | EP 1140814 | A2 | 20011010 | EP 1999-966709 | 19991229 |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |
| | | | | US 1998-222491 A | 19981229 |
| | | | | WO 1999-US31162W | 19991229 |
| | JP 2002533434 | T2 | 20021008 | JP 2000-590994 | 19991229 |
| | | | | US 1998-222491 A | 19981229 |
| | | | | WO 1999-US31162W | 19991229 |
| | EE 200100355 | A | 20021015 | EE 2001-355 | 19991229 |
| | | | | US 1998-222491 A | 19981229 |
| | | | | WO 1999-US31162W | 19991229 |
| | NZ 512687 | A | 20031219 | NZ 1999-512687 | 19991229 |
| | | | | US 1998-222491 A | 19981229 |
| | | | | WO 1999-US31162W | 19991229 |

| | | | | |
|---|---|---|---|---|
| NO 2001003241 | A | 20010828 | NO 2001-3241 | 20010628 |
| | | | US 1998-222491 A | 19981229 |
| | | | WO 1999-US31162W | 19991229 |
| HR 2001000512 | A1 | 20020831 | HR 2001-512 | 20010710 |
| | | | US 1998-222491 A | 19981229 |
| | | | WO 1999-US31162W | 19991229 |
| BG 105732 | A | 20020228 | BG 2001-105732 | 20010725 |
| | | | US 1998-222491 A | 19981229 |
| | | | WO 1999-US31162W | 19991229 |

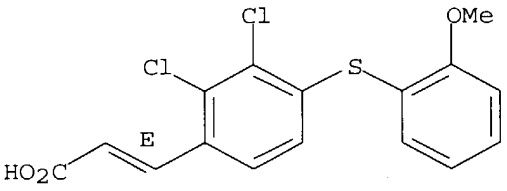
OS MARPAT 133:89514

IT **280752-98-9P**, 2,3-Dichloro-4-(2-methoxyphenylthio)cinnamic acid
**280753-13-1P 280753-23-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of N-(hetaryl)(arylthio)cinnamamides with antiinflammatory, immune suppressant and cell adhesion inhibiting activity)

RN 280752-98-9 CAPLUS

CN 2-Propenoic acid, 3-[2,3-dichloro-4-[(2-methoxyphenyl)thio]phenyl]-, (2E)-(9CI) (CA INDEX NAME)

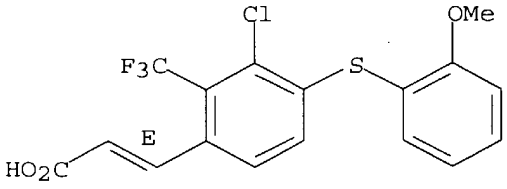
Double bond geometry as shown.




RN 280753-13-1 CAPLUS

CN 2-Propenoic acid, 3-[3-chloro-4-[(2-methoxyphenyl)thio]-2-(trifluoromethyl)phenyl]-, (2E)- (9CI) (CA INDEX NAME)

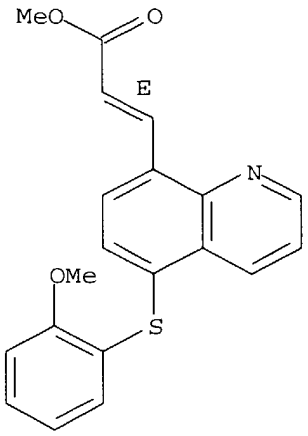
Double bond geometry as shown.




RN 280753-23-3 CAPLUS

CN 2-Propenoic acid, 3-[5-[(2-methoxyphenyl)thio]-8-quinolinyl]-, methyl ester, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.




AB The present invention relates to novel cinnamide compds. that are useful for treating inflammatory and immune diseases, to pharmaceutical compns. containing these compds., and to methods of inhibiting inflammation or suppressing immune response in a mammal. Among the approx. 400 trans-arylthiocinnamamide title compds., prepared by standard methods, were 6-benzodioxanyl 2-trifluoromethyl-4-[(E)-2-[3-(R)-(ethoxycarbonyl)piperidinocarbonyl]ethenyl]phenyl sulfide (I), 2-ethoxyphenyl 2-trifluoromethyl-4-[(E)-2-[2-carboxy-4-(methoxycarbonyl)-1-piperazinylcarbonyl]ethenyl]phenyl sulfide (II) and 2-isopropylphenyl 2-nitro-4-[(E)-2-[3-(2-oxo-1-pyrrolidinyl)-1-propylaminocarbonyl]ethenyl]phenyl sulfide (III). The abilities of the title compds. to antagonize the interaction between ICAM-1 and LFA-1 were quantified using both biochem. and cell-based adhesion assays. E.g., compds. I-III exhibited 98% inhibition @ 4μM.

=> log y

| COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION |
|---|---|---|
| FULL ESTIMATED COST | 15.15 | 171.41 |

| DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | SINCE FILE ENTRY | TOTAL SESSION |
|---|---|---|
| CA SUBSCRIBER PRICE | -2.08 | -2.08 |

STN INTERNATIONAL LOGOFF AT 17:12:23 ON 28 APR 2004

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PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

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|---|---|---|---|
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| NEWS | 2 | | "Ask CAS" for self-help around the clock |
| NEWS | 3 | JAN 27 | Source of Registration (SR) information in REGISTRY updated and searchable |
| NEWS | 4 | JAN 27 | A new search aid, the Company Name Thesaurus, available in CA/CAplus |
| NEWS | 5 | FEB 05 | German (DE) application and patent publication number format changes |
| NEWS | 6 | MAR 03 | MEDLINE and LMEDLINE reloaded |
| NEWS | 7 | MAR 03 | MEDLINE file segment of TOXCENTER reloaded |
| NEWS | 8 | MAR 03 | FRANCEPAT now available on STN |
| NEWS | 9 | MAR 29 | Pharmaceutical Substances (PS) now available on STN |
| NEWS | 10 | MAR 29 | WPIFV now available on STN |
| NEWS | 11 | MAR 29 | No connect hour charges in WPIFV until May 1, 2004 |
| NEWS | 12 | MAR 29 | New monthly current-awareness alert (SDI) frequency in RAPRA |
| NEWS | 13 | APR 26 | PROMT: New display field available |
| NEWS | 14 | APR 26 | FIPAT/IFIUDB/IFICDB: New super search and display field available |
| NEWS | 15 | APR 26 | LITALERT now available on STN |
| NEWS | 16 | APR 27 | NLDB: New search and display fields available |

| | |
|---|---|
| NEWS EXPRESS | MARCH 31 CURRENT WINDOWS VERSION IS V7.00A, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 13 APRIL 2004 |
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| NEWS INTER | General Internet Information |
| NEWS LOGIN | Welcome Banner and News Items |
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* * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 17:14:54 ON 28 APR 2004

=> file reg
COST IN U.S. DOLLARS SINCE FILE TOTAL

| | ENTRY | SESSION |
|---|---|---|
| FULL ESTIMATED COST | 0.21 | 0.21 |

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FILE 'REGISTRY' ENTERED AT 17:15:14 ON 28 APR 2004
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provided by InfoChem.

STRUCTURE FILE UPDATES:   27 APR 2004  HIGHEST RN 677274-15-6
DICTIONARY FILE UPDATES:  27 APR 2004  HIGHEST RN 677274-15-6

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

  Please note that search-term pricing does apply when
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Experimental and calculated property data are now available. For more
information enter HELP PROP at an arrow prompt in the file or refer
to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

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Uploading c:\program files\stnexp\queries\10725212.3

L1      STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1              STR
*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

Structure attributes must be viewed using STN Express query preparation.


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FULL SEARCH INITIATED 17:15:42 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -  14310 TO ITERATE

100.0% PROCESSED   14310 ITERATIONS                          13 ANSWERS
SEARCH TIME: 00.00.01

L2           13 SEA SSS FUL L1

=> file caplus
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| COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION |
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| FULL ESTIMATED COST | 155.42 | 155.63 |

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FILE 'CAPLUS' ENTERED AT 17:15:48 ON 28 APR 2004
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FILE COVERS 1907 - 28 Apr 2004 VOL 140 ISS 18
FILE LAST UPDATED: 27 Apr 2004 (20040427/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

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L3 5 L2

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L3 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2001:850646 CAPLUS
DN 135:371527
TI Preparation of bisacylguanidine with cardioprotective activity
IN Gericke, Rolf; Beier, Norbert
PA Merck Patent G.m.b.H., Germany
SO Ger. Offen., 12 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | DE 10024319 | A1 | 20011122 | DE 2000-10024319 | 20000517 |
| | WO 2001087829 | A1 | 20011122 | WO 2001-EP4425 | 20010419 |

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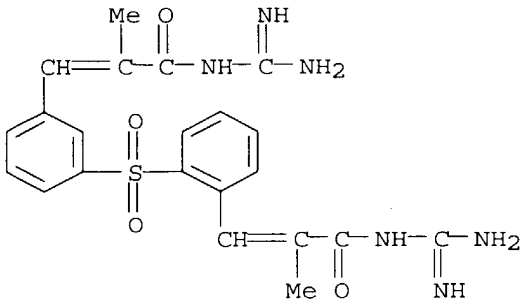
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
DE 2000-10024319A 20000517

OS CASREACT 135:371527; MARPAT 135:371527
IT **374681-59-1P 374681-63-7P 374681-65-9P**
**374681-66-0P 374681-67-1P 374681-68-2P**
**374681-69-3P 374681-70-6P 374681-72-8P**
**374681-73-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of cardioprotective bisacylguanidines that work as inhibitors of the cellular Na+/H+ antiporters)

RN 374681-59-1 CAPLUS
CN 2-Propenamide, N-(aminoiminomethyl)-3-[2-[[3-[3-[(aminoiminomethyl)amino]-2-methyl-3-oxo-1-propenyl]phenyl]sulfonyl]phenyl]-2-methyl-, dihydrochloride (9CI) (CA INDEX NAME)



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RN 374681-63-7 CAPLUS
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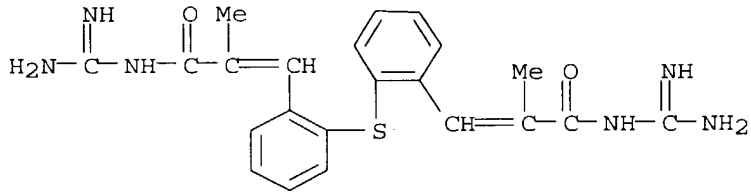
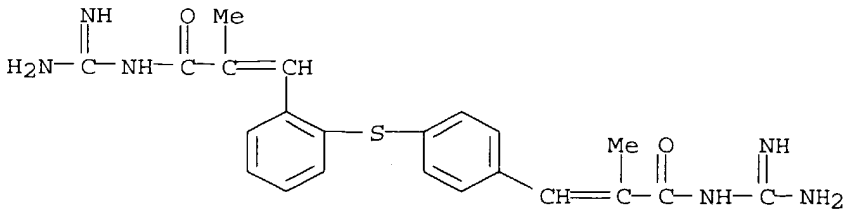


●2 HCl

RN 374681-65-9 CAPLUS
CN 2-Propenamide, N-(aminoiminomethyl)-3-[2-[[4-[3-[(aminoiminomethyl)amino]-2-methyl-3-oxo-1-propenyl]phenyl]thio]phenyl]-2-methyl-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

RN 374681-66-0 CAPLUS
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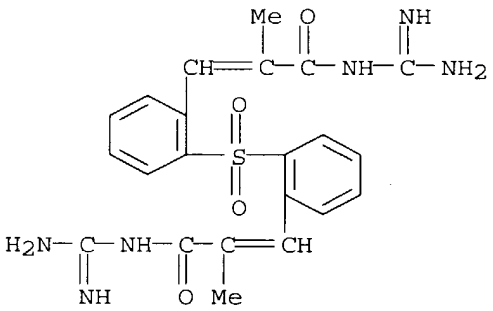
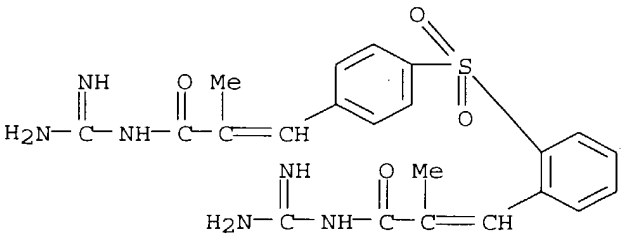


●2 HCl

RN 374681-67-1 CAPLUS
CN 2-Propenamide, N-(aminoiminomethyl)-3-[2-[[4-[3-[(aminoiminomethyl)amino]-2-methyl-3-oxo-1-propenyl]phenyl]sulfonyl]phenyl]-2-methyl-, dihydrochloride (9CI) (CA INDEX NAME)



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RN 374681-68-2 CAPLUS
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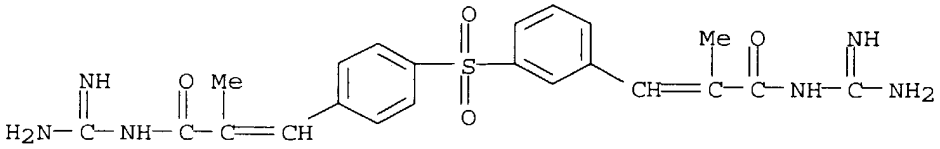

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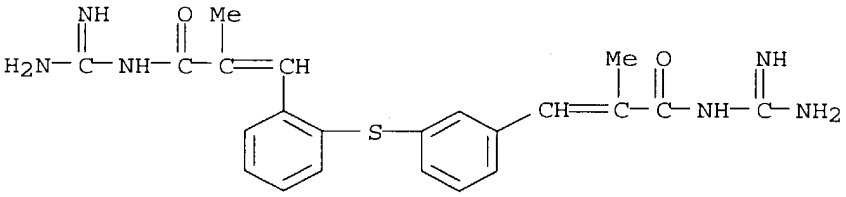

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RN 374681-70-6 CAPLUS
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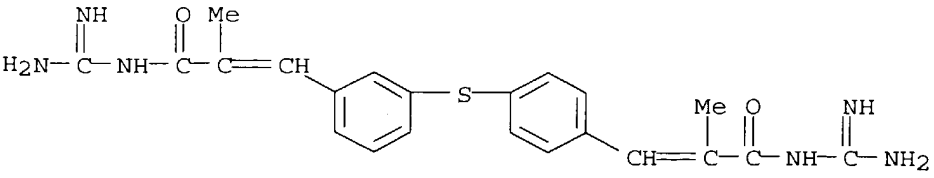

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RN 374681-72-8 CAPLUS

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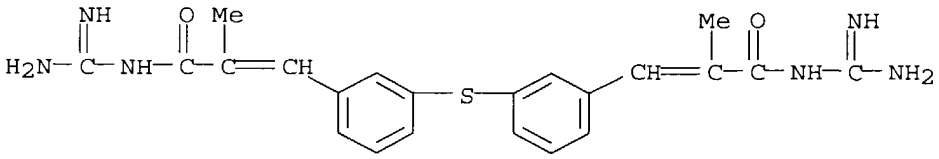

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RN 374681-73-9 CAPLUS

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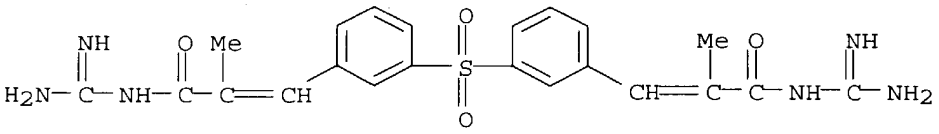

● 2 HCl

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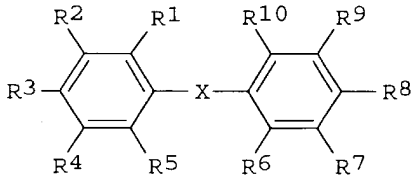

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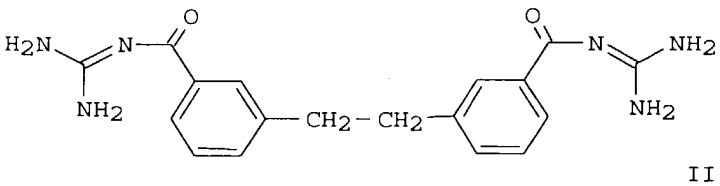
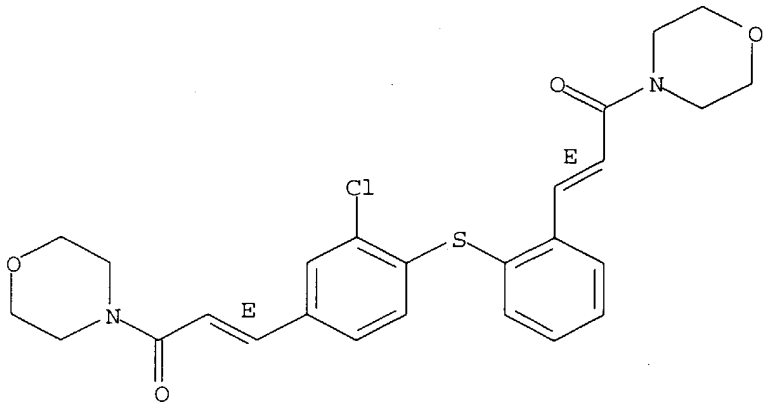


II

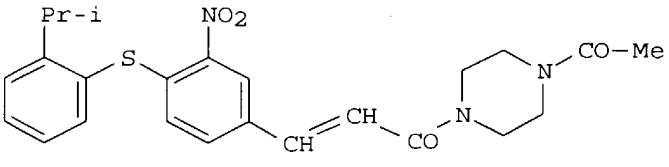
AB Bisacylguanidines I [one of R1, R2, R3, R4 or R5 = CON:C(NH2)2, CH:CMeCON:C(NH2)2 and one of R6, R7, R8, R9 or R10 = CON:C(NH2)2, CH:CMeCON:C(NH2)2; the other R1 - R10 = H, A, CH, F, Cl, Br, I, SA, OA, SO2A, OH, NH2, NHA, NA2, COA, (un)substituted Ph, CH2Ph, OPh, N-, S-, O-containing heterocycle; X = S, SO2, (CH2)n, CO,O, OCH2; A = C1-8-alkyl; n = 1 - 3] and their physiol. harmless salts and/or solvates, with cardioprotective characteristics and works as inhibitors of the cellular Na+/H+ antiporters of the Subtyp 1 are described. Thus, N-{3-[2-(3-guanidinocarbonylphenyl)ethyl]benzoyl}guanidine dihydrochloride (II·HCl), was prepared from 3-[2-(3-carboxyphenyl)ethyl]benzoic acid and Boc-guanidine in 1-methyl-2-pyrrolidone containing 2-chloro-1-methylpyridinium iodide and Et2NCHMe2, followed by hydrolysis with aqueous HCl. Formulations for use in injections, suppositories, solns., tablets, capsules and ampules are given.

L3 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2000:736318 CAPLUS
DN 134:25112
TI Discovery of Novel p-Arylthio Cinnamides as Antagonists of Leukocyte Function-Associated Antigen-1/Intracellular Adhesion Molecule-1 Interaction. 1. Identification of an Additional Binding Pocket Based on an Anilino Diaryl Sulfide Lead
AU Liu, Gang; Link, J. T.; Pei, Zhonghua; Reilly, Edward B.; Leitza, Sandra; Nguyen, Bach; Marsh, Kennan C.; Okasinski, Gregory F.; von Geldern, Thomas W.; Ormes, Mark
CS Metabolic Disease Research and Drug Analysis Department Pharmaceutical Products Division, Abbott Laboratories, Abbott Park, IL, 60064-6098, USA
SO Journal of Medicinal Chemistry (2000), 43(21), 4025-4040
CODEN: JMCMAR; ISSN: 0022-2623
PB American Chemical Society
DT Journal
LA English
IT **280749-26-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of arylthio cinnamides as antagonists of leukocyte function-associated antigen-1/ICAM-1 interaction)
RN 280749-26-0 CAPLUS
CN Morpholine, 4-[(2E)-3-[2-[[2-chloro-4-[(1E)-3-(4-morpholinyl)-3-oxo-1-propenyl]phenyl]thio]phenyl]-1-oxo-2-propenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



GI



I

AB The interaction between leukocyte function-associated antigen-1 (LFA-1), a member of the β2-integrin family of adhesion mols., and intracellular adhesion mol. ICAM-1 (cd54) is thought to play a critical role in the inflammatory process. On the basis of an anilino diaryl sulfide screening lead, in combination with pharmacophore anal. of other screening hits, we have identified an adjacent binding pocket. Subsequently, a p-ethenylcarbonyl linker was discovered to be optimal for accessing this binding site. Solution-phase parallel synthesis enabled rapid optimization of the cinnamides for this pocket. In conjunction with fine-tuning of the diaryl substituents, we discovered a novel series of potent, nonpeptide inhibitors of LFA-1/ICAM-1 interaction, exemplified by A-286982 (I), which has IC50 values of 44 and 35 nM in an LFA-1/ICAM-1 binding assay and LFA-1-mediated cellular adhesion assay, resp.

RE.CNT 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2000:725609 CAPLUS
DN 133:296281
TI Preparation of 2- or 4-(phenylthio)cinnamides as cell adhesion-inhibiting antiinflammatory and immune-suppressive compounds
IN Link, James; Liu, Gang; Pei, Zhonghua; Von Geldern, Thomas W.; Winn, Martin; Xin, Zhili; Wang, Sheldon; Boyd, Steven A.; Zhu, Gui-Dong; Freeman, Jennifer C.; Gunawardana, Indrani W.; Staeger, Michael A.; Jae, Hwan-soo; Lynch, John K.

PA Abbott Laboratories, USA
SO PCT Int. Appl., 476 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | WO 2000059880 | A1 | 20001012 | WO 2000-US8895 | 20000403 |
| | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| | RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| | | | | US 1999-286645 A | 19990402 |
| | | | | US 1999-474517 A | 19991229 |
| | | | | US 2000-541795 A | 20000331 |
| | EP 1165505 | A1 | 20020102 | EP 2000-921654 | 20000403 |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |
| | | | | US 1999-286645 A | 19990402 |
| | | | | US 1999-474517 A | 19991229 |
| | | | | WO 2000-US8895 W | 20000403 |
| | BR 2000009426 | A | 20020409 | BR 2000-9426 | 20000403 |
| | | | | US 1999-286645 A | 19990402 |
| | | | | US 1999-474517 A | 19991229 |
| | | | | US 2000-541795 A | 20000331 |
| | | | | WO 2000-US8895 W | 20000403 |
| | EE 200100513 | A | 20021216 | EE 2001-513 | 20000403 |
| | | | | US 1999-286645 A | 19990402 |
| | | | | US 1999-474517 A | 19991229 |
| | | | | US 2000-541795 A | 20000331 |
| | | | | WO 2000-US8895 W | 20000403 |
| | NO 2001004767 | A | 20011130 | NO 2001-4767 | 20011001 |
| | | | | US 1999-286645 A | 19990402 |
| | | | | US 1999-474517 A | 19991229 |
| | | | | WO 2000-US8895 W | 20000403 |
| | BG 106029 | A | 20020531 | BG 2001-106029 | 20011018 |
| | | | | US 1999-286645 A | 19990402 |
| | | | | US 1999-474517 A | 19991229 |
| | | | | US 2000-541795 A | 20000331 |
| | | | | WO 2000-US8895 W | 20000403 |
| | HR 2001000776 | A1 | 20021231 | HR 2001-776 | 20011023 |
| | | | | US 1999-286645 A | 19990402 |
| | | | | US 1999-474517 A | 19991229 |
| | | | | US 2000-541795 A | 20000331 |
| | | | | WO 2000-US8895 W | 20000403 |
| | ZA 2001008944 | A | 20030702 | ZA 2001-8944 | 20011030 |
| | | | | US 1999-286645 A | 19990402 |

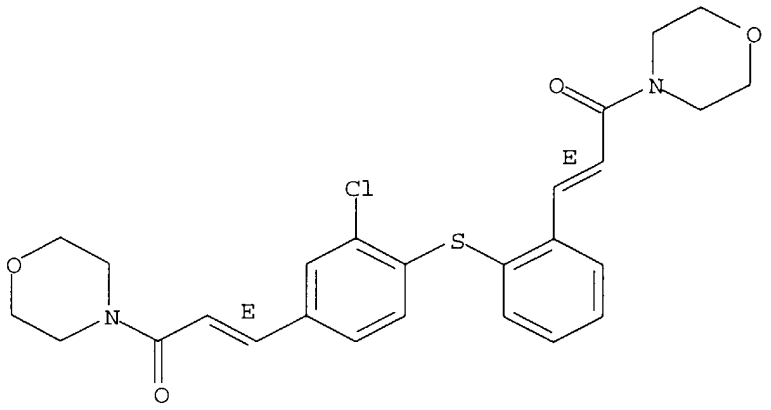
OS MARPAT 133:296281
IT **280749-26-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of (phenylthio)cinnamides as cell adhesion inhibitors by coupling of thiophenols with halobenzaldehydes, conversion to cinnamic acids, amidation, and optional derivatization)

RN 280749-26-0 CAPLUS

CN Morpholine, 4-[(2E)-3-[2-[[2-chloro-4-[(1E)-3-(4-morpholinyl)-3-oxo-1-propenyl]phenyl]thio]phenyl]-1-oxo-2-propenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



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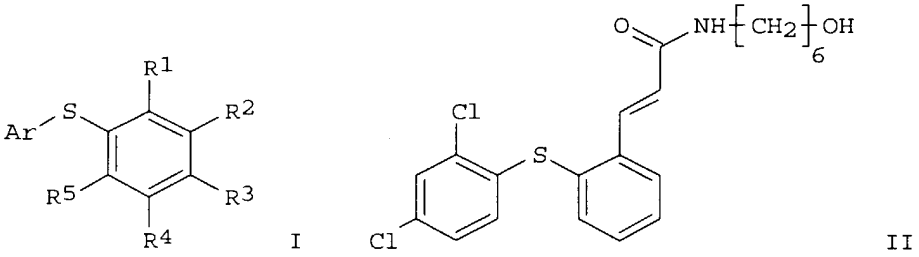

I II

AB The title compds. (I) [wherein R1-R5 = independently H, halo, (halo)alkyl, alkoxy, cyano, NO2, CHO, and least one of R1 or R3 is an (un)substituted cis- or trans-cinnamide; Ar = (un)substituted (hetero)aryl] were prepared as cell adhesion inhibitors for the treatment of inflammatory and immune diseases. Examples include syntheses for 443 invention compds. and data for 3 bioassays. For instance, a mixture of 2-[(2,4-dichlorophenyl)thio]benzaldehyde (preparation given), malonic acid, piperidine in anhydrous pyridine was heated at 110°C for 2 h and then treated with aqueous HCl to give trans-2-[(2,4-dichlorophenyl)thio]cinnamic acid (91%). Conversion to the acid chloride followed by amidation with 6-amino-1-hexanol gave (E)-II (90%). In an integrin LFA-1/ICAM-1 biochem. interaction assay, I demonstrated inhibition at 4 μM. In cell-based adhesion assays which measure the ability of test compds. to block

adherence of JY-8 cells (a human EBV-transformed B cell line expressing LFA-1 on its surface) to immobilized ICAM-1 or ICAM-3, I exhibited blocking activity at 4 μM and 0.6 μM, resp.

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2000:457022 CAPLUS
DN 133:89514
TI Cell adhesion-inhibiting antiinflammatory and immune-suppressive compounds
IN Link, James; Liu, Gang; Pei, Zhonghua; Von Geldern, Tom; Winn, Martin; Xin, Zhili; Boyd, Steven A.; Jae, Hwan-Soo; Lynch, John K.; Zhu, Gui-Dong; Freeman, Jennifer C.; Gunawardana, Indrani W.; Staeger, Michael A.
PA Abbott Laboratories, USA
SO PCT Int. Appl., 400 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | WO 2000039081 | A2 | 20000706 | WO 1999-US31162 | 19991229 |
| | WO 2000039081 | A3 | 20010525 | | |
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| | RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| | | | | US 1998-222491 A | 19981229 |
| | US 6110922 | A | 20000829 | US 1998-222491 | 19981229 |
| | CA 2356320 | AA | 20000706 | CA 1999-2356320 | 19991229 |
| | | | | US 1998-222491 A | 19981229 |
| | | | | WO 1999-US31162W | 19991229 |
| | EP 1140814 | A2 | 20011010 | EP 1999-966709 | 19991229 |
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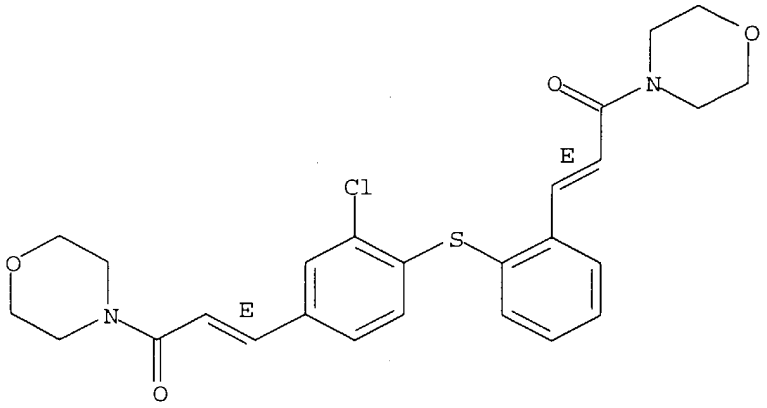
| | | | | US 1998-222491 A | 19981229 |
| | | | | WO 1999-US31162W | 19991229 |
| | JP 2002533434 | T2 | 20021008 | JP 2000-590994 | 19991229 |
| | | | | US 1998-222491 A | 19981229 |
| | | | | WO 1999-US31162W | 19991229 |
| | EE 200100355 | A | 20021015 | EE 2001-355 | 19991229 |
| | | | | US 1998-222491 A | 19981229 |
| | | | | WO 1999-US31162W | 19991229 |
| | NZ 512687 | A | 20031219 | NZ 1999-512687 | 19991229 |
| | | | | US 1998-222491 A | 19981229 |
| | | | | WO 1999-US31162W | 19991229 |
| | NO 2001003241 | A | 20010828 | NO 2001-3241 | 20010628 |
| | | | | US 1998-222491 A | 19981229 |
| | | | | WO 1999-US31162W | 19991229 |
| | HR 2001000512 | A1 | 20020831 | HR 2001-512 | 20010710 |
| | | | | US 1998-222491 A | 19981229 |
| | | | | WO 1999-US31162W | 19991229 |
| | BG 105732 | A | 20020228 | BG 2001-105732 | 20010725 |

US 1998-222491 A 19981229
WO 1999-US31162W 19991229

OS MARPAT 133:89514
IT **280749-26-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and antiinflammatory, immune suppressant and cell adhesion inhibiting activity)
RN 280749-26-0 CAPLUS
CN Morpholine, 4-[(2E)-3-[2-[[2-chloro-4-[(1E)-3-(4-morpholinyl)-3-oxo-1-propenyl]phenyl]thio]phenyl]-1-oxo-2-propenyl]- (9CI) (CA INDEX NAME)

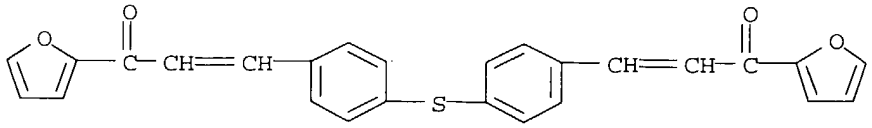
Double bond geometry as shown.



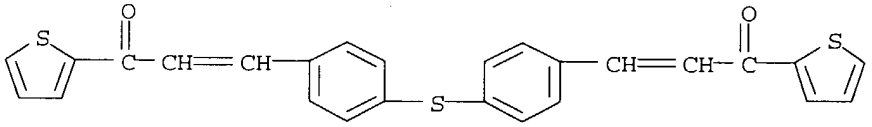

AB The present invention relates to novel cinnamide compds. that are useful for treating inflammatory and immune diseases, to pharmaceutical compns. containing these compds., and to methods of inhibiting inflammation or suppressing immune response in a mammal. Among the approx. 400 trans-arylthiocinnamamide title compds., prepared by standard methods, were 6-benzodioxanyl 2-trifluoromethyl-4-[(E)-2-[3-(R)-(ethoxycarbonyl)piperidinocarbonyl]ethenyl]phenyl sulfide (I), 2-ethoxyphenyl 2-trifluoromethyl-4-[(E)-2-[2-carboxy-4-(methoxycarbonyl)-1-piperazinylcarbonyl]ethenyl]phenyl sulfide (II) and 2-isopropylphenyl 2-nitro-4-[(E)-2-[3-(2-oxo-1-pyrrolidinyl)-1-propylaminocarbonyl]ethenyl]phenyl sulfide (III). The abilities of the title compds. to antagonize the interaction between ICAM-1 and LFA-1 were quantified using both biochem. and cell-based adhesion assays. E.g., compds. I-III exhibited 98% inhibition @ 4μM.

L3 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1981:628309 CAPLUS
DN 95:228309
TI Electronic spectra of unsaturated ketones and diketones containing a diphenyl sulfide nucleus
AU Chuev, V. P.; Nikitchenko, V. M.; Lavrushin, V. F.
CS Khar'k. Gos. Univ., Kharkov, USSR
SO Ukrainskii Khimicheskii Zhurnal (Russian Edition) (1981), 47(8), 835-9
CODEN: UKZHAU; ISSN: 0041-6045
DT Journal

LA Russian
IT **79463-69-7 79463-71-1**
RL: PRP (Properties)
(UV spectrum of)
RN 79463-69-7 CAPLUS
CN 2-Propen-1-one, 3,3'-(thiodi-4,1-phenylene)bis[1-(2-furanyl)- (9CI) (CA INDEX NAME)



RN 79463-71-1 CAPLUS
CN 2-Propen-1-one, 3,3'-(thiodi-4,1-phenylene)bis[1-(2-thienyl)- (9CI) (CA INDEX NAME)



AB RC(O)CH:CHC6H4SPh-p (I) and RC(O)CH:CHC6H4-p-S-p-C6H4CH:CHC(O)R (II)-(R = Ph, 4-anisyl, 4-Me2NC6H4, 4-ClC6H4, 4-BrC6H4, 4-NO2C6H4, 2-furyl, 2-thienyl) in dioxane and CHCl3 solns. were characterized by electronic absorption spectra. I and II are characterized by the presence of intense bands of the π-π*-electronic transitions at 220-400 nm. The oscillator strengths and polarization of transitions in I and II (R = Ph) were calculated

=> log y

| COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION |
|---|---|---|
| FULL ESTIMATED COST | 24.66 | 180.29 |
| DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | SINCE FILE ENTRY | TOTAL SESSION |
| CA SUBSCRIBER PRICE | -3.47 | -3.47 |

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| NEWS | 3 | JAN 27 | Source of Registration (SR) information in REGISTRY updated and searchable |
| NEWS | 4 | JAN 27 | A new search aid, the Company Name Thesaurus, available in CA/CAplus |
| NEWS | 5 | FEB 05 | German (DE) application and patent publication number format changes |
| NEWS | 6 | MAR 03 | MEDLINE and LMEDLINE reloaded |
| NEWS | 7 | MAR 03 | MEDLINE file segment of TOXCENTER reloaded |
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| NEWS | 10 | MAR 29 | WPIFV now available on STN |
| NEWS | 11 | MAR 29 | No connect hour charges in WPIFV until May 1, 2004 |
| NEWS | 12 | MAR 29 | New monthly current-awareness alert (SDI) frequency in RAPRA |
| NEWS | 13 | APR 26 | PROMT: New display field available |
| NEWS | 14 | APR 26 | FIPAT/IFIUDB/IFICDB: New super search and display field available |
| NEWS | 15 | APR 26 | LITALERT now available on STN |
| NEWS | 16 | APR 27 | NLDB: New search and display fields available |

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| NEWS EXPRESS | MARCH 31 CURRENT WINDOWS VERSION IS V7.00A, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 13 APRIL 2004 |
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| NEWS INTER | General Internet Information |
| NEWS LOGIN | Welcome Banner and News Items |
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| NEWS WWW | CAS World Wide Web Site (general information) |

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COST IN U.S. DOLLARS SINCE FILE TOTAL

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STRUCTURE FILE UPDATES: 27 APR 2004 HIGHEST RN 677274-15-6
DICTIONARY FILE UPDATES: 27 APR 2004 HIGHEST RN 677274-15-6

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

Please note that search-term pricing does apply when conducting SmartSELECT searches.

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Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:
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=>
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L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR
*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

Structure attributes must be viewed using STN Express query preparation.

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FULL SEARCH INITIATED 17:20:50 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 1470 TO ITERATE

100.0% PROCESSED 1470 ITERATIONS 0 ANSWERS
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L2 0 SEA SSS FUL L1

=> lo gy
LO IS NOT A RECOGNIZED COMMAND
The previous command name entered was not recognized by the system.
For a list of commands available to you in the current file, enter "HELP COMMANDS" at an arrow prompt (=>).

=> log y

| COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION |
|---|---|---|
| FULL ESTIMATED COST | 155.42 | 155.63 |

Patel <4/28/2004>

STN INTERNATIONAL LOGOFF AT 17:21:05 ON 28 APR 2004

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| NEWS 2 | | "Ask CAS" for self-help around the clock |
| NEWS 3 | JAN 27 | Source of Registration (SR) information in REGISTRY updated and searchable |
| NEWS 4 | JAN 27 | A new search aid, the Company Name Thesaurus, available in CA/CAplus |
| NEWS 5 | FEB 05 | German (DE) application and patent publication number format changes |
| NEWS 6 | MAR 03 | MEDLINE and LMEDLINE reloaded |
| NEWS 7 | MAR 03 | MEDLINE file segment of TOXCENTER reloaded |
| NEWS 8 | MAR 03 | FRANCEPAT now available on STN |
| NEWS 9 | MAR 29 | Pharmaceutical Substances (PS) now available on STN |
| NEWS 10 | MAR 29 | WPIFV now available on STN |
| NEWS 11 | MAR 29 | No connect hour charges in WPIFV until May 1, 2004 |
| NEWS 12 | MAR 29 | New monthly current-awareness alert (SDI) frequency in RAPRA |
| NEWS 13 | APR 26 | PROMT: New display field available |
| NEWS 14 | APR 26 | FIPAT/IFIUDB/IFICDB: New super search and display field available |
| NEWS 15 | APR 26 | LITALERT now available on STN |
| NEWS 16 | APR 27 | NLDB: New search and display fields available |

| | |
|---|---|
| NEWS EXPRESS | MARCH 31 CURRENT WINDOWS VERSION IS V7.00A, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 13 APRIL 2004 |
| NEWS HOURS | STN Operating Hours Plus Help Desk Availability |
| NEWS INTER | General Internet Information |
| NEWS LOGIN | Welcome Banner and News Items |
| NEWS PHONE | Direct Dial and Telecommunication Network Access to STN |
| NEWS WWW | CAS World Wide Web Site (general information) |

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FILE 'HOME' ENTERED AT 17:22:40 ON 28 APR 2004

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COST IN U.S. DOLLARS SINCE FILE TOTAL

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|---|---|---|
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FILE 'REGISTRY' ENTERED AT 17:23:14 ON 28 APR 2004


Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 27 APR 2004 HIGHEST RN 677274-15-6
DICTIONARY FILE UPDATES: 27 APR 2004 HIGHEST RN 677274-15-6

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

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http://www.cas.org/ONLINE/DBSS/registryss.html

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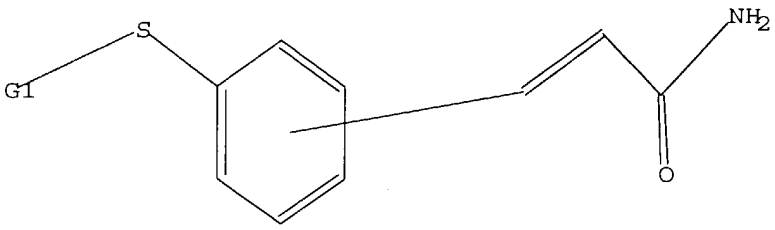
L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR




G1 Cb,Cy,Hy

Structure attributes must be viewed using STN Express query preparation.

=> s l1 sss full
FULL SEARCH INITIATED 17:23:45 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 24683 TO ITERATE

100.0% PROCESSED 24683 ITERATIONS 11 ANSWERS
SEARCH TIME: 00.00.01

L2 11 SEA SSS FUL L1

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=> file marpat
COST IN U.S. DOLLARS                                    SINCE FILE      TOTAL
                                                           ENTRY    SESSION
FULL ESTIMATED COST                                       155.42     155.63

FILE 'MARPAT' ENTERED AT 17:23:51 ON 28 APR 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
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FILE CONTENT: 1988-PRESENT (VOL 140 ISS 17)(20040423/ED)

MOST RECENT CITATIONS FOR PATENTS FROM FIVE MAJOR ISSUING AGENCIES
(COVERAGE TO THESE DATES IS NOT COMPLETE):

US     6709645 23 MAR 2004
DE    10335606 11 MAR 2004
EP     1403278 31 MAR 2004
JP 2004099560 02 APR 2004
WO 2004024934 25 MAR 2004

Structure search limits have been raised.  See HELP SLIMIT for the new,
higher limits.

=> s l1 sss full
FULL SEARCH INITIATED 17:23:58 FILE 'MARPAT'
FULL SCREEN SEARCH COMPLETED -  12594 TO ITERATE

 77.9% PROCESSED    9816 ITERATIONS  (     1 INCOMPLETE)      38 ANSWERS

 92.1% PROCESSED   11604 ITERATIONS  (     2 INCOMPLETE)      44 ANSWERS

 99.7% PROCESSED   12562 ITERATIONS  (     2 INCOMPLETE)      48 ANSWERS

100.0% PROCESSED   12594 ITERATIONS  (     2 INCOMPLETE)      48 ANSWERS
SEARCH TIME: 00.00.57

L3            48 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS                                    SINCE FILE      TOTAL
                                                           ENTRY    SESSION
FULL ESTIMATED COST                                       109.84     265.47

FILE 'CAPLUS' ENTERED AT 17:25:17 ON 28 APR 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)
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FILE COVERS 1907 - 28 Apr 2004  VOL 140 ISS 18
FILE LAST UPDATED: 27 Apr 2004  (20040427/ED)

  This file contains CAS Registry Numbers for easy and accurate
  substance identification.

=> d his

     (FILE 'HOME' ENTERED AT 17:22:40 ON 28 APR 2004)

     FILE 'REGISTRY' ENTERED AT 17:23:14 ON 28 APR 2004
L1              STRUCTURE UPLOADED
L2           11 S L1 SSS FULL

     FILE 'MARPAT' ENTERED AT 17:23:51 ON 28 APR 2004
L3           48 S L1 SSS FULL

     FILE 'CAPLUS' ENTERED AT 17:25:17 ON 28 APR 2004

=> s l2
L4            4 L2

=> s l3
L5           48 L3

=> d l4 fbib hitstr abs total

L4   ANSWER 1 OF 4  CAPLUS  COPYRIGHT 2004 ACS on STN
AN   2004:267302  CAPLUS
TI   Preparation of cyclic amine compounds as chemokine receptor antagonists
     useful in treatment of AIDS
IN   Sugihara, Yoshihiro; Nishikawa, Yoichi; Kanzaki, Naoyuki; Iizawa, Yuji;
     Baba, Masanori
PA   Takeda Chemical Industries, Ltd., Japan
SO   PCT Int. Appl., 155 pp.
     CODEN: PIXXD2
DT   Patent
LA   Japanese
FAN.CNT 1

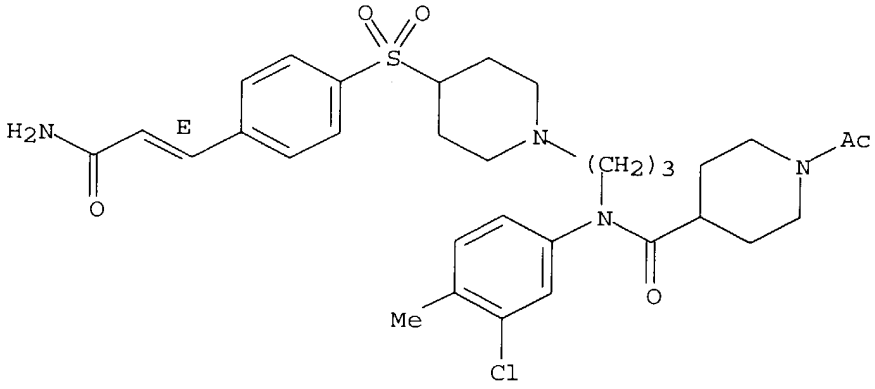
| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | WO 2004026833 | A1 | 20040401 | WO 2003-JP11906 | 20030918 |

     W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
        CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE,
        GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR,
        LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM,
        PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN,
        TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY,
        KG, KZ, MD, RU
     RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,
        CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC,
        NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ,
        GW, ML, MR, NE, SN, TD, TG

                                        JP 2002-275534 A 20020920

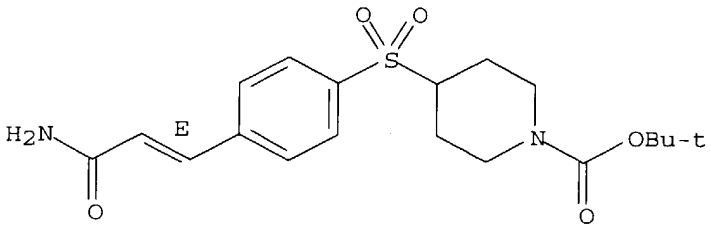
IT **676526-54-8P**
RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of cyclic amine compds. as chemokine receptor antagonists useful in treatment of AIDS)
RN 676526-54-8 CAPLUS
CN 4-Piperidinecarboxamide, 1-acetyl-N-[3-[4-[[4-[(1E)-3-amino-3-oxo-1-propenyl]phenyl]sulfonyl]-1-piperidinyl]propyl]-N-(3-chloro-4-methylphenyl)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.




IT **676527-94-9P 676527-96-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of cyclic amine compds. as chemokine receptor antagonists useful in treatment of AIDS)
RN 676527-94-9 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[4-[(1E)-3-amino-3-oxo-1-propenyl]phenyl]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.



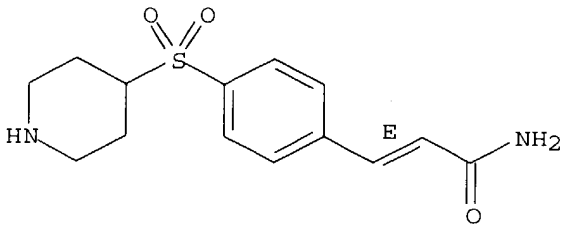

RN 676527-96-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

CM 1

CRN 676527-95-0

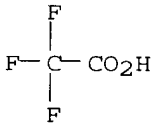
CMF C14 H18 N2 O3 S

Double bond geometry as shown.

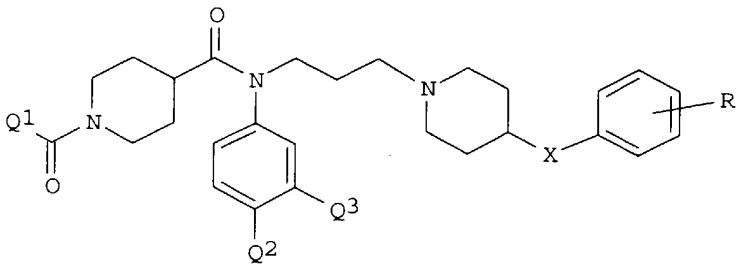


CM 2

CRN 76-05-1
CMF C2 H F3 O2



GI



I

AB The title compds. I [Q1 and Q2 each represents C1-3 alkyl; Q3 represents halogeno; X represents CH2 or SO2; and R represents SO2NR1R2, etc. (when X is CH2) and represents C1-8 alkyl, etc. when X is SO2; R1, R2 = H, (un)substituted alkyl; or NR1R2 forms N-containing heterocyclic ring ] are prepared The CCR5 antagonist activity of compds. of this invention was demonstrated. A process for preparing I is disclosed. Formulations are given.

RE.CNT 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2003:348788 CAPLUS
DN 138:353993

TI Preparation of benzimidazole derivatives as prodrugs of proton pump inhibitors
IN Garst, Michael E.; Sachs, George; Shin, Jai Moo
PA Regents of the University of California, USA; The United States Department of Veteran Affairs; Winston Pharmaceuticals, LLC
SO U.S., 38 pp., Cont.-in-part of U.S. Ser. No. 364,381, abandoned.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 2

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | US 6559167 | B1 | 20030506 | US 2001-783807 | 20010214 |
| | | | | US 1998-131481 A2 | 19980810 |
| | | | | US 1999-364381 B2 | 19990729 |
| | US 6093734 | A | 20000725 | US 1998-131481 | 19980810 |
| | ZA 2001000560 | A | 20010713 | ZA 2001-560 | 20010119 |
| | | | | US 1998-131481 A | 19980810 |

PATENT FAMILY INFORMATION:
FAN 2000:133673

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | WO 2000009498 | A1 | 20000224 | WO 1999-US18048 | 19990809 |
| | W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| | RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| | | | | US 1998-131481 A | 19980810 |
| | | | | US 1999-364381 A | 19990729 |
| | US 6093734 | A | 20000725 | US 1998-131481 | 19980810 |
| | CA 2338311 | AA | 20000224 | CA 1999-2338311 | 19990809 |
| | | | | US 1998-131481 A | 19980810 |
| | | | | US 1999-364381 A | 19990729 |
| | | | | WO 1999-US18048W | 19990809 |
| | AU 9955518 | A1 | 20000306 | AU 1999-55518 | 19990809 |
| | AU 752292 | B2 | 20020912 | | |
| | | | | US 1998-131481 A | 19980810 |
| | | | | US 1999-364381 A | 19990729 |
| | | | | WO 1999-US18048W | 19990809 |
| | BR 9912937 | A | 20010508 | BR 1999-12937 | 19990809 |
| | | | | US 1998-131481 A | 19980810 |
| | | | | US 1999-364381 A | 19990729 |
| | | | | WO 1999-US18048W | 19990809 |
| | EP 1105387 | A1 | 20010613 | EP 1999-942057 | 19990809 |
| | EP 1105387 | B1 | 20030129 | | |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |
| | | | | US 1998-131481 A | 19980810 |
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| | | | | WO 1999-US18048W | 19990809 |
| | NZ 510180 | A | 20021126 | NZ 1999-510180 | 19990809 |
| | | | | US 1998-131481 A | 19980810 |
| | | | | US 1999-364381 A | 19990729 |

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| | | | WO 1999-US18048W 19990809 |
| AT 231857 | E | 20030215 | AT 1999-942057 19990809 |
| | | | US 1998-131481 A 19980810 |
| | | | US 1999-364381 A 19990729 |
| | | | WO 1999-US18048W 19990809 |
| JP 2003534232 | T2 | 20031118 | JP 2000-564950 19990809 |
| | | | US 1998-131481 A 19980810 |
| | | | US 1999-364381 A 19990729 |
| | | | WO 1999-US18048W 19990809 |
| ZA 2001000560 | A | 20010713 | ZA 2001-560 20010119 |
| | | | US 1998-131481 A 19980810 |
| BG 105191 | A | 20011231 | BG 2001-105191 20010126 |
| | | | US 1998-131481 A 19980810 |
| | | | US 1999-364381 A 19990729 |
| | | | WO 1999-US18048W 19990809 |
| FI 2001000248 | A | 20010209 | FI 2001-248 20010209 |
| | | | US 1998-131481 A 19980810 |
| | | | US 1999-364381 A 19990729 |
| | | | WO 1999-US18048W 19990809 |
| NO 2001000693 | A | 20010305 | NO 2001-693 20010209 |
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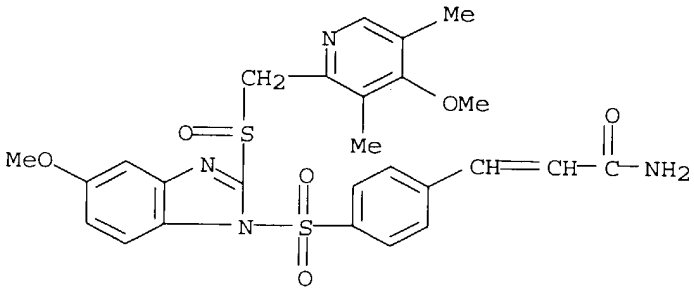
| | | | US 1999-364381 A 19990729 |
| | | | WO 1999-US18048W 19990809 |
| HR 2001000106 | A1 | 20020228 | HR 2001-106 20010209 |
| | | | US 1998-131481 A 19980810 |
| | | | US 1999-364381 A 19990729 |
| | | | WO 1999-US18048W 19990809 |

OS MARPAT 138:353993

IT **519182-94-6P 519182-95-7P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of benzimidazole derivs. as prodrugs of proton pump inhibitors)

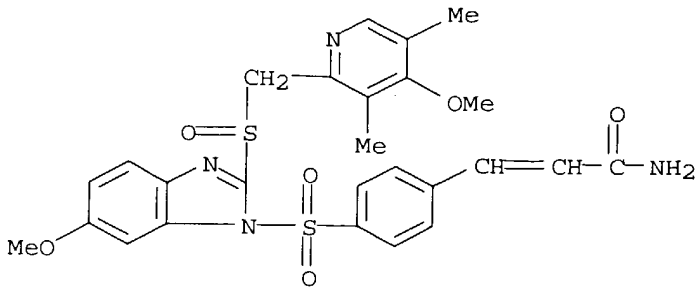
RN 519182-94-6 CAPLUS

CN 2-Propenamide, 3-[4-[[5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-1H-benzimidazol-1-yl]sulfonyl]phenyl]- (9CI) (CA INDEX NAME)




RN 519182-95-7 CAPLUS

CN 2-Propenamide, 3-[4-[[6-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-1H-benzimidazol-1-yl]sulfonyl]phenyl]- (9CI) (CA INDEX NAME)



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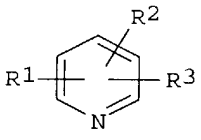
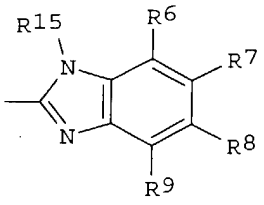


II



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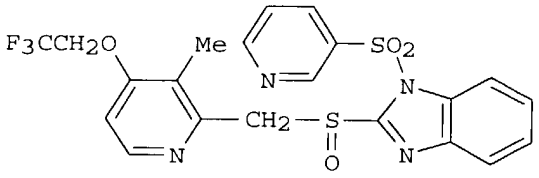
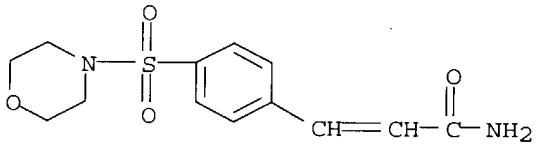


IV

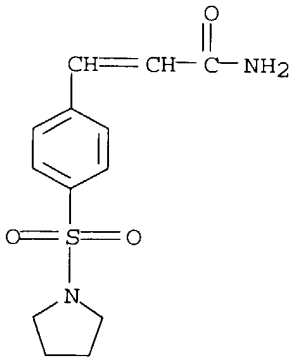
AB The title compds. Het1XSOHet2 [I; Het1 = II; X = CHR10; Het2 = III; R1-R3 = H, alkyl, fluoroalkyl, etc.; R6-R9 = H, alkyl, haloalkyl, etc.; R10 = H, alkyl; R15 = SO2R21(R17); R17 = alkyl, haloalkyl, alkoxy, etc.; R21 = (un)substituted aralkyl, heteroarylalkyl] which are prodrugs of the pyridyl Me sulfinyl benzimidazole type proton pump inhibitor drugs having a hydrolyzable arylsulfonyl or heteroarylsulfonyl group attached to the benzimidazole nitrogen, were prepared Thus, reacting 2-({[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl]methyl}sulfinyl)-1H-benzimidazole with pyridine-3-sulfonyl chloride in the presence of Et3N in CH2Cl2 afforded the title compound IV. The prodrugs I hydrolyze under physiol. conditions to provide the proton pump inhibitors with a half life measurable in hours, and are capable of providing sustained plasma concns. of the proton pump inhibitor drugs for longer time than presently used drugs. The generation of the proton pump inhibitor drugs from the prodrugs of the invention (I) under physiol. conditions allows for more effective treatment of several diseases and conditions caused by gastric acid secretion (e.g., ulcers). Biol. data for compds. I were given.

RE.CNT 47 THERE ARE 47 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

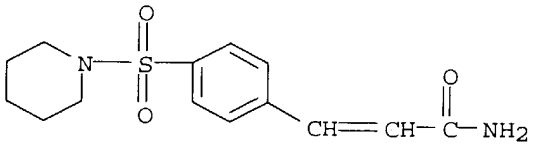
L4 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1984:551705 CAPLUS
DN 101:151705
TI Derivatives of cinnamide-4-sulfonyl chloride and p-(phthalimido)benzenesulfonyl chloride
AU Cremlyn, R. J.; Thandi, K.; Wilson, R.
CS Sch. Nat. Sci., Hatfield Polytech., Hatfield, UK
SO Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1984), 23B(1), 94-6
CODEN: IJSBDB; ISSN: 0376-4699
DT Journal
LA English
OS CASREACT 101:151705
IT **92082-69-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and bactericidal activity of)
RN 92082-69-4 CAPLUS
CN 2-Propenamide, 3-[4-(4-morpholinylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



IT **92082-70-7P 92082-71-8P 92082-81-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 92082-70-7 CAPLUS
CN 2-Propenamide, 3-[4-(1-pyrrolidinylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



RN 92082-71-8 CAPLUS
CN 2-Propenamide, 3-[4-(1-piperidinylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



RN 92082-81-0 CAPLUS
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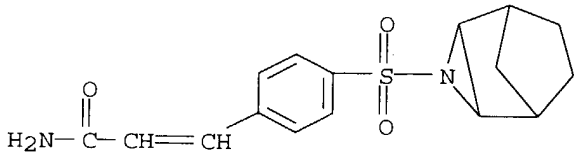

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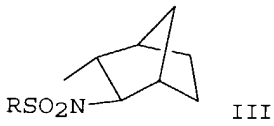
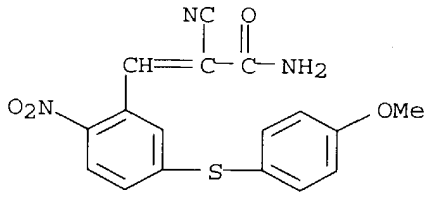


III

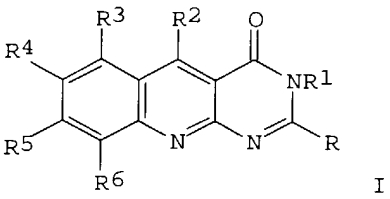
AB RH (R = H2NCOCH:CHC6H4-4, 4-phthalimidophenylene) reacted with ClSO3H to give RSO2Cl (I), which reacted with NaN3 to give RSO2N3 (II). PR13 (R1 = OEt, OPh, Ph) reacted with II to give RSO2N:PR13, whereas norbornene reacted with II to give aziridinenorbornanes III. I were treated with H2NNH2 to give RSO2NHNH2, which reacted with R2COR3 [R2 = R3 = Me; R2R3 = (CH2)5; R2 = H, R3 = Ph, C6H4NO2-4, C6H4OMe-4) to give hydrazones RSO2NHN:CR2R3. Amines HNR4R5 (R4 = R5 = Me, CH2CHMe2; R4 = H, R5 = CH2Ph; NR4R5 = morpholino, pyrrolidino, piperidino) and I gave sulfonamides RSO2NR4R5. RSO2N3 and RSO2NR4R5 (R4 = R5 = Me; NR4R5 = morpholino) were active against Escherichia coli and Staphylococcus aureus at 100 ppm. Several compds. were fungicides for Botrytis cinerea at 100 ppm.

L4 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1980:121570 CAPLUS
DN 92:121570
TI Structure-activity relationships in a series of novel 3,4-dihydro-4-oxopyrimido[4,5-b]quinoline-2-carboxylic acid antiallergy agents
AU Althuis, T. H.; Kadin, S. B.; Czuba, L. J.; Moore, P. F.; Hess, H. J.
CS Cent. Res., Pfizer, Inc., Groton, CT, 06340, USA
SO Journal of Medicinal Chemistry (1980), 23(3), 262-9
CODEN: JMCMAR; ISSN: 0022-2623
DT Journal
LA English
IT **72786-71-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); R

(Reactant or reagent)
(preparation and reduction of)
RN 72786-71-1 CAPLUS
CN 2-Propenamide, 2-cyano-3-[5-[(4-methoxyphenyl)thio]-2-nitrophenyl]- (9CI) (CA INDEX NAME)



GI



I

AB The title compds. I [R = H, Me, CO2Et, CO2H, CONH2, etc., R1 = H, Me, CH2CO2Et, or (CH2)3 CO2Et; R2 = H or Ph; R3 = H, Cl, or MeO; R4 and R5 = H, F, MeO, etc; R6 = H or MeO] were prepared by condensation of the appropriate aminoquinolinecarboxamide (intermediate) with dialkyl oxalates or alkyl oxamates. The intermediates were prepared by base-catalyzed condensation of o-aminobenzaldehydes with 2-cyanoacetamide [107-91-5] or Knoevenagel condensation of o-nitroaldehydes with cyanoacetamide. The ability of I to interfere with the passive cutaneous anaphylaxis reaction was measured in male rats. Some I had i.v. potencies 100-400 times that of disodium cromoglycate (DSCG), and unlike DSCG which is inactive orally, some I possessed oral activity. Et 7-ethoxy-3,4-dihydro-8-methoxy-4-oxopyrimido[4,5-b]quinoline-2-carboxylate [55149-13-8] and Et 3,4-dihydro-7-hydroxy-8-methoxy-4-oxopyrimido[4,5-b]quinoline-2-carboxylate trifluoroacetate salt [58662-62-7] were the most effective. A CO2H in position 2 afforded optimal activity and esters showed good oral absorption. Structure-activity relations are discussed.

=> d l5 fbib hitstr abs total

L5 ANSWER 1 OF 48 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2004:182229 CAPLUS
DN **140:222903**
TI Skin lightening compositions comprising coumarins
IN Harichian, Bijan; Barratt, Michael James; Bosko, Carol Annette
PA Unilever Home & Personal Care Usa, Division of Conopco, Inc., USA
SO U.S. Pat. Appl. Publ., 9 pp.
CODEN: USXXCO
DT Patent

LA English
FAN.CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| PI US 2004042983 | A1 | 20040304 | US 2002-227642 | 20020823 |
| WO 2004017936 | A1 | 20040304 | WO 2003-EP8845 | 20030808 |

W: AE, AG, AL, AM, AT, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EE, EE, ES, FI, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, AM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2002-227642 A 20020823

OS MARPAT 140:222903
AB Skin lightening compns. comprise coumarin derivs. and a cosmetic vehicle. Thus, a resorcinol amide was prepared by the reaction of 7-hydroxycoumarin with methylamine. A formulation contained the above amide 0.05%.,.

L5 ANSWER 2 OF 48 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2004:60271 CAPLUS
DN **140:111196**
TI Preparation of 2-amino-9-(2-hydroxymethylcyclopropylidenemethyl)-purines as antiviral agents
IN Zemlicka, Jiri; Drach, John C.
PA Wayne State University, USA; The Regents of the University of Michigan
SO PCT Int. Appl., 36 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

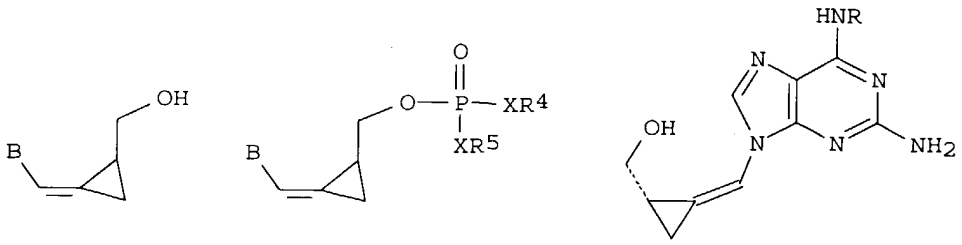
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| PI WO 2004006867 | A2 | 20040122 | WO 2003-US7909 | 20030313 |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2002-364495PP 20020315

OS MARPAT 140:111196
GI




I II III

AB Synthesis and antiviral activity of title compds. I and II [B = 2-aminopurine-9-yl, which may be unsubstituted or substituted in the 6 position with NHR1, OR2, or SR3; R1 = alkyl, alkenyl, alkynyl, cycloalkyl, which may be optionally substituted with one or more members of the group consisting of OH, halo, amino, acyl, cycloalkyl, heterocyclyl and aryl; R2, R3 = alkyl, alkenyl, alkynyl, cycloalkyl, any of which may be branched or unbranched and optionally substituted with hydroxy, halo, amino, acyl, cycloalkyl, heterocyclyl, and aryl; X = O; R4, R5 = alkyl, aryl; R4X and/or R5X may also be amino acid residues with X = NH] are disclosed. The compds. of the present invention also include the R- and S-enantiomers of the above compds. Thus, III [R = allyl (IV)] is prepared by reacting III (R = H) with allylamine in ethanol. IV demonstrated an IC50 = 0.18 μM against human cytomegalovirus.

L5 ANSWER 3 OF 48 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2004:20677 CAPLUS
DN **140:59510**
TI Preparation of N-alkenyl carboxamide inhibitors of HCV NS5b polymerase for treating hepatitis C viral infections and associated diseases
IN Gao, Hua; Greene, Meredith L.; Gross, Rebecca J.; Nugent, Richard A.; Pfefferkorn, Jeffrey
PA Pharmacia & Upjohn Company, USA; Finzel, Barry C.
SO PCT Int. Appl., 186 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| PI WO 2004002977 | A1 | 20040108 | WO 2003-US20488 | 20030630 |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2002-392759PP 20020701

OS MARPAT 140:59510
AB The present invention provides YC(O)N(R2)C(C(O)R3):C(R1)AXZ (I; variables defined below; e.g. (2Z)-2-[(2-furoyl)amino]-3-(5-phenyl-2-furyl)prop-2-

enoic acid (II)), compns. and methods that are useful for treating viral infections and associated diseases, particularly HCV infections and associated diseases. 20 Examples of I were evaluated for inhibition of HCV NS5b RNA dependent RNA polymerase activity; e.g. II exhibited IC50 <10 μM. Although the methods of preparation are not claimed, 13 example prepns. are included. For example, II was prepared in 2 steps starting from N-2-furoylglycine and 5-phenyl-2-furaldehyde in Ac2O/NaOAc and involving (4Z)-2-(2-furyl)-4-[(5-phenyl-2-furyl)methylene]-1,3-oxazol-5(4H)-one as an intermediate. For I: X = a covalent bond, NR2, S, O, C:O, C(R2)(R'2), CF2, CCl2, CR2OR'2, CR2NR'2, SO, and SO2; Y = (un)substituted alkyl, (un)substituted heteroalkyl, (un)substituted aryl, (un)substituted heteroaryl and (un)substituted heteroalkyl; Z =( un)substituted aryl and (un)substituted heteroaryl; any two adjacent substituents of Y or Z may be taken together to form a fused carbocyclic or heterocyclic ring = 5-7 members. R1 = H, alkyl, hetero-C1-C8 alkyl, halogen, CN, halo C1-C8 alkyl, aryl, heteroaryl, cyclo-C1-C8 alkyl and ara-C1-C8 alkyl; R2 is H, C1-C8-alkyl, C1-C8-alkenyl, hetero C1-C8 alkyl, C1-C8 cycloalkyl, heterocyclo C1-C8 alkyl, aryl, ara-C1-C8 alkyl, heteroaryl or heteroaralkyl; R3 = H, OH, OR2, N(R2)(R'2) and N(R2)-T-W where T = (un)substituted alkyl or cycloalkyl of 1-8 carbons; W = OH, N(R2)(R'2), CON(R2)(R'2), OCON(R2)(R'2), NCON(R2)(R'2) and CO2R2; A = (un)substituted aromatic or heteroarom. ring of 5 or 6 members; the substituents X and R1-double bond (R1C:) are connected to A in a 1,2, 1,3 or 1,4 spatial relation; the substituents A and COR3 have an E (trans) configuration with respect to the double bond to which they are attached.

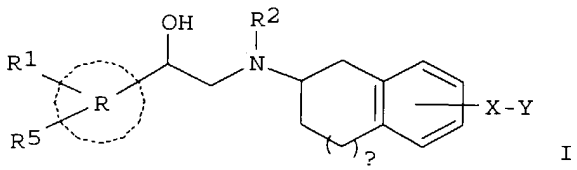
RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 4 OF 48 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2003:737720 CAPLUS
DN **139:261169**
TI Preparation of aryl and/or pyridinyl aminoalcohol derivatives as selective β3 adrenergic receptor agonists useful against pollakiuria, urinary incontinence and other conditions
IN Hattori, Kouji; Tomishima, Yasuyo; Nakajima, Yutaka; Imanishi, Masashi
PA Fujisawa Pharmaceutical Co., Ltd., Japan
SO PCT Int. Appl., 140 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | WO 2003076397 | A1 | 20030918 | WO 2003-JP2821 | 20030310 |
| | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| | RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| | | | | AU 2002-1104 | A 20020314 |
| | | | | AU 2003-900127 | A 20030110 |

OS MARPAT 139:261169

GI

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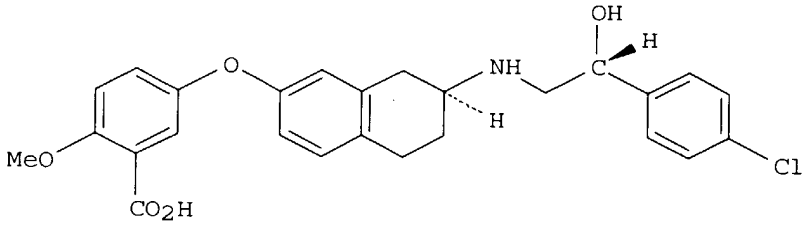



II

AB The present invention relates to aminoalcs. (shown as I; e.g. 5-[[(7S)-7-[[(2R)-2-(4-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-2-methoxybenzoic acid hydrochloride (base shown as II); R = Ph, pyridinyl; R1 and R5 are each independently H, halogen, lower alkyl, etc.; R2 is H or an amino protective group; X is a bond, -O-O, -O-CH2-, etc.; Y is substituted Ph, thienyl, pyridinyl, pyrazinyl, piperidinyl; and n is 0, 1 or 2) or a salt thereof. Compds. I and pharmaceutically acceptable salts thereof are useful for the prophylactic and/or the therapeutic treatment of pollakiuria or urinary incontinence. The effect of II on the increase in intravesical pressure induced by carbachol in anesthetized dog was found to be a 54 % inhibition at 0.032 mg/kg. Forty-six example prepns. of intermediates and 81 of I are included. For example, 3-[[(7S)-7-[N-[(2R)-2-(3-chlorophenyl)-2-hydroxyethyl]-N-(tert-butoxycarbonyl)amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]benzoic acid Me ester (240 mg) was prepared from (7S)-7-[N-[(2R)-2-(3-chlorophenyl)-2-hydroxyethyl]-N-(tert-butoxycarbonyl)amino]-2-hydroxy-5,6,7,8-tetrahydronaphthalene (400 mg), Et3N (1 mL), (3-methoxycarbonylphenyl)boronic acid (400 mg) and Cu(OAc)2 (400 mg) in CH2Cl2 (10 mL). The reactant (7S)-7-[N-[(2R)-2-(3-chlorophenyl)-2-hydroxyethyl]-N-(tert-butoxycarbonyl)amino]-2-hydroxy-5,6,7,8-tetrahydronaphthalene (12 g) was prepared from (7S)-7-[[(2R)-2-(3-chlorophenyl)-2-hydroxyethyl]amino]-2-hydroxy-5,6,7,8-tetrahydronaphthalene (10 g) and di-tert-Bu dicarbonate (8 g) in THF (100 mL).

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 5 OF 48 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2003:570940 CAPLUS
DN **139:133345**
TI Preparation of Phenyl(alkyl)carboxylic acid derivatives and analogs and their serum glucose and/or serum lipid lowering activity
IN Giannessi, Fabio; Tassoni, Emanuela; Dell'Uomo, Natalina; Brunetti, Tiziana; Tinti, Maria Ornella; Arduini, Arduino; Pessotto, Pompeo
PA Sigma-Tau Industrie Farmaceutiche Riunite S.p.A., Italy

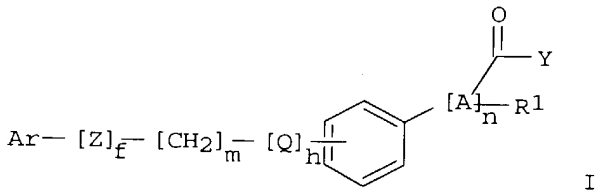
SO PCT Int. Appl., 97 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| PI WO 2003059864 | A2 | 20030724 | WO 2003-IT7 | 20030113 |
| WO 2003059864 | A3 | 20040129 | | |

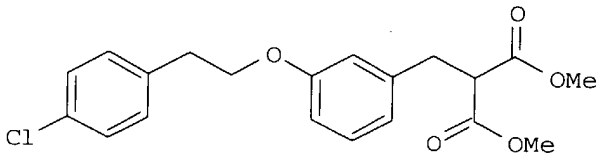
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

IT 2002-RM16 A 20020115

OS MARPAT 139:133345
GI




I




II

AB Title compds. I [A = CH; alkanylidene with 2-4 C atoms, etc.; Ar = mono/bicyclic (hetero)aryl; f, h = 0-1; m = 0-3; n = 0-1 and if n = 0, R1 = absent and COY is directly bound to benzene; Q, Z = NH, O, S, NHCO, etc.; Y = OH, alkoxy, amino] are prepared For instance, 3-hydroxybenzaldehyde is condensed with dimethylmalonate (HOAc, piperidine, 5 h) and the product reduced (MeOH, H2-10% Pd/C @ 50 psi, 18 h) to give II. II is capable of increasing glucose consumption in 3T3 - L1 cells to a similar extent to that achieved by rosiglitazone. I are serum glucose and serum lipid lowering agents and are useful for the prophylaxis and treatment of diabetes, particularly type 2, and its complications, Syndrome X, the various forms of insulin resistance, and hyperlipidemias, and present reduced side effects, and, particularly, reduced or no liver toxicity.

L5 ANSWER 6 OF 48 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2003:454275 CAPLUS
DN **139:36349**
TI Preparation of arylalkyl-urea/carbamates for treatment of inflammation, diabetes and related disorders
IN Neogi, Partha; Dey, Debendranath; Li, Ta-Kai; Fuller, Joseph; Chen, Liang
PA Calyx Therapeutics Inc., USA
SO PCT Int. Appl., 107 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | WO 2003048108 | A2 | 20030612 | WO 2002-US38150 | 20021127 |
| | WO 2003048108 | A3 | 20031016 | | |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2001-334818PP 20011129

OS MARPAT 139:36349
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [R1-7 = H, alkyl, chloroalkyl, alkenyl, etc.; R8-9 = H, alkyl, alkenyl, heteroaryl, etc.; R10-12 = H, alkyl, alkenyl, aryl, heteroaryl, etc.; X = O, N, S0-2,etc.; Y = O, S, NH; Z = alkoxy, alkyl, chloroalkyl, etc.] and related analogs are prepared For instance, 3-[3,5-dimethoxyphenyl]-2-[4-hydroxyphenyl]acrylic acid (preparation given) is reacted with 4-fluorobenzaldehyde (DMSO, KOBu-t, 100°, 5 h), the resulting aldehyde is reacted with triethylphosphonoacetate (THF, NaH), the disubstituted olefin is then selectively reduced (EtOH-dioxane, H2-Raney Ni), the ester reacted with urea (EtOH, NaOEt) and finally esterified to give II. A selected example compound has IC50 < 1 μM for PDE4 and IC50 = 13.6 μM for PDE3 and inhibits LPS-induced phosphorylation of p44/42 MAP kinase at 30 μM. I are effective inhibiting the cytokine-mediated inflammatory response in cultured cells, in ameliorating bone destruction, in an animal model of arthritis and in lowering blood glucose levels in animal models of Type II diabetes mellitus. I are also useful for a variety of treatments including the treatment of diabetes mellitus, insulin resistance, inflammation, inflammatory diseases, immunol. diseases and cancer.

L5 ANSWER 7 OF 48 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2003:417639 CAPLUS

DN **139:959**
TI Remedies for urinary frequency
IN Maruyama, Takayuki; Nonaka, Shigeyuki; Yamamoto, Hiroshi; Kobayashi, Kaoru
PA Ono Pharmaceutical Co., Ltd., Japan
SO PCT Int. Appl., 64 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| PI WO 2003043655 | A1 | 20030530 | WO 2002-JP12000 | 20021118 |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

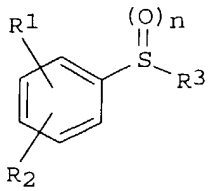
JP 2001-353303 A 20011119

OS MARPAT 139:959
AB Remedies and/or preventives for urinary frequency which comprise a compound having an antagonism to the EP1 receptor which is one of prostaglandin E2 receptor subtypes. Because of having an antagonistic effect on the EP1 receptor which is one of PGE2 receptor subtypes and significantly regulating the urinary frequency in a urinary frequency-induced model, the compound having an antagonism to the EP1 receptor is efficacious in treating and/or preventing urinary frequency (neurogenic bladder, nervous bladder, sensitive bladder, labile bladder, urinary frequency associating hyperplasia, etc.).
RE.CNT 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 8 OF 48 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2003:368903 CAPLUS
DN **138:368609**
TI Preparation of phenyl sulfones or sulfoxides as telomerase inhibitors for antitumor agents
IN Kanda, Hiroshi; Nakatsu, Rieko; Asai, Akiyoshi; Yamashita, Nobunori
PA Kyowa Hakko Kogyo Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 10 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| PI JP 2003137861 | A2 | 20030514 | JP 2001-340850 | 20011106 |
| | | | JP 2001-340850 | 20011106 |

OS MARPAT 138:368609
GI



I

AB The compds. I [R1 = NO2, cyano, NH2, lower alkanoylamino, etc.; R2 = CR2a:CR2bCOR2c; R2a, R2b = H, (un)substituted lower alkyl; R2c = OH, (un)substituted lower alkoxy, amino, lower alkylamino, etc.; R3 = (un)substituted aryl; n = 1-2] or their pharmaceutically acceptable sats are prepared A sulfide I (R1 = CH:CHCO2CMe3 at p-position, R2 = NO2 at m-position, R3 = p-MePh, n = 0) was treated with m-chloroperbenzoic acid in CH2Cl2-MeOH at room temperature for 1 h to give 81% I (R1 = CH:CHCO2CMe3 at p-position, R2 = NO2 at m-position, R3 = p-MePh, n = 1), showing good inhibitory activity against telomerase in human kidney cancer cell strain.

L5 ANSWER 9 OF 48 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2003:348788 CAPLUS
DN **138:353993**
TI Preparation of benzimidazole derivatives as prodrugs of proton pump inhibitors
IN Garst, Michael E.; Sachs, George; Shin, Jai Moo
PA Regents of the University of California, USA; The United States Department of Veteran Affairs; Winston Pharmaceuticals, LLC
SO U.S., 38 pp., Cont.-in-part of U.S. Ser. No. 364,381, abandoned.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 2

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | US 6559167 | B1 | 20030506 | US 2001-783807 | 20010214 |
| | | | | US 1998-131481 A2 | 19980810 |
| | | | | US 1999-364381 B2 | 19990729 |
| | US 6093734 | A | 20000725 | US 1998-131481 | 19980810 |
| | ZA 2001000560 | A | 20010713 | ZA 2001-560 | 20010119 |
| | | | | US 1998-131481 A | 19980810 |

PATENT FAMILY INFORMATION:
FAN 2000:133673

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | WO 2000009498 | A1 | 20000224 | WO 1999-US18048 | 19990809 |
| | W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| | RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| | | | | US 1998-131481 A | 19980810 |
| | | | | US 1999-364381 A | 19990729 |
| | US 6093734 | A | 20000725 | US 1998-131481 | 19980810 |

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| CA 2338311 | AA | 20000224 | CA 1999-2338311 19990809<br>US 1998-131481 A 19980810<br>US 1999-364381 A 19990729<br>WO 1999-US18048W 19990809 |
| AU 9955518 | A1 | 20000306 | AU 1999-55518 19990809 |
| AU 752292 | B2 | 20020912 | |
| BR 9912937 | A | 20010508 | US 1998-131481 A 19980810<br>US 1999-364381 A 19990729<br>WO 1999-US18048W 19990809<br>BR 1999-12937 19990809 |
| EP 1105387 | A1 | 20010613 | US 1998-131481 A 19980810<br>US 1999-364381 A 19990729<br>WO 1999-US18048W 19990809<br>EP 1999-942057 19990809 |
| EP 1105387 | B1 | 20030129 | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | |
| NZ 510180 | A | 20021126 | US 1998-131481 A 19980810<br>US 1999-364381 A 19990729<br>WO 1999-US18048W 19990809<br>NZ 1999-510180 19990809 |
| AT 231857 | E | 20030215 | US 1998-131481 A 19980810<br>US 1999-364381 A 19990729<br>WO 1999-US18048W 19990809<br>AT 1999-942057 19990809 |
| JP 2003534232 | T2 | 20031118 | US 1998-131481 A 19980810<br>US 1999-364381 A 19990729<br>WO 1999-US18048W 19990809<br>JP 2000-564950 19990809 |
| ZA 2001000560 | A | 20010713 | US 1998-131481 A 19980810<br>US 1999-364381 A 19990729<br>WO 1999-US18048W 19990809<br>ZA 2001-560 20010119 |
| BG 105191 | A | 20011231 | US 1998-131481 A 19980810<br>BG 2001-105191 20010126 |
| FI 2001000248 | A | 20010209 | US 1998-131481 A 19980810<br>US 1999-364381 A 19990729<br>WO 1999-US18048W 19990809<br>FI 2001-248 20010209 |
| NO 2001000693 | A | 20010305 | US 1998-131481 A 19980810<br>US 1999-364381 A 19990729<br>WO 1999-US18048W 19990809<br>NO 2001-693 20010209 |
| HR 2001000106 | A1 | 20020228 | US 1998-131481 A 19980810<br>US 1999-364381 A 19990729<br>WO 1999-US18048W 19990809<br>HR 2001-106 20010209 |

OS MARPAT 138:353993
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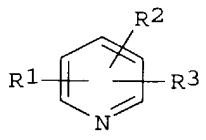


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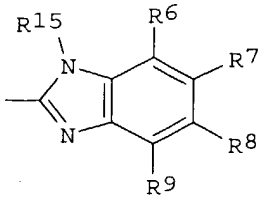
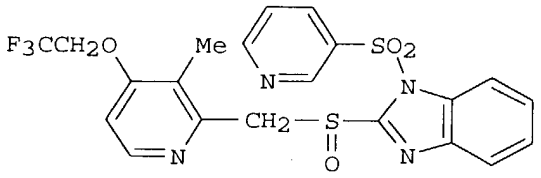



III




IV

AB The title compds. Het1XSOHet2 [I; Het1 = II; X = CHR10; Het2 = III; R1-R3 = H, alkyl, fluoroalkyl, etc.; R6-R9 = H, alkyl, haloalkyl, etc.; R10 = H, alkyl; R15 = SO2R21(R17); R17 = alkyl, haloalkyl, alkoxy, etc.; R21 = (un)substituted aralkyl, heteroarylalkyl] which are prodrugs of the pyridyl Me sulfinyl benzimidazole type proton pump inhibitor drugs having a hydrolyzable arylsulfonyl or heteroarylsulfonyl group attached to the benzimidazole nitrogen, were prepared Thus, reacting 2-({[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl]methyl}sulfinyl)-1H-benzimidazole with pyridine-3-sulfonyl chloride in the presence of Et3N in CH2Cl2 afforded the title compound IV. The prodrugs I hydrolyze under physiol. conditions to provide the proton pump inhibitors with a half life measurable in hours, and are capable of providing sustained plasma concns. of the proton pump inhibitor drugs for longer time than presently used drugs. The generation of the proton pump inhibitor drugs from the prodrugs of the invention (I) under physiol. conditions allows for more effective treatment of several diseases and conditions caused by gastric acid secretion (e.g., ulcers). Biol. data for compds. I were given.

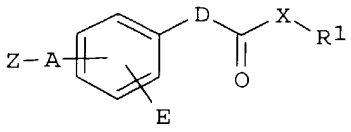
RE.CNT 47 THERE ARE 47 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 10 OF 48 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2003:315967 CAPLUS
DN **138:287410**
TI Preparation of 3-phenylacrylamides and analogs as inhibitors of cyclooxygenase II
IN Mauleon Casellas, David; Garcia Perez, Luisa; Palomer Benet, Albert; Pascual Avellana, Jaime
PA Laboratorios Menarini, S.A., Spain
SO Span., 27 pp.
CODEN: SPXXAD
DT Patent
LA Spanish
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | ES 2164564 | A1 | 20020216 | ES 1999-2287 | 19991018 |
| | ES 2164564 | B1 | 20030216 | | |

ES 1999-2287 19991018

OS MARPAT 138:287410
GI




I

AB Carboxylic acids, amides and esters I [D = (alkyl)eth(en)ylene or ethynylene; A = CO, O, S, NH; X = NH or alkylimino; E = halo, alk(en)(yn)yl, cycloalkyl, cycloalkylalkyl, arylalkyl, haloalkyl, acyl, etc.; Z = (un)substituted Ph, pyridyl, furyl or thienyl; R1 = H, alkyl or phenylalkyl] or their pharmaceutically-acceptable salts were prepared as inhibitors of cyclooxygenase II for treatment of inflammation, pain, fever, colorectal cancer, and Alzheimer's disease. Thus, 3-(3-benzoyl-5-ethyl)acrylamide was prepared by a multistep sequence starting from Me 5-aminoisophthalate and involving reaction of 3-bromo-5-ethylbenzophenone with acrylamide in the final step.

L5 ANSWER 11 OF 48 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:716124 CAPLUS
DN **137:242190**
TI Remedies for depression containing prostaglandin E2 receptor subtype EP1 antagonist as the active ingredient
IN Nonaka, Shigeyuki; Maruyama, Takayuki
PA Ono Pharmaceutical Co., Ltd., Japan
SO PCT Int. Appl., 269 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| PI WO 2002072145 | A1 | 20020919 | WO 2002-JP2359 | 20020313 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| | | | JP 2001-73011 A | 20010314 |
| EP 1369129 | A1 | 20031210 | EP 2002-705130 | 20020313 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| | | | JP 2001-73011 A | 20010314 |
| | | | WO 2002-JP2359 W | 20020313 |

OS MARPAT 137:242190
AB Disclosed are remedies and/or preventives for depression containing as the active ingredient a compound (an EP1 antagonist) having antagonism to EP1 receptor which is one of prostaglandin E2 receptor subtypes. The EP1

antagonist is useful in treating depression (for example, endogenous depression, reactive depression, weatherproof depression, neurogenic depression, depression associating organic mental disorder). A capsule containing 6-[(2S,3S)-3-(4-chloro-2-methylphenylsulfonylaminomethyl)-bicyclo[2.2.2]octane-2-yl]-5Z-hexenoic acid 100 mg, and a tablet containing 4-[2-[N-isopropyl-N-(5-methyl-2-furylsulfonyl)amino]-5-trifluoromethylphenoxymethyl]benzoic acid 100 mg were prepared

RE.CNT 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 12 OF 48 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:184867 CAPLUS
DN **136:236663**
TI Hair and skin compositions containing a dibenzoylmethane derivative and an α-alkylstyrene dimer
IN Forestier, Serge
PA L'Oreal, Fr.
SO PCT Int. Appl., 31 pp.
CODEN: PIXXD2
DT Patent
LA French
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | WO 2002019979 | A2 | 20020314 | WO 2001-FR2655 | 20010823 |
| | WO 2002019979 | A3 | 20020815 | | |
| | W: JP, US | | | | |
| | RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR | | | | |
| | | | | FR 2000-11304 | A 20000905 |
| | | | | FR 2000-16791 | A 20001221 |
| | FR 2813526 | A1 | 20020308 | FR 2000-11304 | 20000905 |
| | FR 2813527 | A1 | 20020308 | FR 2000-16791 | 20001221 |
| | FR 2813527 | B1 | 20040123 | | |
| | | | | FR 2000-11304 | A 20000905 |
| | EP 1367986 | A2 | 20031210 | EP 2001-963119 | 20010823 |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY, TR | | | | |
| | | | | FR 2000-11304 | A 20000905 |
| | | | | FR 2000-16791 | A 20001221 |
| | | | | WO 2001-FR2655 | W 20010823 |
| | US 2003165443 | A1 | 20030904 | US 2003-129483 | 20030319 |
| | | | | FR 2000-11304 | A 20000905 |
| | | | | FR 2000-16791 | A 20001221 |
| | | | | WO 2001-FR2655 | W 20010823 |

OS MARPAT 136:236663
AB The invention concerns a cosmetic or dermatol. composition, for topical use, in particular for solar protection of the skin and hair. The invention is characterized in that it comprises in a cosmetically acceptable carrier: (a) 0.1 to 20 weight of a UV filter derived from dibenzoylmethane; and (b) 0.1 to 20 weight of a particular α-alkylstyrene dimer. The invention also concerns a novel method for enhancing the stability of at least a dibenzoylmethane derivative towards UV radiation which consists in associating with said dibenzoylmethane derivative an efficient amount of at least a particular α-alkylstyrene dimer. A composition contained ethoxylated polydimethylmethylceylmethylsiloxane 2, phenyltrimethylsiloxanytrisiloxane 3, Witconol TN 8, drometrizole trisiloxane 2, butylmethoxydibenzoylmethane

2, an α-alkylstyrene dimer, 6, titanium oxide 3, glycerin 5, magnesium sulfate 0.7, preservatives q.s., and water q.s. 100 g.

L5 ANSWER 13 OF 48 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:107317 CAPLUS
DN **136:167287**
TI Preparation of novel 3-substituted isoquinolin-1-yl derivatives of squaric acid amides as selective α4-integrin inhibitors
IN Head, John Clifford; Porter, John Robert; McKay, Catherine
PA Celltech R & D Limited, UK
SO PCT Int. Appl., 62 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | WO 2002010136 | A1 | 20020207 | WO 2001-GB3429 | 20010730 |
| | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| | RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| | | | | GB 2000-18969 | A 20000802 |
| | | | | GB 2000-28837 | A 20001127 |
| | EP 1305291 | A1 | 20030502 | EP 2001-953234 | 20010730 |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| | | | | GB 2000-18969 | A 20000802 |
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| | | | | WO 2001-GB3429 | W 20010730 |
| | JP 2004505110 | T2 | 20040219 | JP 2002-516268 | 20010730 |
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| | US 6469025 | B1 | 20021022 | US 2001-920206 | 20010801 |
| | US 2002177605 | A1 | 20021128 | | |
| | | | | GB 2000-18969 | A 20000802 |
| | | | | GB 2000-28837 | A 20001127 |

OS MARPAT 136:167287
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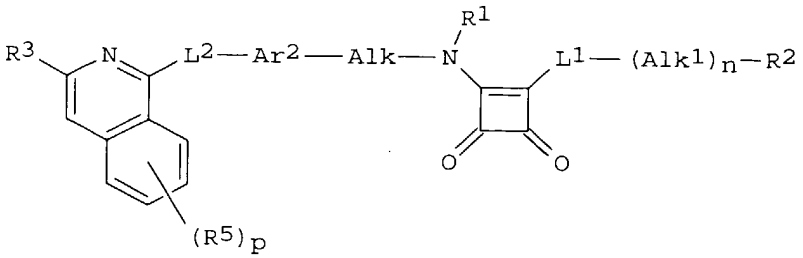

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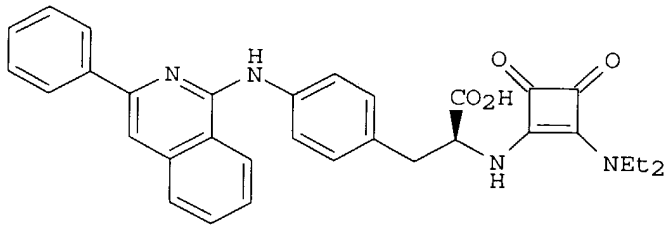

II

AB Squaric acid derivs. I are described [wherein: R1 = H or C1-6 alkyl; L1 = covalent bond or linker atom or group; Alk1 = (un)substituted aliphatic chain; n = 0 or 1; R2 = H or (un)substituted heteroaliph., (hetero)cycloaliph., (hetero)polycycloaliph., (hetero)aromatic; Alk = CH2CH(R), CH:C(R), CH(CH2R), C(:CHR); R = CO2H or derivative or biostere thereof; Ar2 = (un)substituted (hetero)aromatic linker; L2 = covalent bond or linker atom or group; R3 = L3(Alk2)mL4R4; L3, L4 = covalent bond or linker atom or group; m = 0 or 1; Alk2 = (un)substituted (hetero)aliphatic chain; R4 = (un)substituted (hetero)aromatic group; p = 0-5; R5 = H, halo, (un)substituted alkyl, alkoxy, (hetero)aromatic, SH, OH, (un)substituted NH2, etc.; including salts, solvates, hydrates, and N-oxides]. The compds. are able to inhibit the binding of integrins to their ligands and are of use in the prophylaxis and treatment of immune or inflammatory disorders, or disorders including the inappropriate growth or migration of cells. In particular, the compds. are selective inhibitors of α4 integrins. Approx. 50 compds. I were prepared For instance, mono-amidation of the squarate diester 3,4-diisopropoxy-3-cyclobutene-1,2-dione with a corresponding amino acid ester (84%), followed by a second amidation with diethylamine (85%), and alkaline hydrolysis of the ester function (67%), gave title compound II. In bioassays against several integrins, the example compds. generally had IC50 values of ≤ 1 μM against α4β1 and α4β7 integrins, but IC50 ≥ 50 μM against α5β1, αmβ2, and αIIbβ3 integrins.

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 14 OF 48 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:72034 CAPLUS
DN **136:118463**
TI Preparation of 1-alkyl-3-[1-(substituted phenyl)benzotriazol-6-yl]uracils as herbicides
IN Diehl, Robert E.; Trotto, Susan; Guaciaro, Michael; Wepplo, Peter
PA Basf Aktiengesellschaft, Germany
SO PCT Int. Appl., 42 pp.

CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| PI WO 2002006219 | A2 | 20020124 | WO 2001-EP8175 | 20010713 |
| WO 2002006219 | A3 | 20040219 | | |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

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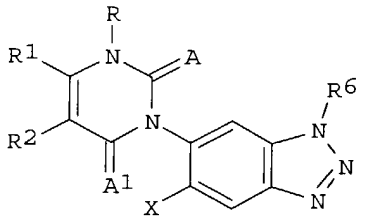
| US 2002111274 | A1 | 20020815 | US 2001-902875 | 20010711 |
| | | | US 2000-218511PP | 20000715 |
| AU 2001072543 | A5 | 20020130 | AU 2001-72543 | 20010713 |
| | | | US 2000-218511PP | 20000715 |
| | | | WO 2001-EP8175 W | 20010713 |

OS MARPAT 136:118463
GI



I

AB The title compds. [I; R = alkyl, haloalkyl, cycloalkyl, etc.; R1, R2 = H, halo, alkyl, etc.; X = H, halo; A, A1 = O, S; R6 = (un)substituted Ph], useful for the control of undesirable plant species, were prepared Thus, reacting 5-fluoro-1-(2-methoxyphenyl)-1H-1,2,3-benzotriazol-6-amine with 2-(dimethylamino)-4-trifluoromethyl-6H-1,3-oxazine-6-one in AcOH (87%) followed by methylation of the resulting pyrimidinedione with Me2SO4 (81%) afforded I [R = Me; R1 = CF3; R2 = H; A, A1 = O; X = F; R6 = 2-MeOC6H4] which showed 100% control of velvetleaf at 0.008 kg/ha.

L5 ANSWER 15 OF 48 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2001:676588 CAPLUS
DN **135:221312**
TI Therapeutic uses of PPAR mediators as ABC-1 expression modulators, and preparation thereof
IN Jaye, Michael; Duverger, Nicolas; Searfoss, George; Minnich, Anne
PA Aventis Pharma Deutschland G.m.b.H., Germany
SO PCT Int. Appl., 176 pp.
CODEN: PIXXD2
DT Patent
LA English

FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | WO 2001066098 | A2 | 20010913 | WO 2001-EP2482 | 20010306 |
| | WO 2001066098 | A3 | 20020404 | | |
| | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| | RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| | | | | US 2000-188323PP | 20000309 |
| | | | | GB 2000-13589 A | 20000606 |
| | BR 2001009107 | A | 20021203 | BR 2001-9107 | 20010306 |
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| | | | | WO 2001-EP2482 W | 20010306 |
| | EP 1267874 | A2 | 20030102 | EP 2001-956185 | 20010306 |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| | | | | US 2000-188323PP | 20000309 |
| | | | | GB 2000-13589 A | 20000606 |
| | | | | WO 2001-EP2482 W | 20010306 |
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| | NO 2002004273 | A | 20021007 | NO 2002-4273 | 20020906 |
| | | | | US 2000-188323PP | 20000309 |
| | | | | GB 2000-13589 A | 20000606 |
| | | | | WO 2001-EP2482 W | 20010306 |
| | US 2003220373 | A1 | 20031127 | US 2002-237578 | 20020909 |
| | | | | US 2000-188323PP | 20000309 |
| | | | | GB 2000-13589 A | 20000606 |
| | | | | WO 2001-EP2482 A1 | 20010306 |

OS MARPAT 135:221312

AB The invention discloses the use of PPAR mediators, and their pharmaceutical compns., as ATP binding cassette transporter 1 (ABC-1) expression modulators, wherein the PPAR ligand receptor agonists of the invention are useful as inducers of ABC-1 expression. Preparation of compds. of the invention is included. Also disclosed are methods for treating e.g. low levels of HDL.

L5 ANSWER 16 OF 48 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2001:359750 CAPLUS

DN **134:348284**

TI Phenyl compounds to treat diabetes and associated conditions

IN Neogi, Partha; Nag, Bishwajit; Lakner, Frederick J.; Dey, Debendranath; Medicherla, Satyanarayana

PA Calyx Therapeutics, Inc., USA

SO PCT Int. Appl., 47 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | WO 2001034094 | A2 | 20010517 | WO 2000-US30927 | 20001108 |
| | WO 2001034094 | C2 | 20020725 | | |
| | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| | RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
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| | EP 1235785 | A2 | 20020904 | EP 2000-980331 | 20001108 |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
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| | JP 2004503464 | T2 | 20040205 | JP 2001-536099 | 20001108 |
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| | US 2002107285 | A1 | 20020808 | US 2002-75442 | 20020215 |
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OS MARPAT 134:348284

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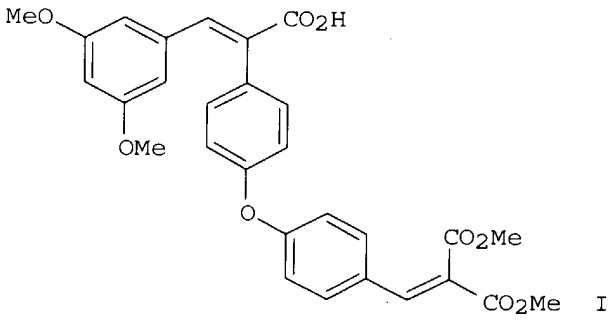


I

AB Ph compds. (Markush included) are provided that lower blood glucose concns., lower serum triglyceride concns., lower systolic blood pressure, and increase glucose uptake by adipose tissue, but do not affect the expression of PPAR-γ by adipose tissue. Compds. of the invention include e.g. I.

L5 ANSWER 17 OF 48 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2000:824129 CAPLUS
DN **134:507**
TI Anticancer agents containing prostaglandin E2 receptor subtype EP1 antagonists as the active ingredient

IN Wakabayashi, Keiji; Maruyama, Takayuki
PA Ono Pharmaceutical Co., Ltd., Japan; Japan as Represented by President of National Cancer Center; The Organization for Pharmaceutical Safety and Research
SO PCT Int. Appl., 30 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| PI WO 2000069465 | A1 | 20001123 | WO 2000-JP3028 | 20000511 |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

JP 1999-131195 A 19990512

OS MARPAT 134:507
AB Disclosed are preventives and/or remedies for cancer which contain prostaglandin E2 receptor subtype EP1 antagonists as the active ingredient. Because of showing effects of inhibiting the formation of intestinal polyps and adenomas and inhibiting breast cancer, EP1 antagonists typified by 6-[(2S,3S)-3-(4-chloro-2-methylphenylsulfonylaminomethyl)-bicyclo[2.2.2]octan-2-yl]-5Z-hexenoic acid and 4-[2-[N-isobutyl-N-(2-furylsulfonyl)amino]-5-trifluoromethylphenoxymethyl]cinnamic acid are useful in treating and/or preventing cancer.
RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 18 OF 48 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2000:725609 CAPLUS
DN **133:296281**
TI Preparation of 2- or 4-(phenylthio)cinnamides as cell adhesion-inhibiting antiinflammatory and immune-suppressive compounds
IN Link, James; Liu, Gang; Pei, Zhonghua; Von Geldern, Thomas W.; Winn, Martin; Xin, Zhili; Wang, Sheldon; Boyd, Steven A.; Zhu, Gui-Dong; Freeman, Jennifer C.; Gunawardana, Indrani W.; Staeger, Michael A.; Jae, Hwan-soo; Lynch, John K.
PA Abbott Laboratories, USA
SO PCT Int. Appl., 476 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| PI WO 2000059880 | A1 | 20001012 | WO 2000-US8895 | 20000403 |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW,

| | | | |
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| | AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | |
| | RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | US 1999-286645 A 19990402<br>US 1999-474517 A 19991229<br>US 2000-541795 A 20000331 |
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| | | | US 1999-286645 A 19990402<br>US 1999-474517 A 19991229<br>US 2000-541795 A 20000331<br>WO 2000-US8895 W 20000403 |
| HR 2001000776 | A1 | 20021231 | HR 2001-776 20011023 |
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| ZA 2001008944 | A | 20030702 | ZA 2001-8944 20011030 |
| | | | US 1999-286645 A 19990402 |

OS MARPAT 133:296281

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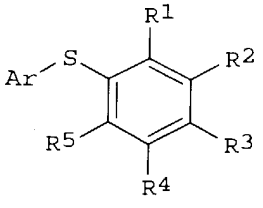


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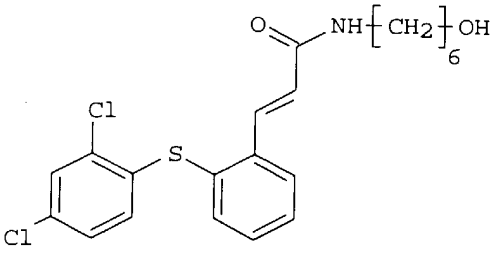


II

AB The title compds. (I) [wherein R1-R5 = independently H, halo, (halo)alkyl, alkoxy, cyano, NO2, CHO, and least one of R1 or R3 is an (un)substituted

cis- or trans-cinnamide; Ar = (un)substituted (hetero)aryl] were prepared as cell adhesion inhibitors for the treatment of inflammatory and immune diseases. Examples include syntheses for 443 invention compds. and data for 3 bioassays. For instance, a mixture of 2-[(2,4-dichlorophenyl)thio]benzaldehyde (preparation given), malonic acid, piperidine in anhydrous pyridine was heated at 110°C for 2 h and then treated with aqueous HCl to give trans-2-[(2,4-dichlorophenyl)thio]cinnamic acid (91%). Conversion to the acid chloride followed by amidation with 6-amino-1-hexanol gave (E)-II (90%). In an integrin LFA-1/ICAM-1 biochem. interaction assay, I demonstrated inhibition at 4 μM. In cell-based adhesion assays which measure the ability of test compds. to block adherence of JY-8 cells (a human EBV-transformed B cell line expressing LFA-1 on its surface) to immobilized ICAM-1 or ICAM-3, I exhibited blocking activity at 4 μM and 0.6 μM, resp.

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 19 OF 48 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2000:457022 CAPLUS
DN **133:89514**
TI Cell adhesion-inhibiting antiinflammatory and immune-suppressive compounds
IN Link, James; Liu, Gang; Pei, Zhonghua; Von Geldern, Tom; Winn, Martin; Xin, Zhili; Boyd, Steven A.; Jae, Hwan-Soo; Lynch, John K.; Zhu, Gui-Dong; Freeman, Jennifer C.; Gunawardana, Indrani W.; Staeger, Michael A.
PA Abbott Laboratories, USA
SO PCT Int. Appl., 400 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | WO 2000039081 | A2 | 20000706 | WO 1999-US31162 | 19991229 |
| | WO 2000039081 | A3 | 20010525 | | |
| | W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
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| | EP 1140814 | A2 | 20011010 | EP 1999-966709 | 19991229 |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |
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| | EE 200100355 | A | 20021015 | EE 2001-355 | 19991229 |
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| NZ 512687 | A | 20031219 | NZ 1999-512687 19991229 |
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| | | | WO 1999-US31162W 19991229 |
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| | | | WO 1999-US31162W 19991229 |
| BG 105732 | A | 20020228 | BG 2001-105732 20010725 |
| | | | US 1998-222491 A 19981229 |
| | | | WO 1999-US31162W 19991229 |

OS MARPAT 133:89514

AB The present invention relates to novel cinnamide compds. that are useful for treating inflammatory and immune diseases, to pharmaceutical compns. containing these compds., and to methods of inhibiting inflammation or suppressing immune response in a mammal. Among the approx. 400 trans-arylthiocinnamamide title compds., prepared by standard methods, were 6-benzodioxanyl 2-trifluoromethyl-4-[(E)-2-[3-(R)-(ethoxycarbonyl)piperidinocarbonyl]ethenyl]phenyl sulfide (I), 2-ethoxyphenyl 2-trifluoromethyl-4-[(E)-2-[2-carboxy-4-(methoxycarbonyl)-1-piperazinylcarbonyl]ethenyl]phenyl sulfide (II) and 2-isopropylphenyl 2-nitro-4-[(E)-2-[3-(2-oxo-1-pyrrolidinyl)-1-propylaminocarbonyl]ethenyl]phenyl sulfide (III). The abilities of the title compds. to antagonize the interaction between ICAM-1 and LFA-1 were quantified using both biochem. and cell-based adhesion assays. E.g., compds. I-III exhibited 98% inhibition @ 4μM.

L5 ANSWER 20 OF 48 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2000:150474 CAPLUS
DN **132:180377**
TI Preparation of naphthalenes and their intermediates
IN Mizufune, Hideya; Nakamura, Minoru
PA Takeda Chemical Industries, Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 21 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| PI JP 2000072696 | A2 | 20000307 | JP 1998-244484 | 19980831 |
| | | | JP 1998-244484 | 19980831 |

OS CASREACT 132:180377; MARPAT 132:180377
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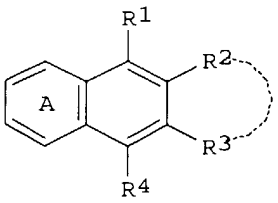


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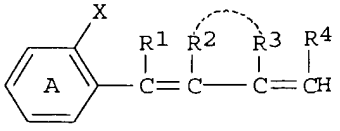


II

AB Title compds. I [A = (un)substituted benzene ring; R1 = H, (un)substituted lower alkyl; R2, R3 = C-containing group; R4 = (un)substituted cyclic group; R2R3 may form ring] or their salts are prepared by cyclization of II [R1-R4, A = same as I; X = halo, (un)substituted benzenesulfonyl oxy] in the presence of Pd catalysts. 3-(4-Fluorobenzylidene)-4-(6-bromo-3,4-methylenedioxybenzylidene)-2,5-pyrrolidinedione (prepared from 6-bromo-3,4-methylenedioxybenzaldehyde and 3-(4-fluorobenzylidene)-2,5-pyrrolidinedione) was reacted in the presence of Pd acetate and Et3N in DMF at 100° for 2 h to give 73.7% 9-(4-fluorophenyl)-6H-1,3-benzodioxolo[5,6-f]isoindole-6,8(7H)-dione.

L5 ANSWER 21 OF 48 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2000:144042 CAPLUS
DN **132:180568**
TI Preparation of 3-arylpyrazoles as herbicides.
IN Schallner, Otto; Linker, Karl-Heinz; Kluth, Joachim; Drewes, Mark Wilhelm; Feucht, Dieter; Pontzen, Rolf; Wetcholowsky, Ingo
PA Bayer A.-G., Germany
SO Ger. Offen., 20 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | DE 19838706 | A1 | 20000302 | DE 1998-19838706 | 19980826 |
| | CA 2341656 | AA | 20000309 | CA 1999-2341656 | 19990813 |
| | | | | DE 1998-19838706A | 19980826 |
| | | | | WO 1999-EP5963 W | 19990813 |
| | WO 2000012480 | A2 | 20000309 | WO 1999-EP5963 | 19990813 |
| | WO 2000012480 | A3 | 20000608 | | |
| | W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
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| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |
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OS MARPAT 132:180568
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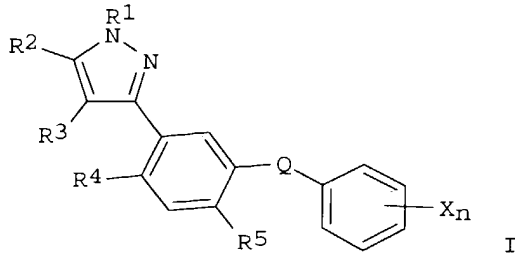

I

AB Title compds. [I; n = 0-5; Q = O, S, SO, SO2, imino; R1 = H, (substituted) alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl; R2 = (substituted) alkyl, alkoxy, alkylthio, alkylsulfinyl, alkylsulfonylalkenyl, alkenyloxy, alkenylthio, alkynyl, alkynyloxy, alkynylthio, cycloalkyl, cycloalkylalkyl; R3 = H, halo, (substituted) alkyl; R4 = H, cyano, thiocarbamoyl, halo; R5 = cyano, thiocarbamoyl, halo, (substituted) alkyl, alkoxy, alkylthio, alkylsulfinyl, alkylsulfonyl; X = OH, amino, NO2, cyano, CO2H, carbamoyl, thiocarbamoyl, F, Cl, Br, iodo, (substituted) alkyl, alkoxy, alkylthio, alkylsulfinyl, alkylsulfonyl, etc.], were prepared Thus, Et 2-(4-hydroxyphenoxy)propionate in Me2SO was treated with NaH and then with 4-bromo-3-(4-cyano-2,5-difluorophenyl)-5-difluoromethoxy-1-methyl-1H-pyrazole followed by 2.5 h stirring to give 56% Et 2-[4-[2-cyano-5-[4-bromo-5-(difluoromethoxy)-1-methyl-1H-pyrazol-3-yl]-4-fluorophenoxy]phenoxy]propionate. The latter showed strong herbicidal activity and was well tolerated by crop plants.

L5 ANSWER 22 OF 48 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1999:795787 CAPLUS
DN **132:35700**
TI Preparation of benzamidine derivatives as activated blood coagulation factor X inhibitors
IN Nakagawa, Tadakiyo; Sagi, Kazuyuki; Yoshida, Kaoru; Fukuda, Yumiko; Shoji, Masataka; Takehana, Shunji; Kayahara, Takashi; Takahara, Akira
PA Ajinomoto Co., Inc., Japan
SO PCT Int. Appl., 143 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| PI WO 9964392 | A1 | 19991216 | WO 1999-JP3055 | 19990608 |

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK,

MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

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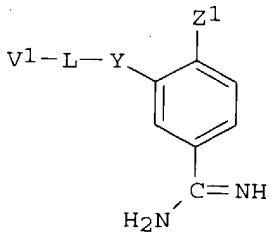
| | | | JP 1998-159627 A 19980608 |
| | | | JP 1998-159628 A 19980608 |
| CA 2334476 | AA | 19991216 | CA 1999-2334476 19990608 |
| | | | JP 1998-159627 A 19980608 |
| | | | JP 1998-159628 A 19980608 |
| | | | WO 1999-JP3055 W 19990608 |
| AU 9940604 | A1 | 19991230 | AU 1999-40604 19990608 |
| AU 758567 | B2 | 20030327 | |
| | | | JP 1998-159627 A 19980608 |
| | | | JP 1998-159628 A 19980608 |
| | | | WO 1999-JP3055 W 19990608 |
| EP 1086946 | A1 | 20010328 | EP 1999-923959 19990608 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, NL, SE, PT, IE, FI | | | |
| | | | JP 1998-159627 A 19980608 |
| | | | JP 1998-159628 A 19980608 |
| | | | WO 1999-JP3055 W 19990608 |
| US 2001056123 | A1 | 20011227 | US 2000-731729 20001208 |
| US 6410538 | B2 | 20020625 | |
| | | | JP 1998-159627 A 19980608 |
| | | | JP 1998-159628 A 19980608 |
| | | | WO 1999-JP3055 W 19990608 |
| US 2002107290 | A1 | 20020808 | US 2002-73985 20020214 |
| | | | JP 1998-159627 A 19980608 |
| | | | JP 1998-159628 A 19980608 |
| | | | WO 1999-JP3055 A119990608 |
| | | | US 2000-731729 A120001208 |

OS MARPAT 132:35700
GI

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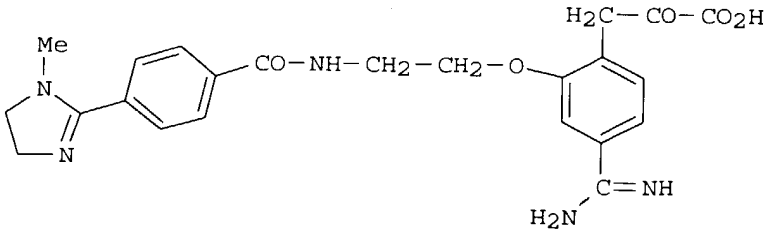


II

AB The title compds. I [L is CH2CH2, etc.; Z1 is CH:CHCOR2, etc.; R2 is OH, etc.; Y is CH:CH, etc.; V1 is, for example, H, (un)substituted benzoyl, etc.; extensive details on V1 are given] are prepared I are useful as antithrombotics. In an in vitro test for inhibiting activity against activated blood coagulation factor X, the title compound II.2CF3CO2H showed pIC50 of 8.1.

RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 23 OF 48 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1998:424220 CAPLUS
DN **129:95327**
TI Preparation of sulfonamide and carboxamide derivatives as drugs
IN Ohuchida, Shuichi; Nagao, Yuuki
PA Ono Pharmaceutical Co., Ltd., Japan; Ohuchida, Shuichi; Nagao, Yuuki
SO PCT Int. Appl., 305 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | WO 9827053 | A1 | 19980625 | WO 1997-JP4593 | 19971212 |
| | W: AU, CA, CN, HU, JP, KR, MX, NO, US | | | | |
| | RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE | | | | |
| | | | | JP 1996-353818 A | 19961218 |
| | | | | JP 1997-305055 A | 19971021 |
| | TW 523506 | B | 20030311 | TW 1997-86118583 | 19971210 |
| | | | | JP 1996-353818 A | 19961218 |
| | | | | JP 1997-305055 A | 19971021 |
| | AU 9854115 | A1 | 19980715 | AU 1998-54115 | 19971212 |
| | AU 733493 | B2 | 20010517 | | |
| | | | | JP 1996-353818 A | 19961218 |
| | | | | JP 1997-305055 A | 19971021 |
| | | | | WO 1997-JP4593 W | 19971212 |
| | EP 947500 | A1 | 19991006 | EP 1997-947925 | 19971212 |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI | | | | |
| | | | | JP 1996-353818 A | 19961218 |
| | | | | JP 1997-305055 A | 19971021 |
| | | | | WO 1997-JP4593 W | 19971212 |
| | CN 1247529 | A | 20000315 | CN 1997-181861 | 19971212 |
| | | | | JP 1996-353818 A | 19961218 |
| | | | | JP 1997-305055 A | 19971021 |
| | JP 3426252 | B2 | 20030714 | JP 1998-527533 | 19971212 |
| | | | | JP 1996-353818 A | 19961218 |
| | | | | JP 1997-305055 A | 19971021 |
| | | | | WO 1997-JP4593 W | 19971212 |
| | ZA 9711336 | A | 19980625 | ZA 1997-11336 | 19971217 |
| | | | | JP 1996-353818 A | 19961218 |
| | KR 2000057576 | A | 20000925 | KR 1999-705335 | 19990615 |
| | | | | JP 1996-353818 A | 19961218 |
| | | | | JP 1997-305055 A | 19971021 |
| | NO 9902935 | A | 19990816 | NO 1999-2935 | 19990616 |
| | | | | JP 1996-353818 A | 19961218 |
| | | | | JP 1997-305055 A | 19971021 |
| | | | | WO 1997-JP4593 W | 19971212 |
| | MX 9905770 | A | 20000228 | MX 1999-5770 | 19990618 |

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|---|---|---|---|
| | | | JP 1996-353818 A 19961218<br>JP 1997-305055 A 19971021<br>WO 1997-JP4593 W 19971212<br>US 1999-331327 19990618 |
| US 6448290 | B1 | 20020910 | JP 1996-353818 A 19961218<br>JP 1997-305055 A 19971021<br>WO 1997-JP4593 W 19971212<br>US 2002-207078 20020730 |
| US 2003060460 | A1 | 20030327 | JP 1996-353818 A 19961218<br>JP 1997-305055 A 19971021<br>WO 1997-JP4593 W 19971212<br>US 1999-331327 A319990618 |

OS MARPAT 129:95327
GI For diagram(s), see printed CA Issue.
AB The title compds. (I; rings A and B represent each a carbocycle or a heterocycle; Z1 represents COR1, CH:CHCOR1, etc.; R1 represents OH, C1-4 alkoxy, etc.; Z2 represents H, alkyl, etc.; Z3 represents a single bond or alkylene; Z4 represents SO2 or CO; Z5 represents alkyl, Ph, a heterocycle, etc., R2 represents CONR8, O, S, etc.; R8 represents H, C1-4 alkyl; R3 represents H, alkyl, halo, CF3, etc.; R4 represents H, optionally substituted alkyl, etc.; n, t = 1-4) are prepared I bind to prostaglandin E2 (PGE2) receptors and exert an antagonism. I have the effects of inhibiting uterine muscle contraction, analgesia, inhibiting digestive tract movement, hypnosis, enlarging vesical capacity, contracting the uterine, promoting the digestive tract movement, suppressing the secretion of gastric hydrochloric acid, lowering blood pressure, or diuresis. Thus, compound (II; W = Me) was treated with aqueous NaOH and followed by aqueous HCl to give the title compound II (W = H), which showed Ki of 0.099 μM against PGE2 receptors.
RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 24 OF 48 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1998:208529 CAPLUS
DN **128:257229**
TI Preparation of aryl-substituted acrylamides with leukotriene B4 (LTB-4) receptor antagonist activity
IN Greenspan, Paul David; Fujimoto, Roger Aki
PA Novartis A.-G., Switz.; Greenspan, Paul David; Fujimoto, Roger Aki
SO PCT Int. Appl., 55 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| PI WO 9813347 | A1 | 19980402 | WO 1997-EP5255 | 19970924 |

W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

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| | | | US 1996-27468P P | 19960926 |
| AU 9745573 | A1 | 19980417 | AU 1997-45573 | 19970924 |

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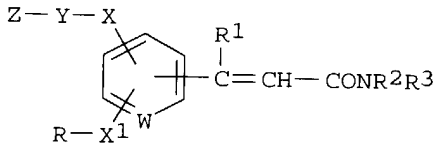
|---|---|---|---|---|
| | | | | US 1996-27468P P 19960926 |
| | | | | WO 1997-EP5255 W 19970924 |
| | EP 942903 | A1 | 19990922 | EP 1997-943901 19970924 |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI | | | |
| | | | | US 1996-27468P P 19960926 |
| | | | | WO 1997-EP5255 W 19970924 |
| | JP 2001501202 | T2 | 20010130 | JP 1998-515275 19970924 |
| | | | | US 1996-27468P P 19960926 |
| | | | | WO 1997-EP5255 W 19970924 |
| | US 6291530 | B1 | 20010918 | US 1999-269251 19990323 |
| | | | | US 1996-27468P P 19960926 |

OS MARPAT 128:257229

GI



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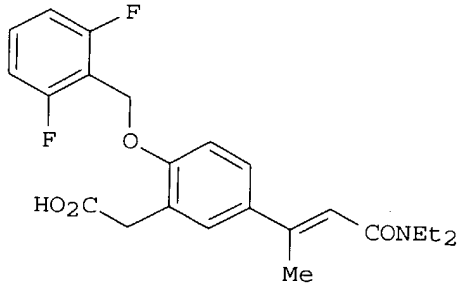


II

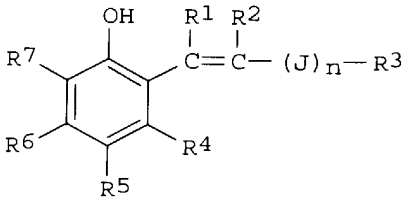
AB The title compds. [I; W = CH, N; R = (mono- or di-carbocyclic or heterocyclic aryl)-lower alkyl; R1 = H, lower alkyl; R2 , R3 = H, lower alkyl, lower alkoxy-lower alkyl, aryl-lower alkyl; R2R3 = lower alkylene optionally interrupted by O, NH, N-lower alkyl or S; X = O, S, SO, SO2, a direct bond; X1 = O, S, SO, SO2, a direct bond; Y = a direct bond, lower alkylene, lower alkylidene; Z = COOH, 5-tetrazolyl, HOCH2, carboxyl derivatized in the form of a pharmaceutically acceptable ester], useful as LTB-4 antagonists, were prepared Thus, treatment of a solution of (E)-[5-(2-diethylcarbamoyl-1-methylvinyl)-2-(2,6-difluorobenzyloxy)phenyl]acetaldehyde (preparation described) and 2M isobutylene/THF in tBuOH with a solution of NaClO2 and NaH2PO4.H2O in H2O afforded the title compound (E)-II which showed IC50 of 87 nM against LTB-4 binding.

RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 25 OF 48 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1998:106182 CAPLUS
DN **128:198602**
TI Silver halide photographic material with improved light fastness, tone, and color formation
IN Nishijima, Toyoki
PA Konica Co., Japan
SO Jpn. Kokai Tokkyo Koho, 19 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| PI JP 10039465 | A2 | 19980213 | JP 1996-193352 | 19960723 |
| | | | JP 1996-193352 | 19960723 |

OS MARPAT 128:198602
GI



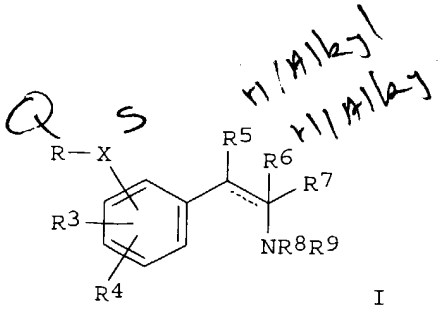

I

AB The material, which comprises a support and a Ag halide emulsion layer and a light insensitive layer on the support, contains a hydroxystyryl compound I (R1, R2 = H, aliphatic group, aryl; R3-7 = H, substituent; ≥2 of R4-7 may form a ring; J = divalent linkage; n = 0, 1) in ≥1 of the layers. The material shows improved light fastness, tone, and color formation.

L5 ANSWER 26 OF 48 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1997:574515 CAPLUS
DN **127:220986**
TI Preparation of phenylalanine derivatives as endothelin antagonists
IN Berryman, Kent Alan; Cheng, Xue-min; Doherty, Annette Marian; Edmunds, Jeremy John; Klutchko, Sylvester
PA Warner-Lambert Co., USA
SO U.S., 23 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| PI US 5658943 | A | 19970819 | US 1995-369209 | 19950105 |
| | | | US 1995-369209 | 19950105 |

OS MARPAT 127:220986
GI



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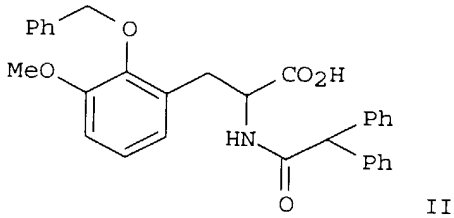

II

AB Novel endothelin antagonists I [R = absent, Q; R1, R2 = independently H, lower alkyl, halo, OH, alkoxy, alkylthio, CN, amino, alkylamino, dialkylamino, acylamino, CF3, carboxy, carboalkoxy, hydroxyalkyl, aminoalkyl, NO2; R1R2 = OCH2O, OCH2CH2O; n = 0-4; X = absent, O, S(O)m, NH, N-alkyl; m = 0-2; R3, R4 = independently H, alkyl, OH, alkoxy, aryloxy, alkylthio, arylthio, alkyl-NH, dialkylamino, halo, Z(CH2)qCO2R11, Z(CH2)qOR11; Z = NH, S, O; q = 0-4; R11 = H, lower alkyl; R3R4 = OCH2O, OCH2CH2O; R5 = H, YR10; Y = O, S(O)m, NH, N-alkyl, (CH2)p; p = 0-3; R10 = alkyl, (un)substituted phenyl; R6 = H, alkyl, alkenyl, CH2Ph; R7 = hydroxyalkyl, CO2R6, CONR62, NHSO2-alkyl, NHSO2CF3, NHSO2-aryl, SO3R9, PO3R9, CONHSO2-alkyl, CONHSO2-aryl, CONH-tetrazole, tetrazole; R8 = H, alkyl, aryl, aralkyl, heteroaryl, COR14, aralkyl, diaralkyl, OR15, NR15R16; R9 = H, alkyl, (un)substituted Ph; R14 = alkyl, aryl; R15, R16 = independently H, alkyl, cycloalkyl, aryl, aralkyl] are described, as well as novel intermediates used in their preparation, methods for the preparation and

pharmaceutical compns. of the same, which are useful in treating elevated levels of endothelin, essential, renovascular, malignant and pulmonary hypertension, cerebral infarction, myocardial ischemia, cerebral ischemia, congestive heart failure and subarachnoid hemorrhage. Thus, acylation of 2-benzyloxy-3-methoxy-DL-phenylalanine with diphenylacetyl chloride gave phenylalanine derivative II. II and related phenylalanine derivs. showed endothelin receptor binding activity with IC50 = 1.0 to >25 μM.

L5 ANSWER 27 OF 48 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1997:358966 CAPLUS
DN **126:331322**
TI Cinnamamides and their use as UV stabilizers
IN Horn, Keith A.; Heath, Richard B.; Schwind, David B.
PA Alliedsignal Inc., USA
SO PCT Int. Appl., 30 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | WO 9713749 | A2 | 19970417 | WO 1996-US15429 | 19960925 |
| | WO 9713749 | A3 | 19970626 | | |
| | W: CN, JP, KR | | | | |
| | RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE | | | | |
| | | | | US 1995-536919 A | 19950929 |
| | US 5888481 | A | 19990330 | US 1995-536919 | 19950929 |
| | EP 871610 | A2 | 19981021 | EP 1996-945918 | 19960925 |
| | EP 871610 | B1 | 20011129 | | |

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI

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| | | | US 1995-536919 A 19950929 |
| | | | WO 1996-US15429W 19960925 |
| CN 1198152 | A | 19981104 | CN 1996-197317 19960925 |
| | | | US 1995-536919 A 19950929 |
| JP 11513435 | T2 | 19991116 | JP 1996-515056 19960925 |
| | | | US 1995-536919 A 19950929 |
| | | | WO 1996-US15429W 19960925 |
| AT 209629 | E | 20011215 | AT 1996-945918 19960925 |
| | | | US 1995-536919 A 19950929 |
| | | | WO 1996-US15429W 19960925 |
| TW 442524 | B | 20010623 | TW 1996-85111823 19960926 |
| | | | US 1995-536919 A 19950929 |

OS MARPAT 126:331322

AB The title cinnamamides are used as UV absorbers in a variety of engineered resins such as polyamides (especially nylon 6 and 66), polycarbonates, polyacetals, polysulfones, polyimides, polyaryletherketones, and polyesters, (especially PET and PBT), as well as, other polymers such as polyvinylchloride and polyolefins (polyethylenes and polypropylenes). M-xylylenediamine-N,N'-bis(2-cyano-3,3-diphenylpropenamide) was prepared by reaction of m-xylylenediamine and 2-cyano-3,3-diphenylpropenoyl chloride, and was used as a UV absorbent for nylon 6.

L5 ANSWER 28 OF 48 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1997:88731 CAPLUS

DN **126:104074**

TI Preparation of substituted phenol derivatives as blood sugar lowering agents

IN Takeno, Shuichi; Ikemoto, Tomoyuki; Saito, Isao; Watanabe, Kazuhiro

PA Sumitomo Metal Industries, Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 21 pp.
CODEN: JKXXAF

DT Patent

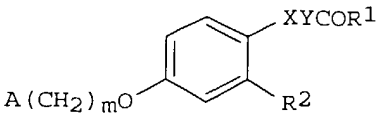
LA Japanese

FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | JP 08325250 | A2 | 19961210 | JP 1995-133462 | 19950531 |
| | | | | JP 1995-133462 | 19950531 |

OS MARPAT 126:104074

GI

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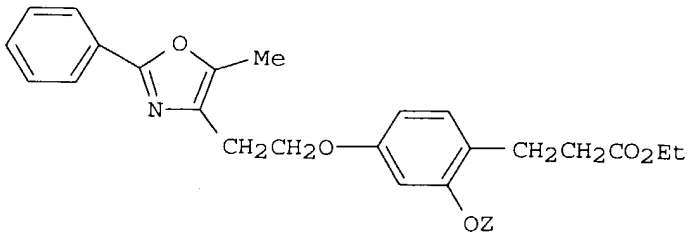



II

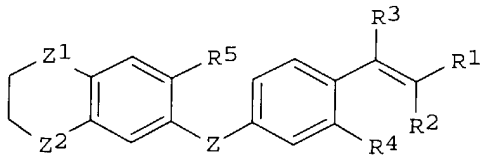
AB The title compds. (I; R1 = OH, lower alkoxy, NH2, phenoxy, etc.; R2 = OH, NO2, halo, etc.; A = N-containing heterocyclyl; XY = CH2CH2, CH:CH, OCH2, NHCH2; m = 0-2) are prepared I, possessing blood sugar lowering property, are useful for prevention and treatment of hyperlipemia and diabetes mellitus. Thus, oxazole derivative (II, Z = H) (preparation given) was reacted with ClCH2OMe in the presence of EtN(i-Pr)2 to give 63% the title compd II (Z = CH2OMe), which at 37 mg/kg/day showed blood sugar and triglyceride lowering results of -49% and -82% resp. when tested on mouse in vivo.

L5 ANSWER 29 OF 48 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1996:531729 CAPLUS
DN **125:167598**
TI Preparation and formulation of (tetrahydrotetramethylnaphthyloxy)naphthoates and analogs for treatment of keratinization disorders
IN Bernardon, Jean-Michel
PA Centre International De Recherches Dermatologiques Galderma (C.I.R.D. Galderma), Fr.
SO Eur. Pat. Appl., 23 pp.
CODEN: EPXXDW
DT Patent
LA French
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | EP 722928 | A1 | 19960724 | EP 1995-120073 | 19951219 |
| | EP 722928 | B1 | 19970806 | | |
| | R: AT, BE, CH, DE, ES, FR, GB, IT, LI, NL, SE | | | | |
| | | | | FR 1995-659 A | 19950120 |
| | FR 2729664 | A1 | 19960726 | FR 1995-659 | 19950120 |
| | FR 2729664 | B1 | 19970221 | | |
| | AT 156474 | E | 19970815 | AT 1995-120073 | 19951219 |
| | | | | FR 1995-659 A | 19950120 |
| | ES 2111364 | T3 | 19980301 | ES 1995-120073 | 19951219 |
| | | | | FR 1995-659 A | 19950120 |
| | AU 9640794 | A1 | 19960815 | AU 1996-40794 | 19960104 |
| | AU 684405 | B2 | 19971211 | | |
| | | | | FR 1995-659 A | 19950120 |
| | CA 2167651 | AA | 19960721 | CA 1996-2167651 | 19960119 |
| | CA 2167651 | C | 20010313 | | |
| | | | | FR 1995-659 A | 19950120 |

| | | | | |
|---|---|---|---|---|
| JP 08245475 | A2 | 19960924 | JP 1996-7863 | 19960119 |
| | | | FR 1995-659 | A 19950120 |
| US 5763487 | A | 19980609 | US 1996-589388 | 19960122 |
| | | | FR 1995-659 | A 19950120 |
| US 5985928 | A | 19991116 | US 1998-5601 | 19980109 |
| | | | FR 1995-659 | A 19950120 |
| | | | US 1996-589388 | A319960122 |
| US 6156750 | A | 20001205 | US 1999-229829 | 19990113 |
| | | | FR 1995-659 | A 19950120 |
| | | | US 1996-589388 | A319960122 |
| | | | US 1998-5601 | A319980109 |

OS MARPAT 125:167598
GI

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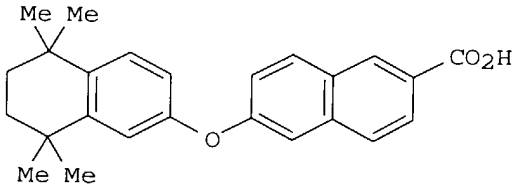


II

AB Title compds. [I; R1 = H, Me, alkoxy(methyl), alkanoyl, CO2H, etc.; R2 = H, alkyl, OH, alkoxy, etc.; R3 = H or alkyl; R2R3 = bond; R4 = H, alkyl, alkoxy, alkanoyloxy; R2R4 = CH:CH; R5 = H, halo, alkyl, alkoxy, etc.; Z = O, SOo-2, (alkyl)imino; Z1,Z2 = CH2, O, SO0-2, etc.] were prepared for treatment of keratinization disorders (no data). Thus, 5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthol was etherified by Me 2-bromo-2-naphthoate to give title compound II.

L5 ANSWER 30 OF 48 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1995:657646 CAPLUS
DN **123:69846**
TI Diphenylamine compounds
IN Beckmann, Stefan; Etzbach, Karl-Heinz; Sens, Ruediger
PA BASF A.-G., Germany
SO Ger. Offen., 11 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | DE 4335496 | A1 | 19950420 | DE 1993-4335496 | 19931019 |
| | WO 9511278 | A1 | 19950427 | WO 1994-EP3330 | 19941010 |

W: JP, KR, US
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE

| | | | | |
|---|---|---|---|---|
| | | | | DE 1993-4335496A 19931019 |
| EP 724609 | A1 | 19960807 | | EP 1994-928882 19941010 |
| R: CH, DE, FR, GB, IT, LI, NL | | | | |
| | | | | DE 1993-4335496A 19931019 |
| | | | | WO 1994-EP3330 W 19941010 |
| JP 09505331 | T2 | 19970527 | | JP 1994-511265 19941010 |
| | | | | DE 1993-4335496A 19931019 |
| | | | | WO 1994-EP3330 W 19941010 |
| US 5696243 | A | 19971209 | | US 1996-628641 19960419 |
| | | | | DE 1993-4335496A 19931019 |
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OS MARPAT 123:69846
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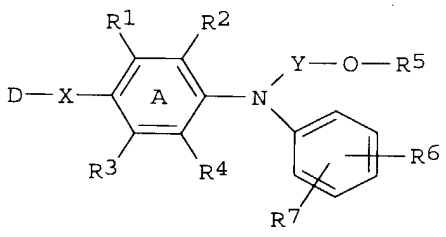


I

AB The title compds. are described by the general formula I (the ring A my be benzoanellated; D = an aryl residue or a 5-membered aromatic ring which includes 1-3 heteroatoms selected from N, O, or S in a heterocyclic ring and which can be anellated with benzene, thiophene, pyridine, or pyrimidine rings; X = N:N or, when D = an aryl residue, CH:CH, or D-X is a 1,2,2-tricyanovinyl residue; R1-4 = independently selected H, C1-4 alkyl, C1-6 alkoxy, or halogen residues; R5 = prop-1-en-3-yl, acryloyl, or methacryloyl; R6 and R7 = independently selected H, C1-6 alkyl, C1-6 alkoxy, halogen, prop-1-en-3-yl, acryloyl, methacryloyl, or oxiranylmethoxy residues; and Y = a C1-20 alkylene group). The use of the compds., and of polymers containing them, for nonlinear optical applications is also described.

L5 ANSWER 31 OF 48 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1995:207617 CAPLUS
DN **122:10065**
TI Trisubstituted phenyl derivatives as phosphodiesterase inhibitors and processes for their preparation
IN Warrellow, Graham John; Cole, Valerie Anne; Alexander, Rikki Peter
PA Celltech Limited, UK
SO PCT Int. Appl., 48 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|

PI WO 9420446 A1 19940915 WO 1994-GB453 19940309
W: AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, GE, HU, JP, KP, KR, KZ, LK, LU, LV, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, UA, UZ, VN
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG
GB 1993-4920 A 19930310
CA 2135480 AA 19940915 CA 1994-2135480 19940309
GB 1993-4920 A 19930310
AU 9461489 A1 19940926 AU 1994-61489 19940309
AU 675511 B2 19970206
GB 1993-4920 A 19930310
WO 1994-GB453 W 19940309
EP 640065 A1 19950301 EP 1994-908454 19940309
EP 640065 B1 20011017
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE
GB 1993-4920 A 19930310
WO 1994-GB453 W 19940309
JP 08505158 T2 19960604 JP 1994-519748 19940309
GB 1993-4920 A 19930310
WO 1994-GB453 W 19940309
AT 207051 E 20011115 AT 1994-908454 19940309
GB 1993-4920 A 19930310
WO 1994-GB453 W 19940309
ES 2162623 T3 20020101 ES 1994-908454 19940309
GB 1993-4920 A 19930310
PT 640065 T 20020429 PT 1994-94908454 19940309
GB 1993-4920 A 19930310
US 5739144 A 19980414 US 1995-543962 19951017
GB 1993-4920 A 19930310
US 1994-208656 B119940309
US 1995-384612 B119950202
US 5962483 A 19991005 US 1998-8173 19980116
GB 1993-4920 A 19930310
US 1994-208656 B119940309
US 1995-384612 B119950202
US 1995-543962 A319951017

OS MARPAT 122:10065

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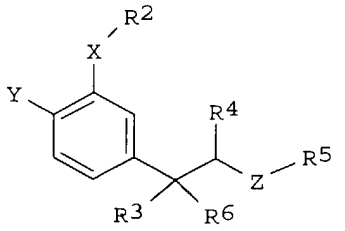


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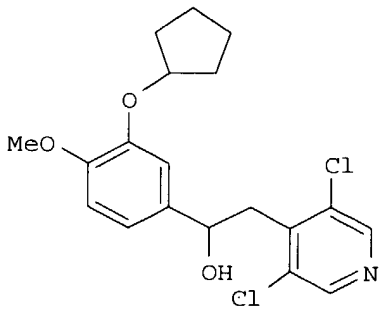


II

AB Title compds. I [wherein Y = halo or OR1; R1 = (un)substituted alkyl; X = O, S, or NR7, R7 = H, alkyl; R2 = (un)substituted cycloalkyl or

cycloalkenyl; R3, R4 = H, alkyl, CO2R8 (where R8 = H, alkyl, aryl, or aralkyl), CONR9R10 (where R9, R10 = H, alkyl, aryl or aralkyl), CSNR9R10, cyano, CH2CN; Z = (CH2)n (where n = 0-3); R5 = (un)substituted mono- or bicyclic aryl group optionally containing ≥ 1 heteroatom(s) selected from O, S, or N; R6 = H or OH] and the salts, solvates, hydrates, prodrugs and N-oxides thereof are disclosed. The compds. are potent and selective inhibitors of phosphodiesterase (PDE) type IV, and are useful in the prophylaxis and treatment of diseases such as asthma, where unwanted inflammatory responses or muscular spasms are present. For example, lithiation of 3,5-dichloro-4-methylpyridine with LDA in THF at -70°, followed by reaction with 3-cyclopentyloxy-4-methoxybenzaldehyde, gave title compound (±)-II. I are said to show concentration-dependent inhibition of recombinant PDE IV at 0.1-1000 nM with little or no activity against PDE I, II, III, or V at up to 100 μM. Prepns. of approx. 20 I and 20 intermediates, along with general ranges of results for addnl. biol. tests, are described.

L5 ANSWER 32 OF 48 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1994:700595 CAPLUS
DN **121:300595**
TI Preparation of styryl derivatives as PDE-IV inhibitors
IN Warrellow, Graham John; Cole, Valerie Anne; Alexander, Rikki Peter
PA Celltech Ltd., UK
SO PCT Int. Appl., 66 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | WO 9420455 | A1 | 19940915 | WO 1994-GB452 | 19940309 |
| | W: AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, GE, HU, JP, KP, KR, KZ, LK, LU, LV, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, UA, UZ, VN | | | | |
| | RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | | |
| | | | | GB 1993-4919 | 19930310 |
| | CA 2135479 | AA | 19940915 | CA 1994-2135479 | 19940309 |
| | | | | GB 1993-4919 | 19930310 |
| | AU 9461488 | A1 | 19940926 | AU 1994-61488 | 19940309 |
| | AU 677294 | B2 | 19970417 | | |
| | | | | GB 1993-4919 | 19930310 |
| | | | | WO 1994-GB452 | 19940309 |
| | EP 640070 | A1 | 19950301 | EP 1994-908453 | 19940309 |
| | EP 640070 | B1 | 19970910 | | |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE | | | | |
| | | | | GB 1993-4919 | 19930310 |
| | | | | WO 1994-GB452 | 19940309 |
| | JP 08501801 | T2 | 19960227 | JP 1994-519747 | 19940309 |
| | | | | GB 1993-4919 | 19930310 |
| | | | | WO 1994-GB452 | 19940309 |
| | US 5633257 | A | 19970527 | US 1994-209419 | 19940309 |
| | | | | GB 1993-4919 | 19930310 |
| | AT 157962 | E | 19970915 | AT 1994-908453 | 19940309 |
| | | | | GB 1993-4919 | 19930310 |
| | ES 2108978 | T3 | 19980101 | ES 1994-908453 | 19940309 |
| | | | | GB 1993-4919 | 19930310 |
| | US 5723460 | A | 19980303 | US 1995-465869 | 19950606 |

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|---|---|---|---|---|
| | | | GB 1993-4919 | 19930310 |
| | | | US 1994-209419 | 19940309 |
| US 5962492 | A | 19991005 | US 1997-974812 | 19971120 |
| | | | GB 1993-4919 | 19930310 |
| | | | US 1994-209419 | 19940309 |
| | | | US 1995-465869 | 19950606 |

OS MARPAT 121:300595

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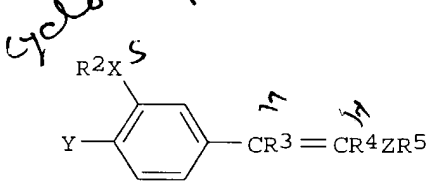

I

AB Title compds. I (Y = halo, R1O, wherein R1 = (substituted) alkyl; X = O, S, R6N, wherein R6 = H, (substituted); R2 = (substituted) cycloalkyl or cycloalkenyl; R3, R4 = H, (substituted) alkyl, R7O2C wherein R7 = H, (substituted) alkyl, aralkyl, aryl, aryloxyalkyl, alkanoyloxyalkyl, aroyloxyalkyl, R8R9NCO, R8R9NCS wherein R8, R9, = R7, NC, NCCH2; Z = (CH2)n wherein n = 0-3; R5 = (substituted) monocyclic or bicyclic aryl), salts, solvates, hydrates, prodrugs and N-oxides thereof useful as PDE (phosphodiesterase) IV inhibitors, are prepared 2-Thiopheneacetonitrile and 3-(cyclopentyloxy)-4-methoxybenzaldehyde (preparation given) were reacted to give I (Y = MeO, X = O, R2 = cyclopentyl, R3 = H, R4 = 2-thienyl, R5Z - NC). I cause a concentration-dependent inhibition of recombinant PDE-IV at 0.1-1000 nM with little or no activity against pde I. II, III or V at concentration ≤100 μM.

L5 ANSWER 33 OF 48 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1994:630784 CAPLUS
DN **121:230784**
TI Preparation of 2-benzoylpyrimidine derivatives as herbicides and agrochemical fungicides
IN Yamada, Hirokazu; Tanaka, Katsunori; Adachi, Hiroyuki; Yamada, Shigeo; Shimoda, Susumu
PA Nippon Soda Co., Ltd., Japan
SO PCT Int. Appl., 200 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | WO 9408975 | A1 | 19940428 | WO 1993-JP1478 | 19931014 |
| | W: AT, AU, BB, BG, BR, CA, CH, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, LK, LU, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, US | | | | |
| | RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | | |
| | | | | JP 1992-304622 | 19921016 |
| | | | | JP 1993-28313 | 19930528 |
| | | | | JP 1993-154303 | 19930601 |
| | AU 9351611 | A1 | 19940509 | AU 1993-51611 | 19931014 |
| | | | | JP 1992-304622 | 19921016 |

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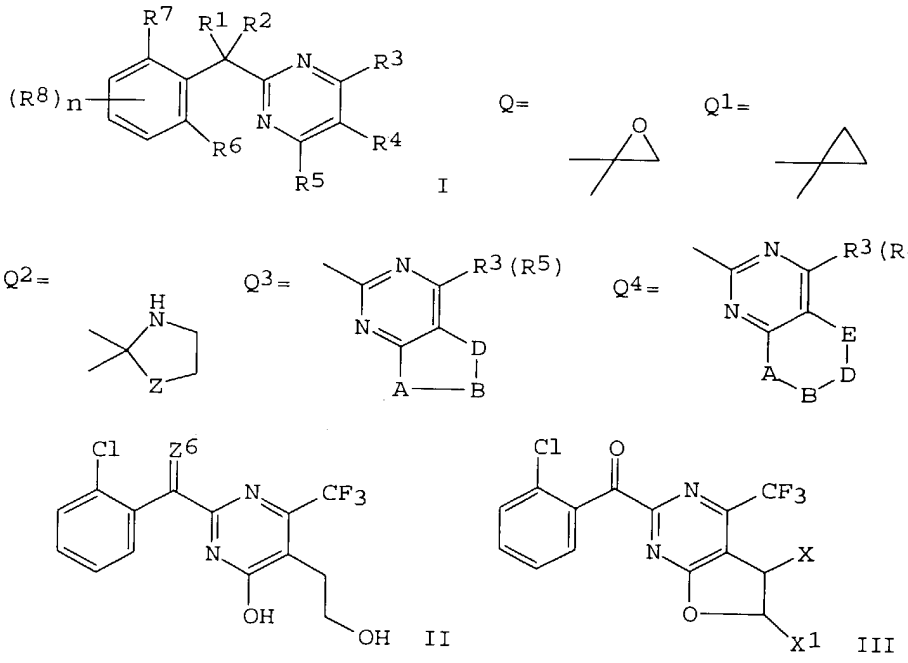
|---|---|---|---|---|
| | | | JP 1993-28313 | 19930528 |
| | | | JP 1993-154303 | 19930601 |
| | | | WO 1993-JP1478 | 19931014 |
| EP 665224 | A1 | 19950802 | EP 1993-922632 | 19931014 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE | | | | |
| | | | JP 1992-304622 | 19921016 |
| | | | JP 1993-154303 | 19930601 |
| | | | WO 1993-JP1478 | 19931014 |
| BR 9307264 | A | 19990511 | BR 1993-7264 | 19931014 |
| | | | JP 1992-304622 | 19921016 |
| | | | JP 1993-154303 | 19930601 |
| | | | WO 1993-JP1478 | 19931014 |
| JP 07048359 | A2 | 19950221 | JP 1993-282006 | 19931015 |
| | | | JP 1992-304622 | 19921016 |
| | | | JP 1993-154303 | 19930601 |
| CN 1098717 | A | 19950215 | CN 1994-100163 | 19940110 |
| | | | JP 1993-154303 | 19930601 |

OS MARPAT 121:230784

GI



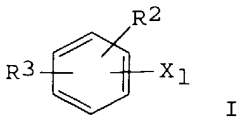

AB The title compds. [I; R1, R2 = H, alkyl, alkenyl, alkynyl, Ph, cyano, CO2H, alkoxycarbonyl, halo, (un)substituted OH or SH, NH2, etc.; or alternatively R1R2 = oxo, thioxo, cyclic ketal or thioketal, (un)substituted :CH2, :NH, :NNH2, or :NOH, or a spiro ring selected from among Q - Q2 (wherein Z = O, S, NH); R3, R5 = H, halo, alkyl, alkenyl, alkynyl, Ph, cycloalkyl, (un)substituted NH2, NHNH2, OH, CO2H, or CONH2, cyano, etc.; R4 = H, halo, NH2, cyano, alkyl, alkenyl, alkynyl, Ph,

cycloalkyl, (un)substituted CO2H, CONH2, or OH, etc.; provided that R3 and R5 are different from each other when R4 = H and that R4 may be combined with R3 and R5 and the pyrimidine ring to represent a condensed ring Q3 or Q4 [wherein at least one of A, B, D, and E = (un)substituted CH2 or NH, O, or S(O)q (wherein q = 0, 1,2), and the rest = (un)substituted CH2]; R6, R7 = H, halo, NO2, cyano, alkyl, alkenyl, alkynyl, Ph, cycloalkyl, (un)substituted CO2H, CONH2, or OH, etc.; provided that R6 = R7 ≠ H; R8 = any group listed in R6 and R7 except H; n = 0,1,2,3; provided that when R1 = R2 = H, R4 may be combined with R3 and R5 and the pyrimidine ring to represent a condensed ring Q3 or Q4] are prepared Thus, 5 g 2-(2-chlorophenyl)acetamidine hydrochloride and 5.3 g α-trifluoroacetyl-γ-butyrolactone were added to a solution of Na in EtOH and refluxed for 18 h to give 5.2 g benzylpyrimidine derivative (II; Z6 = H2) which was refluxed with SeO2 in aqueous dioxane to give benzoylpyrimidine derivative II (Z6 = O). The latter compound was refluxed with POCl3 in toluene for 2 h to give 5,6-dihydrofuro[2,3-d]pyrimidine (III; X = X1 = H) which was refluxed with NiO2 in toluene for 5 h to give furo[2,3-d]pyrimidine III (XX1 = bond). This compound at 200/are preemergence controlled 100% Digitaria ciliaris, Setaria Faberii, and Amaranthus Blitum and at 200 ppm completely controlled Plasmopara viticola in grape vine leaves. A total of .apprx.400 I were prepared

L5 ANSWER 34 OF 48 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1994:469078 CAPLUS
DN **121:69078**
TI Organic nonlinear optical material containing (thio)carbonyl- or sulfone-substituted benzene derivatives
IN Yamamoto, Hironobu; Roberuto, Jonson; Funato, Satoru; Uerunaaru, Purasu; Tokida, Akihiko; Yo, Tsutomu; Donarudo, Ruho
PA Hoechst Japan, Japan
SO Jpn. Kokai Tokkyo Koho, 23 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | JP 06018946 | A2 | 19940128 | JP 1992-304124 | 19921113 |
| | | | | JP 1992-112784 | 19920501 |

OS MARPAT 121:69078
GI




I

AB Title material contains ≥1 benzene derivs. I and/or I whose H are (totally) substituted by D [l = 0-3; X = L1(CO)R1, L1(C:S)R1, L1SO2R1; L1 = NH, O, NHNH; R1 = R4, L2R4, OH, NH2, NR42 [R4 = C1-10 (substituted) alkyl, (substituted) Ph]; L2 = O, CO2, NH, NHCO, NHCO2, O(CH2)mCO2, O(CH2)mO, OCMeHCO2, Ph, anilino, phenoxy, benzyloxy, carboxyvinyl, β-naphthyloxy, in which benzene rings may be substituted by R2; m = 1-10; R2 = H, OH, amino, NO2, cyano, carboxyl, formyl, halo, CF3, R4,

L3R4, NR42; L3 = O, NH, CO, CO2, S; R3 = NO2, cyano, carboxyl, formyl, nitroso, sulfone, carboxylvinyl styryl, L4R4, CH:C(CN)L5, CH:C(CO2R4)2, CO2(CH2)nOH, CH:CHCOR4; n = 1-10; L4 = CO, CO2, SO2; L5 = carboxyl, cyano, benzoyl, carbamoyl, ureidocarbonyl, CO2R4; when l = 0 R3 = CO2(CH2)nOH; when l = 1, R2 = OH, and X = NHCOR1 R1 ≠ R4, NHR4, Ph, anilino; when l = 1, X = NHCO2R4, and R2 = H R3 ≠ NO2]. The material is useful for laser beam wavelength conversion or parametric amplification.

L5 ANSWER 35 OF 48 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1994:457342 CAPLUS
DN **121:57342**
TI Process for the preparation of 4-substituted-1,4-dihydropydrines
IN Auerbach, Joseph
PA Merck and Co., Inc., USA
SO U.S., 13 pp. Cont.-in-part of U.S. Ser. No. 759,026, abandoned.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 2

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | US 5310917 | A | 19940510 | US 1992-920701 | 19920728 |
| | | | | US 1991-759026 | 19910913 |
| | WO 9306082 | A1 | 19930401 | WO 1992-US7220 | 19920826 |
| | W: BG, CS, FI, HU, NO, PL, RO, RU | | | | |
| | | | | US 1991-759026 | 19910913 |
| | | | | US 1992-920701 | 19920728 |
| | IL 103010 | A1 | 19961031 | IL 1992-103010 | 19920901 |
| | | | | US 1991-759026 | 19910913 |
| | | | | US 1992-920701 | 19920728 |
| | EP 534520 | A2 | 19930331 | EP 1992-202690 | 19920905 |
| | EP 534520 | A3 | 19930505 | | |
| | EP 534520 | B1 | 19970319 | | |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE | | | | |
| | | | | US 1991-759026 | 19910913 |
| | | | | US 1992-920701 | 19920728 |
| | AT 150456 | E | 19970415 | AT 1992-202690 | 19920905 |
| | | | | US 1991-759026 | 19910913 |
| | | | | US 1992-920701 | 19920728 |
| | ES 2101027 | T3 | 19970701 | ES 1992-202690 | 19920905 |
| | | | | US 1991-759026 | 19910913 |
| | | | | US 1992-920701 | 19920728 |
| | JP 05221984 | A2 | 19930831 | JP 1992-238429 | 19920907 |
| | JP 07051562 | B4 | 19950605 | | |
| | | | | US 1991-759026 | 19910913 |
| | | | | US 1992-920701 | 19920728 |
| | CA 2077919 | AA | 19930314 | CA 1992-2077919 | 19920910 |
| | | | | US 1991-759026 | 19910913 |
| | | | | US 1992-920701 | 19920728 |
| | AU 9223552 | A1 | 19930318 | AU 1992-23552 | 19920911 |
| | AU 654387 | B2 | 19941103 | | |
| | | | | US 1991-759026 | 19910913 |
| | | | | US 1992-920701 | 19920728 |
| | CN 1070907 | A | 19930414 | CN 1992-110385 | 19920911 |
| | | | | US 1991-759026 | 19910913 |
| | | | | US 1992-920701 | 19920728 |
| | ZA 9206935 | A | 19930428 | ZA 1992-6935 | 19920911 |
| | | | | US 1991-759026 | 19910913 |

| | | | | | |
|---|---|---|---|---|---|
| | LV 12072 | B | 19980920 | LV 1998-44 | 19980306 |
| | | | | US 1991-759026 | 19910913 |
| | | | | US 1992-920701 | 19920728 |

PATENT FAMILY INFORMATION:

FAN 1993:495345

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | EP 534520 | A2 | 19930331 | EP 1992-202690 | 19920905 |
| | EP 534520 | A3 | 19930505 | | |
| | EP 534520 | B1 | 19970319 | | |

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE

| | | | | | |
|---|---|---|---|---|---|
| | | | | US 1991-759026 | 19910913 |
| | | | | US 1992-920701 | 19920728 |
| | US 5310917 | A | 19940510 | US 1992-920701 | 19920728 |
| | | | | US 1991-759026 | 19910913 |

OS CASREACT 121:57342; MARPAT 121:57342

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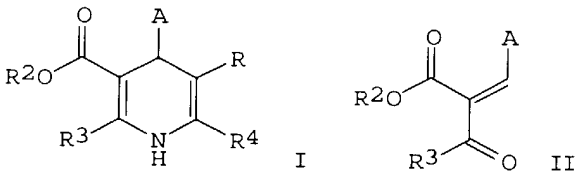


I II

AB 4-Substituted-1,4-dihydropyridines I (R = carboxy, amido, etc.; A = benzylidene, arylmethylene, etc.; R2-R4 = alkyl, aralkyl, etc.) are prepared by a cycloaddn. reaction of an (arylmethylene)oxoacetate II in which the cyclizatin is driven to completion, after thermal reaction, by addition of an acid. Felodipine, a vasodilator, is prepared by a cycloaddn. reaction of Et 3-aminocrotonate with a suitably substituted dichlorobenzylidine under reaction conditions whereby the product crystallizes out of the reaction solution and may be directly isolated by filtration.

L5 ANSWER 36 OF 48 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1994:334788 CAPLUS

DN **120:334788**

TI Photographic material

IN Bergthaller, Peter

PA Agfa-Gevaert A.-G., Germany

SO Ger. Offen., 20 pp.
CODEN: GWXXBX

DT Patent

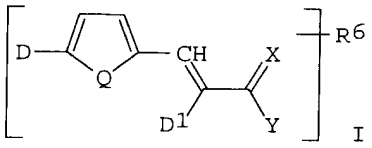
LA German

FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | DE 4214741 | A1 | 19931111 | DE 1992-4214741 | 19920504 |
| | | | | DE 1992-4214741 | 19920504 |

OS MARPAT 120:334788

GI



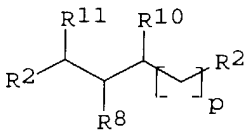
AB The title material comprises multiple color-sensitive layers and ≥1 layer, preferably nonphotosensitive, containing I [D = OH, group hydrolyzable to OH, NHSO2R; D1 = OH, NHOH, NHR1, or a group hydrolyzable to OH or NHOH group; Q = atoms necessary to form benzene, naphthalene, or thiophene ring; X = O, NR2; Y = OH, OR3, NR4R5, atoms necessary to form heterocyclic ring with R1 or R2; R = aliphatic, aromatic, heterocyclic group; R1 = SO2R, COR, atoms necessary to form heterocyclic group with R4; R2 = atoms necessary to form heterocyclic group with R4 or R5; R3 = alkyl, aralkyl; R4 = H, alkyl, aryl, atoms necessary to form heterocyclic, preferably hydantoin ring with R5; R5 = H, alkyl, aryl; R6 = development inhibitor group]. The material provides improved interimage and edge effects.

L5 ANSWER 37 OF 48 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1994:270460 CAPLUS
DN **120:270460**
TI [(Benzodioxolyl)methyl]propenoates and their uses as endothelin receptor antagonists
IN Bryan, Deborah Lynne; Elliot, John Duncan
PA Smithkline Beecham Corp., USA
SO PCT Int. Appl., 44 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | WO 9402474 | A1 | 19940203 | WO 1993-US6667 | 19930715 |
| | W: AU, BB, BG, BR, BY, CA, CZ, FI, HU, JP, KP, KR, KZ, LK, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SK, UA, US, VN | | | | |
| | RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | | |
| | | | | US 1992-916051 | A219920717 |
| | | | | US 1993-49606 | A219930419 |
| | AU 9346797 | A1 | 19940214 | AU 1993-46797 | 19930715 |
| | | | | US 1992-916051 | A 19920717 |
| | | | | US 1993-49606 | A 19930419 |
| | | | | WO 1993-US6667 | W 19930715 |
| | EP 650484 | A1 | 19950503 | EP 1993-917208 | 19930715 |
| | EP 650484 | B1 | 20000126 | | |
| | R: BE, CH, DE, FR, GB, IT, LI, NL | | | | |
| | | | | US 1992-916051 | A 19920717 |
| | | | | US 1993-49606 | A 19930419 |
| | | | | WO 1993-US6667 | W 19930715 |
| | JP 07509465 | T2 | 19951019 | JP 1993-504560 | 19930715 |
| | | | | US 1992-916051 | A 19920717 |
| | | | | US 1993-49606 | A 19930419 |
| | | | | WO 1993-US6667 | W 19930715 |
| | CN 1088581 | A | 19940629 | CN 1993-116592 | 19930717 |
| | | | | US 1992-916051 | A 19920717 |

| | | | | |
|---|---|---|---|---|
| | US 5559105 | A | 19960924 | US 1993-49606 A 19930419<br>US 1995-374544 19950117<br>WO 1993-US6667 W 19930715 |

OS MARPAT 120:270460
GI



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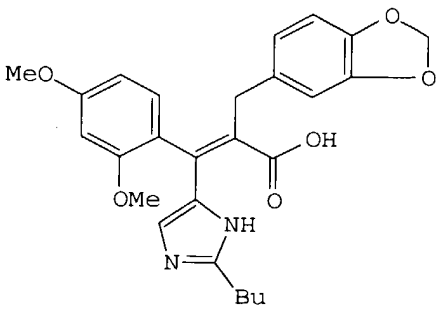


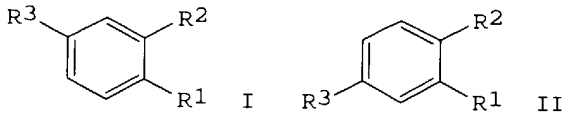
II

AB Endothelin receptor antagonists I (R1, R2 = Ph, benzodioxolyl, etc.; R10 = H, Ph, benzyl, etc.; R8 = carboxy, carbamoyl, cyano, etc.; R11 = aryl, cycloalkyl, etc.; p=0-2) and unsatd. derivs. of I were disclosed. A specifically claimed compound is (E)-3-(2-butyl-5-imidazolyl)-3-(2,4-dimethoxyphenyl)-2-(3,4-methylenedioxybenzyl)-2-propenoic acid (II). Pharmacol. test data for II were not listed; the potency of I range is 0.1 nM to 50 μM in an in vitro assay of endothelin-induced contractions of rat aortae. I are useful for the treatment of renal failure and as antihypertensives.

L5 ANSWER 38 OF 48 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1994:263859 CAPLUS
DN **120:263859**
TI Preparation of herbicidal benzene derivatives.
IN Patel, Kanu Maganbhai
PA du Pont de Nemours, E. I., and Co., USA
SO PCT Int. Appl., 163 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | WO 9405153 | A1 | 19940317 | WO 1993-US8096 | 19930902 |
| | W: JP, KR, US<br>RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE | | | | |
| | | | | US 1992-942539 A | 19920909 |
| | EP 659047 | A1 | 19950628 | EP 1993-921226 | 19930902 |
| | R: DE, ES, FR, IT, PT | | | | |
| | | | | US 1992-942539 A | 19920909 |
| | | | | WO 1993-US8096 W | 19930902 |
| | JP 08501100 | T2 | 19960206 | JP 1994-507335 | 19930902 |
| | | | | US 1992-942539 A | 19920909 |
| | | | | WO 1993-US8096 W | 19930902 |

OS MARPAT 120:263859
GI

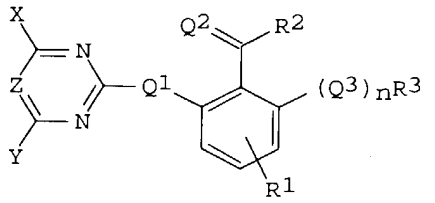


AB The benzene derivs. I and II (R1 = Cl, Br, iodo, OMe, OCHF2, OCF3, NO2; R2 = CO2H, CN, CONH2, CO2Me, etc.; R3 = Ph, OCH2CHMe2, OCH2Ph, etc.) and their salts are prepared as herbicides. 2-Chloro-4-(2-methylpropyloxy)benzoic acid (preparation given) was refluxed with thionyl chloride in benzene. The product was dissolved in THF and treated with aqueous NH4Cl, to give 2-chloro-4-(2-methylpropyloxy)benzamide (III). Postemergence 400 g III/ha totally controlled barnyardgrass, with no injury to barley. Formulation examples are given.

L5 ANSWER 39 OF 48 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1994:134516 CAPLUS
DN **120:134516**
TI Preparation of phenoxyazines as herbicides
IN Andree, Roland; Drewes, Mark Wilhelm; Santel, Hans Joachim; Luerssen, Klaus; Schmidt, Robert R.
PA Bayer A.-G., Germany
SO Eur. Pat. Appl., 23 pp.
CODEN: EPXXDW
DT Patent
LA German
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | EP 564920 | A1 | 19931013 | EP 1993-104985 | 19930325 |
| | R: BE, CH, DE, DK, FR, GB, IT, LI, NL | | | | |
| | | | | DE 1992-4211610 | 19920407 |
| | DE 4211610 | A1 | 19931014 | DE 1992-4211610 | 19920407 |
| | US 5371062 | A | 19941206 | US 1993-41650 | 19930401 |
| | | | | DE 1992-4211610 | 19920407 |
| | CA 2093291 | AA | 19931008 | CA 1993-2093291 | 19930402 |
| | | | | DE 1992-4211610 | 19920407 |
| | JP 06049040 | A2 | 19940222 | JP 1993-101915 | 19930405 |
| | | | | DE 1992-4211610 | 19920407 |
| | BR 9301464 | A | 19931013 | BR 1993-1464 | 19930406 |
| | | | | DE 1992-4211610 | 19920407 |

OS MARPAT 120:134516
GI



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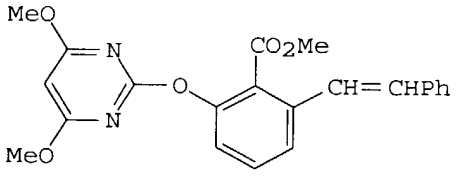

II

AB Title compds. [I; n = 0,1; Q1, Q3 = O, S, NH, alkylimino; Q2 = O, S, NR4, CR5R6; R1 = H, amino, OH, cyano, NO2, halo, (halo)alkyl, (halo)alkoxy, (halo)alkylthio, Ph, etc; R2 = H, OH, alkyl, (substituted) alkoxy, alkylthio, alkylamino, aralkoxy, aralkylthio, aryloxy, arylthio, arylamino, etc; R3 = substituted alkyl, (substituted) alkenyl; R4 = H, amino, (substituted) alkyl, alkenyl, alkynyl, aralkyl, aryl, alkoxycarbonyloxy, arylaminocarbonyloxy, carboxyalkoxy, alkoxycarbonylalkoxy, alkylamino, arylamino, alkylcarbonylamino, alkylsulfonylamino, arylsulfonylamino, etc; R5 = H, halo, cyano, carboxy, alkoxycarbonyl, alkylcarbonylamino, dialkoxyphosphoryl; R6 = formyl, cyano, carboxy, hydroxymethyl, carbamoyl, (substituted) alkoxycarbonyl, cycloalkoxycarbonyl, aralkoxycarbonyl, arylaminocarbonyl, piperazinocarbonyl, etc; X, Y = H, halo, (halo)alkyl, alkoxy, alkylthio, alkylamino, etc; Z = N, CH, C-halo], were prepared as herbicides (no data). Thus, Me 2-hydroxy-6-styrylbenzoate and 4,6-dimethoxy-2-methylsulfonylpyrimidine were refluxed with K2CO3 in MeCN to give 54% title compound II. II was said to show strong pre- and postemergent activity against weeds.

L5 ANSWER 40 OF 48 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1993:538979 CAPLUS
DN **119:138979**
TI Preparation of 2-[(1,2,3-triazolylmethyl)phenyl]carbapenems as antibacterial agents
IN Schmitt, Susan M.
PA Merck and Co., Inc., USA
SO U.S., 23 pp. Cont. of U.S. Ser. No. 793,270, abandoned.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | US 5208229 | A | 19930504 | US 1992-859599 | 19920323 |
| | | | | US 1990-619647 | 19901129 |
| | | | | US 1991-793270 | 19911113 |

OS MARPAT 119:138979
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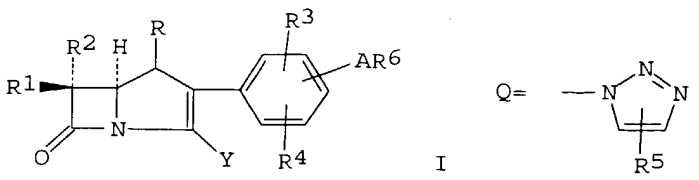

I

AB Title compds. [I; A = (CH2)mZ(CH2)n; R = H or Me; R1, R2 = H, Me, CH2OH, (R)-CHMe(OH), etc.; R3, R4 = H, CF3, halo, OH, alkoxy, etc.; R6 = triazole group Q; R5 = groups cited for R3, N-attached heterocyclylmethyl, etc.; Y = CO2H, CO2-, CO2M, etc.; M = alkali metal; m, n = 0-6] were prepared as antibacterials (no data). Thus, I [A = 4-CH2; R = R1 = R3 = R4 = H; R2 = (R)-CHMe(OR7)] (II; R6 = OH, R7 = Y = CO2CH2CH:CH2) was treated with EtO2CN:CO2Et, HN3, and Ph3P in in Et2O and the product cyclocondensed with HC.tplbond.CCO2CH2CH:CH2 to give, after deprotection, II (R6 = Q, R5 = 5-CO2K, R7 = H, Y = CO2K) and II (R6 = Q, R5 = 4-CO2K,R7 = H, Y = CO2K).

L5 ANSWER 41 OF 48 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1993:495345 CAPLUS
DN **119:95345**
TI Process for the preparation of 4-aryl-1,4-dihydropyridine-3,5-dicarboxylates
IN Auerbach, Joseph
PA Merck and Co., Inc., USA
SO Eur. Pat. Appl., 28 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 2

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | EP 534520 | A2 | 19930331 | EP 1992-202690 | 19920905 |
| | EP 534520 | A3 | 19930505 | | |
| | EP 534520 | B1 | 19970319 | | |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE | | | | |
| | | | | US 1991-759026 | 19910913 |
| | | | | US 1992-920701 | 19920728 |
| | US 5310917 | A | 19940510 | US 1992-920701 | 19920728 |
| | | | | US 1991-759026 | 19910913 |

PATENT FAMILY INFORMATION:
FAN 1994:457342

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | US 5310917 | A | 19940510 | US 1992-920701 | 19920728 |
| | | | | US 1991-759026 | 19910913 |
| | WO 9306082 | A1 | 19930401 | WO 1992-US7220 | 19920826 |
| | W: BG, CS, FI, HU, NO, PL, RO, RU | | | | |
| | | | | US 1991-759026 | 19910913 |
| | | | | US 1992-920701 | 19920728 |
| | IL 103010 | A1 | 19961031 | IL 1992-103010 | 19920901 |
| | | | | US 1991-759026 | 19910913 |
| | | | | US 1992-920701 | 19920728 |
| | EP 534520 | A2 | 19930331 | EP 1992-202690 | 19920905 |
| | EP 534520 | A3 | 19930505 | | |
| | EP 534520 | B1 | 19970319 | | |

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE

| | | | | |
|---|---|---|---|---|
| | | | US 1991-759026 | 19910913 |
| | | | US 1992-920701 | 19920728 |
| AT 150456 | E | 19970415 | AT 1992-202690 | 19920905 |
| | | | US 1991-759026 | 19910913 |
| | | | US 1992-920701 | 19920728 |
| ES 2101027 | T3 | 19970701 | ES 1992-202690 | 19920905 |
| | | | US 1991-759026 | 19910913 |
| | | | US 1992-920701 | 19920728 |
| JP 05221984 | A2 | 19930831 | JP 1992-238429 | 19920907 |
| JP 07051562 | B4 | 19950605 | | |
| | | | US 1991-759026 | 19910913 |
| | | | US 1992-920701 | 19920728 |
| CA 2077919 | AA | 19930314 | CA 1992-2077919 | 19920910 |
| | | | US 1991-759026 | 19910913 |
| | | | US 1992-920701 | 19920728 |
| AU 9223552 | A1 | 19930318 | AU 1992-23552 | 19920911 |
| AU 654387 | B2 | 19941103 | | |
| | | | US 1991-759026 | 19910913 |
| | | | US 1992-920701 | 19920728 |
| CN 1070907 | A | 19930414 | CN 1992-110385 | 19920911 |
| | | | US 1991-759026 | 19910913 |
| | | | US 1992-920701 | 19920728 |
| ZA 9206935 | A | 19930428 | ZA 1992-6935 | 19920911 |
| | | | US 1991-759026 | 19910913 |
| LV 12072 | B | 19980920 | LV 1998-44 | 19980306 |
| | | | US 1991-759026 | 19910913 |
| | | | US 1992-920701 | 19920728 |

OS MARPAT 119:95345

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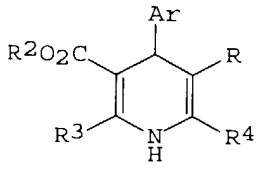


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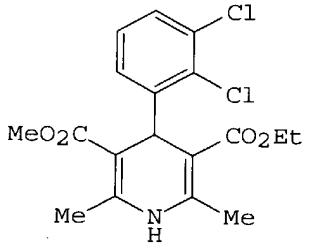



II

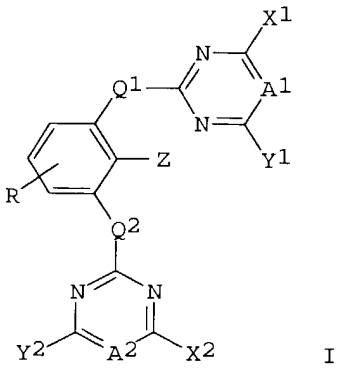
AB A process is described for the preparation of title compds. I [Ar = certain (un)substituted Ph which may be fused to certain areno groups (e.g., benzo-1,4-dioxolan-5-yl or 1-naphthyl); R = certain carboxylate, amide, thioamide, or iminoamide groups, or -CN; R2 = a wide variety of organic groups; R3, R4 = C1-8 alkyl, C3-8 cycloalkyl, (CH2)nR12 (n = 0-3, R12 = certain functional groups), H]. The process involves cycloaddn. of benzylidine ArCH:C(CO2R2)COR3 with R4C(NH2):CHR, where the cyclization is driven to completion, after thermal reaction, by addition of an acid. The preparation of felodipine (II) by this process is claimed and described. Thus, heating a mixture of 2,3-Cl2C6H3CH:(CO2Me)COMe with Et 3-aminocrotonate in a solvent (anhydrous EtOH) at 50-140° for 3-6 h, followed by addition of a strong acid (e.g., concentrate aqueous HCl) with or without further heating afforded felodipine in high yields. Cooling the solution causes crystallization of felodipine

for isolation by filtration. The process also applies to the preparation of lacidipine, nifedipine, nilvadipine, nimodipine, nisoldipine, and nitrendipine.

L5 ANSWER 42 OF 48 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1992:511634 CAPLUS
DN **117:111634**
TI Preparation of 2,6-bis[(4,6-dimethoxypyrimidinyl)oxy]benzaldehyde and related compounds as herbicides
IN Andree, Roland; Drewes, Mark Wilhelm; Santel, Hans Joachim; Luerssen, Klaus; Schmidt, Robert R.
PA Bayer A.-G., Germany
SO Ger. Offen., 24 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| PI DE 4030041 | A1 | 19920326 | DE 1990-4030041 | 19900922 |
| EP 477637 | A1 | 19920401 | EP 1991-115182 | 19910909 |
| R: BE, CH, DE, DK, ES, FR, GB, IT, LI, NL | | | | |
| | | | DE 1990-4030041 | 19900922 |
| US 5186734 | A | 19930216 | US 1991-757860 | 19910911 |
| | | | DE 1990-4030041 | 19900922 |
| JP 04305571 | A2 | 19921028 | JP 1991-265379 | 19910918 |
| | | | DE 1990-4030041 | 19900922 |
| CA 2051874 | AA | 19920323 | CA 1991-2051874 | 19910919 |
| | | | DE 1990-4030041 | 19900922 |
| BR 9104034 | A | 19920602 | BR 1991-4034 | 19910920 |
| | | | DE 1990-4030041 | 19900922 |
| ZA 9107519 | A | 19920624 | ZA 1991-7519 | 19910920 |
| | | | DE 1990-4030041 | 19900922 |

OS MARPAT 117:111634
GI




I

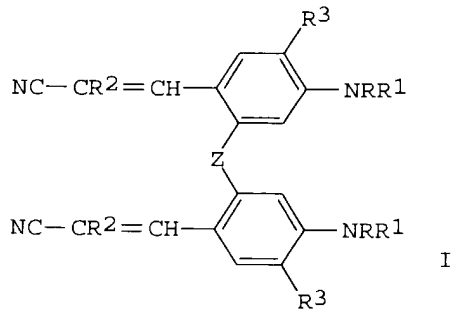
AB The title compds. [I; A1, A2 = N, CX; X = H, halo, alkyl, alkoxy; Q1, Q2 = O, S, NH, N-alkyl; R = H, HO, alkyl, amino, cyano, NO2, halo, (halo)alkyl, (halo)alkoxy, (di)alkylamino, etc.; X1, X2, Y1, Y2 = H, halo, (halo)alkyl, (halo)alkoxy, alkylthio, (di)alkylamino, (un)substituted PhO; Z = COR1,

C(:NR2)R1, etc.; R1 = H, (un)substituted alkyl, (un)substituted Ph; R2 = H, HO, amino, (un)substituted alkyl, etc.] were prepared as herbicides (no data). I, intermediates I (Z = CHR1OH, CHR1X3; X3 = Cl, Br), and I (Z = COR1 where a H atom is on the Q2-bridging group instead of azinyl moiety; R1 as above) are claimed. A mixture of 2-[(4,6-dimethoxypyrimidin-2-yl)oxy]-6-hydroxybenzaldehyde [preparation by reduction of Me 2,6-bis[(4,6-dimethoxypyrimidin-2-yl)oxy]benzoate by Red-Al given], 4,6-dimethoxy-2-(methylsulfonyl)pyrimidine, and K2CO3 in MeCN was refluxed for 5 h to give 54% title compound I (A1 = A2 = CH, Q1 = Q2 = O, R = H, X1 = X2 = Y1 = Y2 = MeO; Z = CHO).

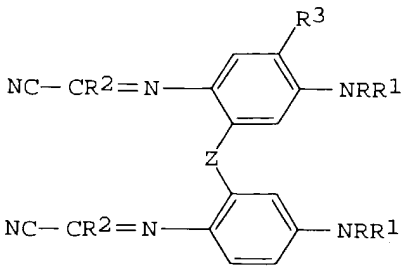
L5 ANSWER 43 OF 48 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1992:265728 CAPLUS
DN **116:265728**
TI Thermal-transfer sheets using bisaniline type dye
IN Sugafuji, Junpei; Kafuku, Masaaki; Nakamura, Masayuki
PA Dai Nippon Printing Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 7 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | JP 03297691 | A2 | 19911227 | JP 1990-99936 | 19900416 |
| | JP 2857466 | B2 | 19990217 | | |
| | | | | JP 1990-99936 | 19900416 |

OS MARPAT 116:265728
GI




I



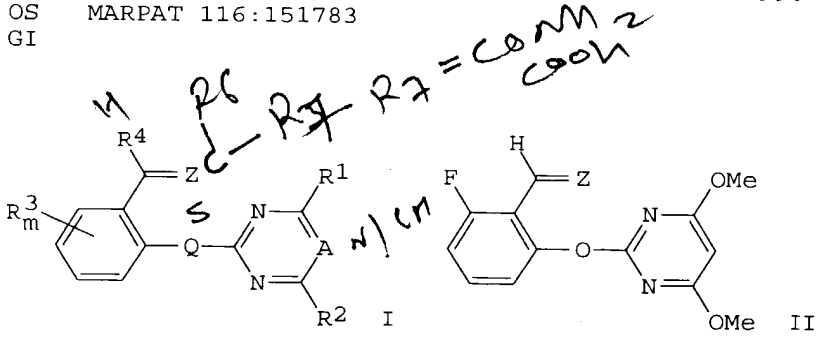

II

AB The thermal-transfer sheets are prepared by forming, on 1 side of a sheet substrate, a layer containing a dye I or II [R, R1 = H, (substituted) alkyl, cycloalkyl, aralkyl, aryl, R and R1 may form a 5- or 6-membered ring which may contain O, N or S atom; R2 = electron-attractive group; R3 = H, atom(s) required to form a 5- or 6-membered ring together with R; Z = divalent group]. A thermal-transfer sheet using I (R = R1 = Et, R2 = CN, R3 = H, Z = CH2) showed good thermal sensitivity and gave high d. yellow images with good storage stability.

L5 ANSWER 44 OF 48 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1992:151783 CAPLUS
DN **116:151783**
TI Preparation of 2-[2-(hydrazonomethine)phenoxy]pyrimidines and analogs as herbicides
IN Drewes, Mark Wilhelm; Kirsten, Rolf; Kraemer, Wolfgang; Krueger, Bernd Wieland; Santel, Hans Joachim; Luerssen, Klaus; Schmidt, Robert R.
PA Bayer A.-G., Germany
SO Eur. Pat. Appl., 46 pp.
CODEN: EPXXDW
DT Patent
LA German
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | EP 459243 | A2 | 19911204 | EP 1991-108010 | 19910517 |
| | EP 459243 | A3 | 19920304 | | |
| | R: BE, CH, DE, DK, ES, FR, GB, IT, LI, NL | | | | |
| | | | | DE 1990-4017339 | 19900530 |
| | | | | DE 1990-4037003 | 19901121 |
| | DE 4037003 | A1 | 19911205 | DE 1990-4037003 | 19901121 |
| | | | | DE 1990-4017339 | 19900530 |
| | US 5167693 | A | 19921201 | US 1991-704545 | 19910523 |
| | | | | DE 1990-4017339 | 19900530 |
| | | | | DE 1990-4037003 | 19901121 |
| | CA 2043310 | AA | 19911201 | CA 1991-2043310 | 19910527 |
| | | | | DE 1990-4017339 | 19900530 |
| | | | | DE 1990-4037003 | 19901121 |
| | JP 06345740 | A2 | 19941220 | JP 1991-150938 | 19910528 |
| | | | | DE 1990-4017339 | 19900530 |
| | | | | DE 1990-4037003 | 19901121 |

OS MARPAT 116:151783
GI




AB Title compds. [I; A = N, CH, halomethine; Q = O, S; R1, R2 = H, halo, (halo)alkyl, (halo)alkoxy, etc.; R3 = halo, NH2, OH, (halo)alkyl,

(halo)alkoxy, etc.; R4 = H, alkyl; Z = NR5, CR6R7; R5 = H, NH2, (substituted) alkyl, alkenyl, etc.; R6 = H, halo, CO2H, cyano, etc.; R7 = CHO, cyano, CO2H, CONH2, alkoxycarbonyl, etc.; m = 0-3] were prepared as herbicides (no data). Thus, 4,6-dimethoxy-2-methylsulfonylpyrimidine was condensed with 3-FC6H4OH and the product formylated to give phenoxypyrimidine II (Z = O) which was condensed with PhNHNH2 to give II (Z = NNHPh).

L5 ANSWER 45 OF 48 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1992:13416 CAPLUS
DN **116:13416**
TI Pressure- and heat-sensitive recording materials with good sensitivity, storability and image stability
IN Sano, Masajiro; Takashima, Masanobu; Satomura, Masato
PA Fuji Photo Film Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 11 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | JP 03142277 | A2 | 19910618 | JP 1989-282319 | 19891030 |
| | | | | JP 1989-282319 | 19891030 |

OS MARPAT 116:13416
AB The title materials utilizes coloration by contact between electron-donating leuco dye Ar1R1CH:CR2:CH:CHR3CR4R5Ar2 (Ar1, Ar2 = amine residue-containing aryl or heterocyclic group; R1-4 = H, monovalent group; R5 = aryl group-containing alkoxy group; R1-4 may bond together forming 4- to 12-membered rings with or without containing heteroatom) and electron-accepting compound

L5 ANSWER 46 OF 48 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1991:566386 CAPLUS
DN **115:166386**
TI Ultraviolet light absorbing compounds as packaging material and sunscreen formulations
IN Krutak, James John; Weaver, Max Allen; Coates, Clarence Alvin; Hilbert, Samuel David; Oldfield, Terry Ann; Parham, William Whitefield; Pruett, Wayne Payton
PA Eastman Kodak Co., USA
SO Eur. Pat. Appl., 27 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | EP 413648 | A1 | 19910220 | EP 1990-420378 | 19900814 |
| | EP 413648 | B1 | 19940216 | | |
| | R: GR | | | | |
| | | | | US 1989-395386 | 19890817 |
| | US 5057594 | A | 19911015 | US 1989-395386 | 19890817 |
| | CA 2051690 | AA | 19910218 | CA 1990-2051690 | 19900814 |
| | CA 2051690 | C | 19980811 | | |
| | | | | US 1989-395386 | 19890817 |
| | WO 9102715 | A2 | 19910307 | WO 1990-US4573 | 19900814 |
| | WO 9102715 | A3 | 19910711 | | |

| | | | | |
|---|---|---|---|---|
| W: AU, CA, JP, KR | | | | |
| RW: AT, BE, CH, DE, DK, ES, FR, GB, IT, LU, NL, SE | | | | |
| | | | US 1989-395386 | 19890817 |
| AU 9061710 | A1 | 19910403 | AU 1990-61710 | 19900814 |
| AU 629774 | B2 | 19921008 | | |
| | | | US 1989-395386 | 19890817 |
| | | | WO 1990-US4573 | 19900814 |
| EP 486560 | A1 | 19920527 | EP 1990-912161 | 19900814 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, IT, LI, LU, NL, SE | | | | |
| | | | US 1989-395386 | 19890817 |
| | | | WO 1990-US4573 | 19900814 |
| JP 04507419 | T2 | 19921224 | JP 1990-511662 | 19900814 |
| JP 2951399 | B2 | 19990920 | | |
| | | | US 1989-395386 | 19890817 |
| | | | WO 1990-US4573 | 19900814 |
| AT 101593 | E | 19940315 | AT 1990-420378 | 19900814 |
| | | | US 1989-395386 | 19890817 |
| | | | EP 1990-420378 | 19900814 |
| ES 2063320 | T3 | 19950101 | ES 1990-420378 | 19900814 |
| | | | US 1989-395386 | 19890817 |
| US 5302740 | A | 19940412 | US 1992-968917 | 19921030 |
| | | | US 1989-395386 | 19890817 |
| | | | US 1991-733769 | 19910722 |
| US 5374419 | A | 19941220 | US 1992-994069 | 19921218 |
| | | | US 1989-395386 | 19890817 |
| | | | US 1991-733769 | 19910722 |
| US 5442086 | A | 19950815 | US 1994-308863 | 19940919 |
| | | | US 1989-395386 | 19890817 |
| | | | US 1991-733769 | 19910722 |
| | | | US 1992-994069 | 19921218 |

OS MARPAT 115:166386

AB Polymethine compds. R1C(R2):CHAZLZACH:C(R2)R1[I; R1, R2 = cyano, carboxy, alkenyloxycarbonyl, (un)substituted alkoxycarbonyl, cycloalkoxycarbonyl, aryloxycarbonyl etc.; A = (un)substituted 1,2-phenylene, 1,2-naphthylene; Z = O, S; L = an organic linking group bonded by non-oxo carbon atoms to each Z atom] are useful as sunscreens and polymeric material for packaging. A sunscreen cream contained triethanolamine 0.50, water 67.8, mineral oil 6.0, iso-Pr. myristate 7.0, glyceryl monostearate 3.0, propylene glycol 3.0, stearic acid 3.0, hexadecanol 0.5, vitamin E 1.0, I [R1 = CO2CH2CH(C2H5)(CH2)4H, R2 = CN, R4 = H, Z = O, L = CH2-CH2)] 8.0, methylparaben 0.05, propylparaben 0.05, and fragrance 0.10.

L5 ANSWER 47 OF 48 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1991:408580 CAPLUS

DN **115:8580**

TI Preparation of basic 4-aryldihydropyridinamides as pharmaceutical agents

IN Stoltefuss, Juergen; Schwenner, Eckhard; Gross, Rainer; Hebisch, Siegbert; Schramm, Matthias; Bechem, Martin; Hirth, Claudia; Stasch, Johannes Peter

PA Bayer A.-G., Germany

SO Ger. Offen., 32 pp.
CODEN: GWXXBX

DT Patent

LA German

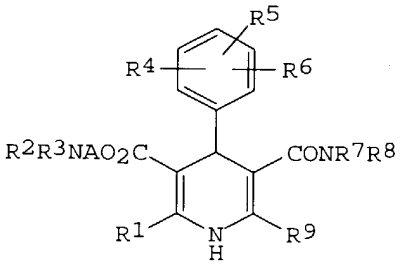
FAN.CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| PI DE 3833892 | A1 | 19900412 | DE 1988-3833892 | 19881005 |
| NO 8903756 | A | 19900406 | NO 1989-3756 | 19890921 |

| | | | | |
|---|---|---|---|---|
| | | | | DE 1988-3833892 19881005 |
| EP 362632 | A2 | 19900411 | EP 1989-117494 | 19890921 |
| EP 362632 | A3 | 19901107 | | |
| R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE | | | | |
| | | | DE 1988-3833892 | 19881005 |
| US 5015650 | A | 19910514 | US 1989-413365 | 19890927 |
| | | | DE 1988-3833892 | 19881005 |
| CA 2000081 | AA | 19900405 | CA 1989-2000081 | 19891003 |
| | | | DE 1988-3833892 | 19881005 |
| FI 8904677 | A | 19900406 | FI 1989-4677 | 19891003 |
| | | | DE 1988-3833892 | 19881005 |
| DD 296683 | A5 | 19911212 | DD 1989-342972 | 19891003 |
| | | | DE 1988-3833892 | 19881005 |
| DD 297813 | A5 | 19920123 | DD 1989-333272 | 19891003 |
| | | | DE 1988-3833892 | 19881005 |
| DK 8904898 | A | 19900406 | DK 1989-4898 | 19891004 |
| | | | DE 1988-3833892 | 19881005 |
| ZA 8907532 | A | 19900627 | ZA 1989-7532 | 19891004 |
| | | | DE 1988-3833892 | 19881005 |
| AU 8942609 | A1 | 19900412 | AU 1989-42609 | 19891005 |
| AU 616801 | B2 | 19911107 | | |
| | | | DE 1988-3833892 | 19881005 |
| CN 1041758 | A | 19900502 | CN 1989-107734 | 19891005 |
| | | | DE 1988-3833892 | 19881005 |
| HU 52055 | A2 | 19900628 | HU 1989-5229 | 19891005 |
| | | | DE 1988-3833892 | 19881005 |
| JP 02169572 | A2 | 19900629 | JP 1989-258935 | 19891005 |
| | | | DE 1988-3833892 | 19881005 |

OS MARPAT 115:8580

GI




I

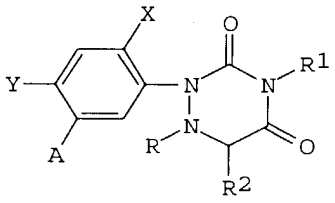
AB The title compds. I (R1, R9 = (un)substituted C1-6 alkyl or C1-6 cycloalkyl, cyano, or Ph; R2, R3 = H, (un)substituted C1-12 alkyl, alkenyl, or alkynyl, C3-8 cycloalkyl, C6-12 aryl; or together form a 5- to 7-membered heterocycle; R4, R5 = H, halogen, C1-6 alkyl, C1-6 alkoxy, C1-4 alkylthio, cyano, NO2, dialkylamino, F3C, F3CO, F2CHO, F3CS; R6 = O)CH2)nR10, S(CH2)nR10, OSO2(CH2)nR10, or OCO(CH2)nR10 where R10 = cyclohexyl or substituted aryl, and n = 0-3; R7 and R8 = H, C3-8 cycloalkyl, (un)substituted alkyl or alkenyl, (un)substituted aryl, or a 5- to 7-membered saturated or unsatd. heterocycle; A a divalent alkylene or cycloalkylene group or the like), their physiol. compatible salts, diastereomer mixts., racemic forms, and antipods are prepared for use in treating circulatory system disorders, cardiac insufficiency, dieabetes, edema, and blood pressure disorders (no data). Thus, 2-

benzoyloxybenzylideneacetic acid cyclopropylamide was treated with β-aminocrotonic acid 2-(N-morpholino)ethyl ester to give 1,4-dihydro-2,6-dimethyl-4-(2-benzyloxyphenyl)pyridine-3-carboxylic acid 2-(N-morpholino) Et ester-5-carboxylic acid cyclopropylamide HCl.

L5 ANSWER 48 OF 48 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1991:81892 CAPLUS
DN **114:81892**
TI Preparation of herbicidal triazinediones
IN Theodoridis, George
PA FMC Corp., USA
SO U.S., 10 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| PI US 4956004 | A | 19900911 | US 1989-350053 | 19890510 |
| | | | US 1989-350053 | 19890510 |

OS MARPAT 114:81892
GI



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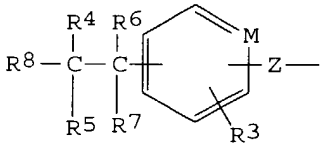

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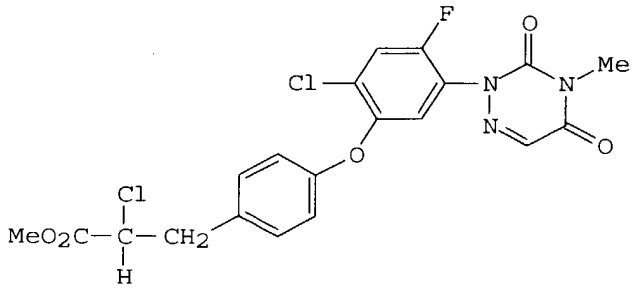

II

AB Title compds. I [R1 = (halo)alkyl; R, R2 = H, or RR2 = bond; X = H, halo, (halo)alkyl, NO2; Y = H, halo, alkyl(thio), (halo)alkyl, (halo)alkoxy, SOCF3; A = Q; R3 = H, halo, (halo)alkyl, NO2, NH2, alkoxy, alkylthio, cyano; R4 = H, halo, alkyl, alkenyl, alkynyl; R5 = H, halo, alkyl, alkenyl, alkynyl, cyano, R8; R6 = H, halo, alkyl, alkoxy; or R5R6 = bond; R7 = H, alkyl; R8 = CHO, CO2H and salts, alkoxycarbonyl, cyano, etc.; Z = O, S, NH, alkylimino; M = CH, N], useful as herbicides (no data), are prepared For example, II is prepared in 3 steps from 2-(4-chloro-2-fluoro-5-hydroxyphenyl)-4-methyl-1,2,4-triazine-3,5(2H,4H)-dione.

```
=> s diarylsulfides
L6            9 DIARYLSULFIDES

=> s l6 and cinnamide
L7            0 L6 AND CINNAMIDE

=> s cinnamides
L8           61 CINNAMIDES

=> s l8 andl6
MISSING OPERATOR L8 ANDL6
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nested terms that are not separated by a logical operator.

=> s l8 and l6
L9            0 L8 AND L6

=> d his

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L5           48 S L3
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L7            0 S L6 AND CINNAMIDE
L8           61 S CINNAMIDES
L9            0 S L8 AND L6

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L6   ANSWER 1 OF 9  CAPLUS  COPYRIGHT 2004 ACS on STN
AN   2003:757675  CAPLUS
DN   139:276699
TI   Preparation of fluorinated diaryl sulfides and their use in positron
     emission tomography for imaging serotonin transporters
IN   Kung, Hank F.; Shiue, Chyng-Yann
PA   USA
SO   PCT Int. Appl., 45 pp.
     CODEN: PIXXD2
DT   Patent
LA   English
FAN.CNT 1
     PATENT NO.         KIND  DATE           APPLICATION NO.   DATE
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PI   WO 2003078393      A2    20030925       WO 2003-US7935    20030314
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             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
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LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

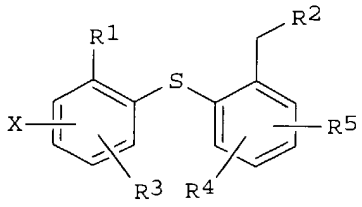
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2003236234 A1 20031225

US 2002-364905PP 20020314
US 2003-388363 20030314
US 2002-364905PP 20020314

OS MARPAT 139:276699

GI



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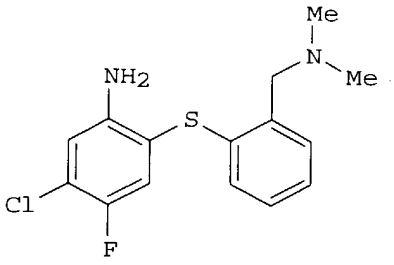


II

AB Title compds. I [wherein R1 = hydroxyalkyl, haloalkyl, nitro, azido, halo, amino and derivs.; R2 = amino and derivs.; R3, R4, R5 = independently H, halo, cyano, alkyl, halo(alkyl/alkanoyl), alkoxy; X = H or halo provided that one of R1, R2, R3, R4, R5 or X contains 18F, and if R1 = NH2, and R2 = N(CH3)2, then R3 = H, halo, cyano, alkyl, halomethyl or alkoxy, and if R1 = fluoromethyl, then R3 and X are other than 4-iodine; and their pharmaceutically acceptable salts, esters, amides or prodrugs] were prepared as imaging agents of serotonin transporters (SERT) in positron emission tomog. (PET). For example, [18F]II was prepared, in 15% radiochem. yield (radiochem. purity > 99%), by nucleophilic substitution of [2-chloro-5-[2-[(dimethylamino)carbonyl]phenylthio]-4-nitrophenyl]trimethylammonium trifluoromethanesulfonate (preparation given) with [18F]F- (cyclotron source)/Kryptofix[2.2.2]/K2CO3 at 55° for 10 min, reduction with BH3/THF at 55° for 25 min, and reduction with SnCl2 at room temperature for 20 min. Unlabeled II displayed excellent binding affinity to SERT (Ki = 0.05 nM, in membrane prepns. of LLC-PK1-cloned cell lines expressing the specific monoamine transporter) and showed more than 1,000-fold selectivity for SERT over dopamine transporter and

norepinephrine transporter (Ki = 3,020 nM and 650 nM resp.). [18F]II displayed excellent initial brain uptake in rats (3.27% dose/organ at 2 min after iv injection), and showed the highest uptake in the hypothalamus region (60-120 min after injection). The specific uptake in hypothalamus, striatum and hippocampus regions was blocked by pretreatment with the SERT-selective competitor (+)McN5652, whereas other noncompeting drugs showed no effect. In vivo metabolism study of [18F]II in rats showed that this compound was not metabolized in rat brain (95.5% of radioactivity was recovered as the original [18F]II). Thus, I are used in pharmaceutical compns. and their diagnostic compns. are useful for imaging serotonin transporters.

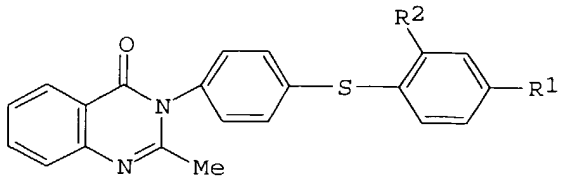
L6 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2003:447122 CAPLUS
DN 139:214004
TI A new application of layered double hydroxides as solid bases for the sulfoxidation reaction activation
AU Hulea, Vasile; Fajula, Francois; Dumitriu, Emil
CS Laboratory of Catalysis, Technical University of Iasi, Iasi, 6600, Rom.
SO Revue Roumaine de Chimie (2003), Volume Date 2002, 47(7), 657-662
CODEN: RRCHAX; ISSN: 0035-3930
PB Editura Academiei Romane
DT Journal
LA English
AB The layered double hydroxides (LDHs) are able to promote the sulfoxidn. of thioethers and thiophene derivs. with H peroxide in the presence of acetonitrile. The last serves both as reagent and solvent. The active species in the oxidation reaction is the peroxyimidic acid arising from the addition of H peroxide to acetonitrile promoted by LDH as base catalyst. The reactivity of S compds. in the sulfoxidn. reaction with H2O2 is correlated to the nucleophilicity of the S atom, so that **diarylsulfides** are more easily oxidized than dibenzothiophene. A competition between the sulfoxidn. reaction and the direct decomposition of H peroxide was observed
RE.CNT 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 3 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1997:111678 CAPLUS
DN 126:225058
TI Nucleophilic properties of thiourea towards aromatic halides
AU Combellas, C.; Dellerue, S.; Mathey, G.; Thiebault, A.
CS Lab. Chimie Electrochimie Materiaux Mol., ESPCI, Paris, 75231, Fr.
SO Tetrahedron Letters (1997), 38(4), 539-542
CODEN: TELEAY; ISSN: 0040-4039
PB Elsevier
DT Journal
LA English
OS CASREACT 126:225058
AB Arylsulfides and diaryldisulfides (obtained spontaneously by oxidation of the arylsulfides during the work-up) and **diarylsulfides** were obtained by substituting aryl radicals by a thiourea anion in liquid ammonia under an electrochem. inducement. For example, the reaction of 4-chlorobenzonitrile in the presence of 4,4'-dipyridyl as mediator gave 4-mercaptobenzonitrile (34% yield), 4,4'-dithiobis[benzonitrile] (13% yield) and 4,4'-thiobis[benzonitrile] (14% yield).
RE.CNT 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1987:406839 CAPLUS
DN 107:6839
TI Some new reactions in benzene derivatives
AU Oda, Ryohei
CS Kyoto Univ., Kyoto, Japan
SO Senryo to Yakuhin (1986), 31(8), 214-24
CODEN: SETYAL; ISSN: 0370-9671
DT Journal; General Review
LA Japanese
AB A review with 12 refs. on deoxybenzoylation of phenols and enols, reaction between phenols and 1-dodecene in presence of Al(OPh)3, synthesis of bis(2-hydroxyaryl)phosphinic acid, reactions of 2,6-di-tert-butyl-1,4-benzoquinone with dialkyl phosphites, PPO resin modified with bisphenol at both end groups, synthesis of N-methylindole derivs. from N-methylaniline and glycol using RuCl2 as catalyst, formation of 1-phenylbenzimidazole from azobenzene and Bu3N using RuCl3 as catalyst, substitution reaction of polychlorobenzene with thiolate anion, synthesis of **diarylsulfides**, synthesis of p-terphenyl and unsym. biaryls, synthesis of o-, and m- and p-chloromethylstyrene, and selective mono-tert-butylation of aromatic compds.

L6 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1983:64602 CAPLUS
DN 98:64602
TI Complexes of palladium(II) with tertiary arsines
AU Serban, V.; Serban, Ileana
CS Rom.
SO Buletinul Institutului Politehnic Gheorghe Gheorghiu-Dej Bucuresti, Seria Chimie-Metalurgie (1982), 44(1), 73-7
CODEN: BPGCDL; ISSN: 0378-9616
DT Journal
LA Romanian
AB PdX2L2(x = Cl, Br, I, NO2; L = As(CH2C6H5)3), Pd2Cl4L2, and PdCl2LL2 (L2 = py, AsPh3, PPh3) were prepared and characterized by IR, elec. conductivity, and dipole moment measurements and elemental analyses. PdCl2L2 was obtained in the reaction between PdCl2 and As(CH2C6H5)3. Other PdX2L2 were obtained by metathesis. trans-PdXCl2LL' were obtained by disruption of Cl bridges in Pd2Cl4L2 by amines, phosphines, arsines, dialkyl- or **diarylsulfides**.

L6 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1981:497704 CAPLUS
DN 95:97704
TI Synthesis of some new **diarylsulfides** and diarylsulfones containing a quinazol-4-one moiety
AU Abbady, Mahmoud A.; Ali, Morsy M.; Kandeel, Maymouna M.
CS Chem. Dep., Assiut Univ., Assiut, Egypt
SO Journal of Chemical Technology and Biotechnology (1979-1982) (1981), 31(2), 111-14
CODEN: JCTBDC; ISSN: 0142-0356
DT Journal
LA English
OS CASREACT 95:97704
GI



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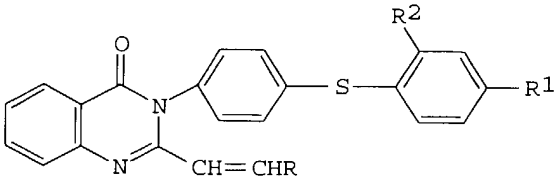

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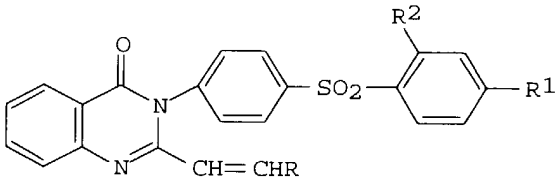


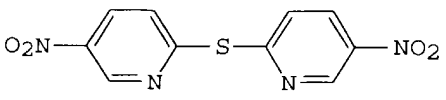
III

AB Diaryl sulfides and sulfones containing the 4-quinazolone moiety were prepared, characterized, and their biol. activity was tested. 4-Amino-4'- and 4-amino-2'-nitrodiphenyl sulfide were condensed with 2-methyl-3,1-benzoxazin-4-one to give I (R1 = NO2, R2 = H; R1 = H, R2 = NO2). II (R1 = NO2, H; R2 = H, NO2; R = Ph, p-O2NC6H4, p-Me2NC6H4, p-MeOC6H4, o-HOC6H4, PhCH:CH) were prepared by heating I with aromatic aldehydes using piperidine as a basic catalyst. II oxidized in H2O2-AcOH to give III. II and III (R1 = NO2, R2 = H, R = p-MeOC6H4, PhCH:CH) showed .apprx.60-75% inhibition of bacteria, e.g. Escherichia coli, at 1 + 10-1 to 1 + 10-5M.

L6 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1981:111312 CAPLUS
DN 94:111312
TI Anodic oxidation of **diarylsulfides** and some cyclic sulfur-containing compounds
AU Voronkov, M. G.; Deryagina, E. N.; Deriglazov, N. M.; Shagun, L. G.; Anisimova, M. I.
CS Inst. Org. Khim., Irkutsk, USSR
SO Zhurnal Obshchei Khimii (1980), 50(11), 2603-7
CODEN: ZOKHA4; ISSN: 0044-460X
DT Journal
LA Russian
AB The electrochem. oxidation was studied of substituted diaryl sulfides, their thiophene analogs and S-containing heterocycles on a Pt rotating electrode in a medium of MeCN in 0.1N LiClO4 supporting electrolyte. The half-wave potentials and activation energies of oxidation of the studied compds. were determined Preparative electrolysis of certain compds. established that the compds. are oxidized to the sulfoxides under the conditions of the study.

L6 ANSWER 8 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1980:158264 CAPLUS
DN 92:158264

TI Dipole moments, molecular conformations and in vitro antibacterial activity of some diaryl sulfides
AU Pappalardo, G. C.; Scarlata, G.; Blandino, G.
CS Ist. Dip. Chim. Chim. Ind., Univ. Catania, Catania, Italy
SO Farmaco, Edizione Scientifica (1979), 34(12), 1015-21
CODEN: FRPSAX; ISSN: 0430-0920
DT Journal
LA English
GI



I

AB The 2-pyridyl-Ph sulfide [3111-54-4], di-2-pyridyl sulfide [4262-06-0] and its p-nitro [4262-10-6] and p,p-dinitro [73322-00-6] derivs. were synthesized and tested for the vitro antibacterial activity against strains of gram-pos. and gram-neg. bacteria. Dipole moments (in benzene, 25°) were also measured and analyzed in terms of mol. conformation of these compds. The sulfides examined were slightly active (minimal inhibitory concns., ≤100) only against gram-pos. bacteria, the bis-(5-nitro-2-pyridyl)sulfide (I) [2127-11-9] being the most effective one. The relatively low antibacterial activity of diaryl sulfides when compared to that previously observed for corresponding analogous diaryl sulfones was discussed in relation to the marked difference of the conformational properties determined for the 2 system series.

L6 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1975:593949 CAPLUS
DN 83:193949
TI New aromatic polyamides. I. Polyamides from 4,4'-benzophenonedicarboxylic acid dichloride
AU Guidotti, V.; Johnston, N. J.
CS Langley Res. Cent., NASA, Hampton, VA, USA
SO Polymer Preprints (American Chemical Society, Division of Polymer Chemistry) (1974), 15(1), 570-5
CODEN: ACPPAY; ISSN: 0032-3934
DT Journal
LA English
AB Thermogravimetric, thermochem., and solubility properties were determined for 16-aromatic polyamides made from 4,4'-benzophenonedicarbonyl chloride and diamines selected from the following 5 types: benzophenones, diphenylmethanes, diphenylsilyls, **diarylsulfides**, and compds. containing 3 phenyl groups separated by methylene and/or carbonyl groups. Meta orientation in the amine moiety was very effective in decreasing glass temperature regardless of the nature of the flexible linking groups between the aromatic rings. Diamines containing meta and para linkages gave rise to polyamides with glass temps. closer to those from m,m'-isomers than to those originated by the p,p'-isomers. The dimethylsilyl group was the most effective linkage for lowering glass temperature An increase in the length of the repeat unit reduced glass temps. Nearly all of the polyamides had 2 addnl. regions of mech. loss below the glass temperature

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     FILE 'REGISTRY' ENTERED AT 17:23:14 ON 28 APR 2004
L1              STRUCTURE UPLOADED
L2           11 S L1 SSS FULL

     FILE 'MARPAT' ENTERED AT 17:23:51 ON 28 APR 2004
L3           48 S L1 SSS FULL

     FILE 'CAPLUS' ENTERED AT 17:25:17 ON 28 APR 2004
L4            4 S L2
L5           48 S L3
L6            9 S DIARYLSULFIDES
L7            0 S L6 AND CINNAMIDE
L8           61 S CINNAMIDES
L9            0 S L8 AND L6

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L8   ANSWER 1 OF 61  CAPLUS  COPYRIGHT 2004 ACS on STN
AN   2004:226476  CAPLUS
TI   N-(2-Amino-phenyl)-4-(oxo/dioxo-heteroarylmethyl)-benzamides: Novel
     histone deacetylase inhibitors
AU   Paquin, Isabelle; Vaisburg, Arkadii; Bouchain, Giliane; Frechette, Sylvie;
     Gaudette, Frederic; Leit, Silvana; Moradei, Oscar; Raeppel, Stephane;
     Zhou, Nancy Zhihong; Woo, Soon Hyung; Jin, Zhiyun; Gillespie, Jeff; Wang,
     James; Fournel, Marielle; Yan, Pu T.; Trachy-Bourget, Marie-Claude;
     Kalita, Ann; Lu, Aihua; Beaulieu, Carole; Li, Zuomei; Robert,
     Marie-France; Macleod, Robert; Besterman, Jeffrey; Delorme, Daniel
CS   Department of Medicinal Chemistry, MethylGene Inc, Montreal, QC, H4S2A1,
     Can.
SO   Abstracts of Papers, 227th ACS National Meeting, Anaheim, CA, United
     States, March 28-April 1, 2004 (2004), MEDI-118 Publisher: American
     Chemical Society, Washington, D. C.
     CODEN: 69FGKM
DT   Conference; Meeting Abstract
LA   English
AB   Histone acetylation / deacetylation in eukaryotic cells is essential for
     chromatin remodeling and the regulation of gene transcription. Histone
     deacetylases (HDACs) and histone acetyltransferases (HATs) are enzymes
     that catalyze deacetylation (associated with transcriptional silencing) and
     acetylation (associated with transcriptional activation) of lysine residues
     located in the NH2 terminal tails of core histones. Perturbations of this
     balance have been linked to cancer. Inhibition of HDACs is emerging as a
     novel therapeutic strategy against cancer. In our efforts to identify
     novel non-hydroxamate HDAC inhibitors with high potency and a low
     toxicity, we initially designed arylsulfonamido-2-aminophenyl
     cinnamides and 2-aminophenylamides of ω-substituted alkanoic
     acids. Here we present the synthesis and biol. evaluation of
     N-(2-amino-phenyl)-4-(oxo/dioxo-heteroarylmethyl)-benzamides. These
     compds. were shown to inhibit partially purified human HDAC with IC 50 of
     low micromolar range, induce hyperacetylation of histones, expression of
     p21, and inhibit proliferation of human cancer cells. Certain compds. of
     this class were active in vivo against different cancer cell lines.

L8   ANSWER 2 OF 61  CAPLUS  COPYRIGHT 2004 ACS on STN
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AN 2004:153597 CAPLUS
TI Solid-phase synthesis of an N-(phenylalkyl)cinnamide library via Horner-Wadsworth-Emmons reaction
AU Weber, Csaba; Bielik, Attila; Szendrei, Gyorgyi I.; Keseru, Gyorgy M.; Greiner, Istvan
CS Chemical and Biotechnological Research and Development, Gedeon Richter Ltd, Budapest, H-1475, Hung.
SO Bioorganic & Medicinal Chemistry Letters (2004), 14(5), 1279-1281
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier Science B.V.
DT Journal
LA English
AB A readily automated solid-phase approach to the synthesis of diverse N-(phenylalkyl)**cinnamides**, analogs of the NR2B antagonist 2, is described. The procedure utilizes polymer supported N-(phenylalkyl)amines, (diethylphosphono)acetic acid and a wide range of com. available hydroxybenzaldehydes. The key step, a Horner-Wadsworth-Emmons reaction is achieved under mild conditions and was found to be general for a large number of benzaldehydes. A 225-member focused library was synthesized using a Tecan Combitec synthesizer.
RE.CNT 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 3 OF 61 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2003:235035 CAPLUS
DN 139:285618
TI QSAR Study on Some p-Arylthio **Cinnamides** as Antagonists of Biochemical ICAM-1/LFA-1 Interaction and ICAM-1/JY-8 Cell Adhesion in Relation to Anti-inflammatory Activity
AU Debnath, Bikash; Samanta, Soma; Roy, Kunal; Jha, Tarun
CS Department of Pharmaceutical Technology, Division of Pharmaceutical and Medicinal Chemistry, Jadavpur University, Kolkata, 700 032, India
SO Bioorganic & Medicinal Chemistry (2003), 11(8), 1615-1619
CODEN: BMECEP; ISSN: 0968-0896
PB Elsevier Science Ltd.
DT Journal
LA English
AB To find out the chemical and structural features of some p-arylthio **cinnamides** 1 as antagonists of biochem. ICAM-1/LFA-1 interaction as well as ICAM-1/JY-8 cell adhesion in relation to anti-inflammatory activity, QSAR study was performed. Steric effect on the arylthio ring and lipophilic substitutions at 2,3-positions, especially 2,3-disubstitution with Cl or CF3 or both on **cinnamides** 1 were conducive to the activity, whereas simultaneous presence of methoxy group at arylthio ring and NCOCH3 group at heterocyclic ring of **cinnamides** 1 were detrimental to activity in antagonism of biochem. ICAM-1/LFA-1 interaction. When inhibition of ICAM-1/JY-8 cell adhesion was considered, lipophilic substitution on ring B and simultaneous presence of CF3 groups at 2 and 3 positions of the ring B were advantageous to antagonism. This QSAR study showed that B ring has played the most important role for both types of activities.
RE.CNT 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 4 OF 61 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:293624 CAPLUS
DN 136:309854
TI Preparation of N-(4-aryloxypiperidin-1-ylalkyl) **cinnamides** as

chemokine CCR3 receptor antagonists.
IN Bhalay, Gurdip; Howe, Trevor John; Le Grand, Darren Mark
PA Novartis Ag, Switz.; Novartis-Erfindungen Verwaltungsgesellschaft M.B.H.
SO PCT Int. Appl., 25 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | WO 2002030899 | A1 | 20020418 | WO 2001-EP11628 | 20011008 |
| | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| | RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| | | | | GB 2000-24675 | A 20001009 |
| | | | | GB 2001-6030 | A 20010312 |
| | AU 2002015928 | A5 | 20020422 | AU 2002-15928 | 20011008 |
| | | | | GB 2000-24675 | A 20001009 |
| | | | | GB 2001-6030 | A 20010312 |
| | | | | WO 2001-EP11628W | 20011008 |

PATENT FAMILY INFORMATION:
FAN 2002:293623

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
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| PI | WO 2002030898 | A1 | 20020418 | WO 2001-EP11627 | 20011008 |
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| | | | | GB 2000-24675 | A 20001009 |
| | | | | GB 2001-20549 | A 20010823 |
| | AU 2001095601 | A5 | 20020422 | AU 2001-95601 | 20011008 |
| | | | | GB 2000-24675 | A 20001009 |
| | | | | GB 2001-20549 | A 20010823 |
| | | | | WO 2001-EP11627W | 20011008 |
| | EP 1330436 | A1 | 20030730 | EP 2001-976285 | 20011008 |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| | | | | GB 2000-24675 | A 20001009 |
| | | | | GB 2001-20549 | A 20010823 |
| | | | | WO 2001-EP11627W | 20011008 |
| | BR 2001014485 | A | 20031118 | BR 2001-14485 | 20011008 |
| | | | | GB 2000-24675 | A 20001009 |
| | | | | GB 2001-20549 | A 20010823 |
| | | | | WO 2001-EP11627W | 20011008 |
| | JP 2004511467 | T2 | 20040415 | JP 2002-534284 | 20011008 |
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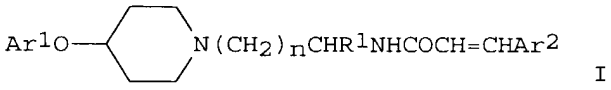
GB 2001-20549 A 20010823
WO 2001-EP11627W 20011008

OS MARPAT 136:309854
GI



I

AB Title compds. (I; Ar1 = (substituted) Ph; Ar2 = (substituted) Ph , naphthyl; R1 = H, alkyl; n = 1-4), were prepared Thus, 2-(formyl-3-methoxyphenoxy)ethyl polystyrene resin in MeOH/CH2Cl2 was shaken 16 h with H2NCH2CH2OH and NaBH(OAc)3; the resulting dried resin was shaken 18 h with imidazole, I2, imidazole, and Ph3P in THF/MeCN to give an uncharacterized resin intermediate. The latter was heated with 4-(4-fluorophenoxy)piperidine and diisopropylethylamine in DMF at 55° for 6 h and at room temperature for 3 days. The resulting resin was shaken 20 h with (E)-3-(5-cyano-2-methoxyphenyl)acrylic acid, diisopropylethylamine, and O-(7-azobenzotriazol-1-yl) N,N,N',N'-tetramethyluronium hexafluorophosphate in DMF followed by treatment of the resulting resin with CF3CO2H in CH2Cl2 for 1 h to give (E)-3-(5-cyano-2-methoxyphenyl)-N-[2-[4-(4-fluorophenoxy)piperidin-1-yl]ethyl]acrylamide trifluoroacetate. Tested I bound to CCR3 receptors with IC50≤1 μM.

RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 5 OF 61 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2001:758465 CAPLUS
DN 136:47984
TI Discovery of Novel p-Arylthio **Cinnamides** as Antagonists of Leukocyte Function-Associated Antigen-1/Intercellular Adhesion Molecule-1 Interaction. 4. Structure-Activity Relationship of Substituents on the Benzene Ring of the Cinnamide
AU Winn, Martin; Reilly, Edward B.; Liu, Gang; Huth, Jeffrey R.; Jae, Hwan-Soo; Freeman, Jennifer; Pei, Zhonghua; Xin, Zhili; Lynch, John; Kester, Jeff; von Geldern, Thomas W.; Leitza, Sandra; DeVries, Peter; Dickinson, Robert; Mussatto, Donna; Okasinski, Gregory F.
CS Metabolic Disease Research Pharmaceutical Products Division, Abbott Laboratories, Abbott Park, IL, 60064-6098, USA
SO Journal of Medicinal Chemistry (2001), 44(25), 4393-4403
CODEN: JMCMAR; ISSN: 0022-2623
PB American Chemical Society
DT Journal
LA English
AB We have shown that p-arylthio **cinnamides** can inhibit the interaction of LFA-1 and ICAM-1, which is involved in cell adhesion and the inflammatory process. We now show that 2,3-disubstitution on the aryl portion of the cinnamide results in enhanced activity over mono substitution on the ring. The best 2,3-substituents were chlorine and trifluoromethyl groups. Compds. 39 and 40 which contain two CF3 groups have IC50 values of 0.5 and 0.1 nM, resp., in inhibiting JY8 cells expressing LFA-1 on their surface, from adhering to ICAM-1. The structure-activity relation (SAR) was examined using an NMR based model of the LFA-1 I domain/compound 31 complex. One of our compds. (38) was able to

reduce cell migration in two different in vivo expts.
RE.CNT 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 6 OF 61 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2001:693264 CAPLUS
DN 135:257269
TI Preparation of N-heterocyclyl amide compounds as 5-HT antagonists
IN Yamada, Akira; Tomishima, Masaki; Hayashida, Hisashi; Imanishi, Masashi; Spears, Glen W.; Ito, Kiyotaka; Takahashi, Fumie; Miyake, Hiroshi
PA Fujisawa Pharmaceutical Co., Ltd., Japan
SO PCT Int. Appl., 239 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | WO 2001068585 | A1 | 20010920 | WO 2001-JP1993 | 20010313 |
| | W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| | RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| | | | | JP 2000-70127 A | 20000314 |
| | | | | JP 2000-305947 A | 20001005 |
| | AU 2001041128 | A5 | 20010924 | AU 2001-41128 | 20010313 |
| | | | | JP 2000-70127 A | 20000314 |
| | | | | JP 2000-305947 A | 20001005 |
| | | | | WO 2001-JP1993 W | 20010313 |
| | EP 1264820 | A1 | 20021211 | EP 2001-912338 | 20010313 |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| | | | | JP 2000-70127 A | 20000314 |
| | | | | JP 2000-305947 A | 20001005 |
| | | | | WO 2001-JP1993 W | 20010313 |

OS CASREACT 135:257269; MARPAT 135:257269
AB Amides compds. represented by the general formula R1-A-X-NHCO-Y-R2 [wherein R1 is an optionally substituted heterocyclic group or optionally substituted phenyl; R2 is optionally substituted fused Ph, optionally substituted Ph, or optionally substituted thienyl; A is a group represented by the formula -(CH2)t-(O)m- or -(CR3R4)pNR5(CO)n- (wherein R3 and R4 each is hydrogen or R3 and R4 in combination form imino; R5 is hydrogen or lower alkyl; t is 0, 1, or 2; and p, m, and n each is 0 or 1); X is optionally substituted phenylene or an optionally substituted, divalent, nitrogenous heterocyclic group; and Y is a bond, lower alkylene, or lower alkenylene] and salts thereof are prepared Theses amides include phenylacetamide, **cinnamides**, 1H-indole-7-carboxamides, 3-(2-pyridyl)-2-propenamides, 5-phenyl-2-thiophenecarboxamides, 9H-carbazolecarboxamides, 3-phenyl-2-propenamides, 9H-fluorene-1-carboxamides, 2,3-dihydrobenz[b]oxepine-4-carboxamides, 1H-benzo[b]thiepin-4-carboxamides, and 3-(1H-indol-3-yl)-2-propenamides. They are antagonists of 5-hydroxytryptamine (5-HT), in particular 5-HT2c, and are useful for the treatment of 5-HT-mediated diseases such as (1) central nervous system disorders in including anxiety, depression,

obsessive-compulsive neurosis, migraine headache, anorexia, Alzheimer's disease, sleep disorder, over-eating, and panic, (2) withdrawal symptom caused by cocaine, ethanol, nicotine, and benzodiazepine, (3) schizophrenia, (4) spinal cord injury, and /or (5) head injury such as hydrocephalus. Thus, SOCl2 was added to a solution of (E)-4-phenyl-3-butenoic acid in benzene, heated under reflux for 1 h, and cooled, followed by adding 3-(imidazol-1-yl)aniline and Et3N, and the resulting mixture was stirred at room temperature for 1 h to give (3E)-N-[3-(imidazol-1-yl)phenyl]-4-phenyl-3-butenamide (I). I in vitro inhibited by 82% the binding of [3H]mesulergine to 5-HT2c receptor which was prepared from rat frontal lobe cortex.

RE.CNT 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 7 OF 61 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2001:555592 CAPLUS
DN 135:282681
TI Discovery of Potent Antagonists of Leukocyte Function-Associated Antigen-1/Intercellular Adhesion Molecule-1 Interaction. 3. Amide (C-Ring) Structure-Activity Relationship and Improvement of Overall Properties of Arylthio **Cinnamides**
AU Pei, Zhonghua; Xin, Zhili; Liu, Gang; Li, Yihong; Reilly, Edward B.; Lubbers, Nathan L.; Huth, Jeffery R.; Link, James T.; von Geldern, Thomas W.; Cox, Bryan F.; Leitza, Sandra; Gao, Yi; Marsh, Kennan C.; DeVries, Peter; Okasinski, Greg F.
CS Departments of Metabolic Disease Research Integrative Pharmacology Advanced Technology and Drug Analysis Pharmaceutical Products Division, Abbott Laboratories, Abbott Park, IL, 60064, USA
SO Journal of Medicinal Chemistry (2001), 44(18), 2913-2920
CODEN: JMCMAR; ISSN: 0022-2623
PB American Chemical Society
DT Journal
LA English
AB The interaction of LFA-1 and ICAM-1 plays an important role in the cell adhesion process. On the basis of previously reported SAR and structural information on the binding of our p-arylthiocinnamide series to LFA-1, we have identified the cyclic amide (C-ring) as a site for modification. Improvement in potency and, more importantly, in the phys. properties and pharmacokinetic profiles of the leading compds. resulted from this modification. One of the best compds. (11f) is also shown to reduce myocardial infarct size in rat.

RE.CNT 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 8 OF 61 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2001:202150 CAPLUS
TI Potent antagonists of leukocyte function-associated antigen-1/intracellular adhesion molecule-1 interaction
AU Pei, Zhonghua; Xin, Zhili; Liu, Gang; Li, Yihong; Winn, Marty; Link, James T.; von Geldern, Thomas; Reily, Edward; Leitz, Sandra; DeVries, Peter; Gao, Yi; Okasinski, Greg F.
CS Abbott Laboratories, Abbott Park, IL, 60064, USA
SO Abstracts of Papers - American Chemical Society (2001), 221st, MEDI-246
CODEN: ACSRAL; ISSN: 0065-7727
PB American Chemical Society
DT Journal; Meeting Abstract
LA English
AB A series of p-arylthio **cinnamides**-based antagonists of leukocyte

function-associated antigen-1/intracellular adhesion mol.-1 (LFA-1/ICAM-1) interaction were prepared with the aim of improving the phys. properties as well as the potencies. The SAR and in vivo activity will be presented.

L8 ANSWER 9 OF 61 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2001:201915 CAPLUS
TI Novel p-Arylthio **cinnamides** as antagonists of LFA-1/ICAM-1 interaction, 2: Determination of the mechanism of inhibition and structure-based SAR approach for improved pharmaceutical properties
AU Liu, Gang; Huth, Jeff, R.; Olejniczak, Edward, T.; Mendoza, Renaldo; DeVries, Peter; Reiley, Edward, B.; Leitza, Sandra; Okasinski, Gregory, F.; von Geldern, Thomas, W.; Fesik, Stephen, W.
CS D-47R, AP10, Abbott Laboratories, Abbott Park, IL, 60064-6098, USA
SO Abstracts of Papers - American Chemical Society (2001), 221st, MEDI-020 CODEN: ACSRAL; ISSN: 0065-7727
PB American Chemical Society
DT Journal; Meeting Abstract
LA English
AB Recently, a novel series of p-arylthio **cinnamides** has been disclosed from this laboratory as potent antagonists of LFA-1/ICAM-1 interaction, represented by A-286982. These compds. were found to bind to the I domain of LFA-1 using 2D 15N/1H HSQC spectra of 15N-labeled LFA-1 I domain. On the basis of NOE studies between A-286982 and I domain of LFA-1, a model of the complex was constructed, revealing that the compound does not interact with the Metal Ion Dependent Adhesion Site (MIDAS). Instead it binds to the previously proposed I domain allosteric site (IDAS) of LFA-1, and likely modulates the activation of LFA-1 by interacting with this regulatory site. A fragment-based NMR screening strategy was applied to identify small, more water-soluble ligands that bind to a specific region of the IDAS. When incorporated into the parent cinnamide template, the resulting analogs exhibited improved aqueous solubility and pharmacokinetic profiles.

L8 ANSWER 10 OF 61 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2001:192987 CAPLUS
DN 135:160
TI Novel p-Arylthio **Cinnamides** as Antagonists of Leukocyte Function-Associated Antigen-1/Intracellular Adhesion Molecule-1 Interaction. 2. Mechanism of Inhibition and Structure-Based Improvement of Pharmaceutical Properties
AU Liu, Gang; Huth, Jeffrey R.; Olejniczak, Edward T.; Mendoza, Renaldo; DeVries, Peter; Leitza, Sandra; Reilly, Edward B.; Okasinski, Gregory F.; Fesik, Stephen W.; von Geldern, Thomas W.
CS Metabolic Disease Research and Research NMR Pharmaceutical Products Division, Abbott Laboratories, Abbott Park, IL, 60064-6098, USA
SO Journal of Medicinal Chemistry (2001), 44(8), 1202-1210 CODEN: JMCMAR; ISSN: 0022-2623
PB American Chemical Society
DT Journal
LA English
OS CASREACT 135:160
AB The interaction between leukocyte function-associated antigen-1 (LFA-1) and intracellular adhesion mol.-1 (ICAM-1) has been implicated in inflammatory and immune diseases. Recently, a novel series of p-arylthio **cinnamides** has been described as potent antagonists of the LFA-1/ICAM-1 interaction. These compds. were found to bind to the I domain of LFA-1 using two-dimensional NMR spectroscopy of 15N-labeled

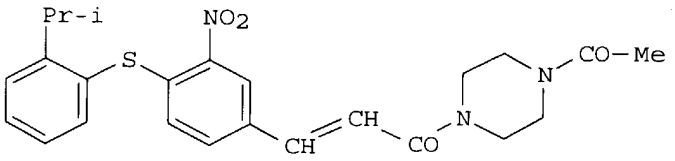
LFA-1 I domain. On the basis of NOE studies between a certain compound and the I domain of LFA-1, a model of the complex was constructed. This model revealed that this compound does not directly inhibit ICAM-1 binding by interacting with the metal ion dependent adhesion site (MIDAS), Instead, it binds to the previously proposed I domain allosteric site (IDAS) of LFA-1 and likely modulates the activation of LFA-1 through its interaction with this regulatory site. A fragment-based NMR screening strategy was applied to identify small, more water-soluble ligands that bind to a specific region of the IDAS. When incorporated into the parent cinnamide template, the resulting analogs exhibited increased aqueous solubility and improved pharmacokinetic profiles in rats, demonstrating the power of this NMR-based screening approach for rapidly modifying high-affinity ligands.

RE.CNT 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 11 OF 61 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2000:796169 CAPLUS
TI Discovery of novel p-arylthio **cinnamides** as antagonists of LFA-1/ICAM-1 interaction. I. Identification of an additional binding site based on an anilino diaryl sulfide lead.
AU Liu, Gang; Link, James, T.; Pei, Zhonghua; von Geldern, Thomas W.; Reilly, Edward B.; Leitza, Sandra; Nguyen, Bach; Marsh, Kennan C.; Okasinski, Greg F.
CS Metabolic Disease Research, Abbott Laboratories, Abbott Park, IL, 60064, USA
SO Abstracts of Papers - American Chemical Society (2000), 220th, MEDI-171 CODEN: ACSRAL; ISSN: 0065-7727
PB American Chemical Society
DT Journal; Meeting Abstract
LA English
AB The interaction between leukocyte function-associated antigen-1 (LFA-1, CD18/CD11a), a member of b2 integrin family of adhesion mols., and intracellular adhesion mol. ICAM-1 (cd54) is thought to play a critical role in the inflammatory process. Based on an anilino diaryl sulfide screening lead 1, in combination with pharmacophore anal. of other screening hits, we have identified an addnl. binding pocket adjacent to where the parent compound 1 binds. Subsequently, a para-cinnamido linker was discovered to be the preferred one to access this binding site from the parent compound Solution phase parallel synthesis enabled us to quickly optimize the amine partner for this pocket. In conjunction with fine tuning of the aryl substituents, we have discovered a novel series of potent, nonpeptidic inhibitors of LFA-1/ICAM-1 interaction, represented by A-286982 (2) with IC50s of 44 nM and 35 nM in the LFA-1/ICAM-1 biochem. assay and LFA-1/ICAM-1-mediated cellular adhesion assay, resp.

L8 ANSWER 12 OF 61 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2000:736318 CAPLUS
DN 134:25112
TI Discovery of Novel p-Arylthio **Cinnamides** as Antagonists of Leukocyte Function-Associated Antigen-1/Intracellular Adhesion Molecule-1 Interaction. 1. Identification of an Additional Binding Pocket Based on an Anilino Diaryl Sulfide Lead
AU Liu, Gang; Link, J. T.; Pei, Zhonghua; Reilly, Edward B.; Leitza, Sandra; Nguyen, Bach; Marsh, Kennan C.; Okasinski, Gregory F.; von Geldern, Thomas W.; Ormes, Mark
CS Metabolic Disease Research and Drug Analysis Department Pharmaceutical Products Division, Abbott Laboratories, Abbott Park, IL, 60064-6098, USA
SO Journal of Medicinal Chemistry (2000), 43(21), 4025-4040

CODEN: JMCMAR; ISSN: 0022-2623
PB American Chemical Society
DT Journal
LA English
GI




I

AB The interaction between leukocyte function-associated antigen-1 (LFA-1), a member of the β2-integrin family of adhesion mols., and intracellular adhesion mol. ICAM-1 (cd54) is thought to play a critical role in the inflammatory process. On the basis of an anilino diaryl sulfide screening lead, in combination with pharmacophore anal. of other screening hits, we have identified an adjacent binding pocket. Subsequently, a p-ethenylcarbonyl linker was discovered to be optimal for accessing this binding site. Solution-phase parallel synthesis enabled rapid optimization of the **cinnamides** for this pocket. In conjunction with fine-tuning of the diaryl substituents, we discovered a novel series of potent, nonpeptide inhibitors of LFA-1/ICAM-1 interaction, exemplified by A-286982 (I), which has IC50 values of 44 and 35 nM in an LFA-1/ICAM-1 binding assay and LFA-1-mediated cellular adhesion assay, resp.
RE.CNT 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 13 OF 61 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2000:725609 CAPLUS
DN 133:296281
TI Preparation of 2- or 4-(phenylthio)**cinnamides** as cell adhesion-inhibiting antiinflammatory and immune-suppressive compounds
IN Link, James; Liu, Gang; Pei, Zhonghua; Von Geldern, Thomas W.; Winn, Martin; Xin, Zhili; Wang, Sheldon; Boyd, Steven A.; Zhu, Gui-Dong; Freeman, Jennifer C.; Gunawardana, Indrani W.; Staeger, Michael A.; Jae, Hwan-soo; Lynch, John K.
PA Abbott Laboratories, USA
SO PCT Int. Appl., 476 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| PI WO 2000059880 | A1 | 20001012 | WO 2000-US8895 | 20000403 |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE,

DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
US 1999-286645 A 19990402
US 1999-474517 A 19991229
US 2000-541795 A 20000331

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R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO
US 1999-286645 A 19990402
US 1999-474517 A 19991229
WO 2000-US8895 W 20000403

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WO 2000-US8895 W 20000403

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US 1999-474517 A 19991229
US 2000-541795 A 20000331
WO 2000-US8895 W 20000403

NO 2001004767 A 20011130 NO 2001-4767 20011001
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US 1999-474517 A 19991229
WO 2000-US8895 W 20000403

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US 1999-286645 A 19990402
US 1999-474517 A 19991229
US 2000-541795 A 20000331
WO 2000-US8895 W 20000403

HR 2001000776 A1 20021231 HR 2001-776 20011023
US 1999-286645 A 19990402
US 1999-474517 A 19991229
US 2000-541795 A 20000331
WO 2000-US8895 W 20000403

ZA 2001008944 A 20030702 ZA 2001-8944 20011030
US 1999-286645 A 19990402

OS MARPAT 133:296281

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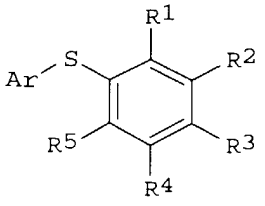


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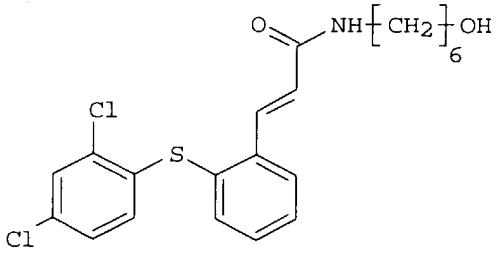



II

AB The title compds. (I) [wherein R1-R5 = independently H, halo, (halo)alkyl, alkoxy, cyano, NO2, CHO, and least one of R1 or R3 is an (un)substituted cis- or trans-cinnamide; Ar = (un)substituted (hetero)aryl] were prepared as cell adhesion inhibitors for the treatment of inflammatory and immune

diseases. Examples include syntheses for 443 invention compds. and data for 3 bioassays. For instance, a mixture of 2-[(2,4-dichlorophenyl)thio]benzaldehyde (preparation given), malonic acid, piperidine in anhydrous pyridine was heated at 110°C for 2 h and then treated with aqueous HCl to give trans-2-[(2,4-dichlorophenyl)thio]cinnamic acid (91%). Conversion to the acid chloride followed by amidation with 6-amino-1-hexanol gave (E)-II (90%). In an integrin LFA-1/ICAM-1 biochem. interaction assay, I demonstrated inhibition at 4 μM. In cell-based adhesion assays which measure the ability of test compds. to block adherence of JY-8 cells (a human EBV-transformed B cell line expressing LFA-1 on its surface) to immobilized ICAM-1 or ICAM-3, I exhibited blocking activity at 4 μM and 0.6 μM, resp.

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 14 OF 61 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2000:269999 CAPLUS
DN 133:43280
TI Stereoselective radical bromination of α-chlorohydrocinnamic acid derivatives
AU Wong, Leh See; Chan, Bun; Tan, Eng Wui
CS Department of Chemistry, University of Otago, Dunedin, N. Z.
SO Tetrahedron Letters (2000), 41(15), 2671-2674
CODEN: TELEAY; ISSN: 0040-4039
PB Elsevier Science Ltd.
DT Journal
LA English
OS CASREACT 133:43280
AB Reaction of (S)-2-chloro-3-phenylpropanoic acid derivs. with N-bromosuccinimide gave the corresponding 3-bromo 2-chloro derivs. with a preference for the formation of the (2R,3S) over the (2R,3R) isomers. The stereoselectivity was affected by the nature of the carboxylic acid derivative The reaction of esters was highly stereoselective, while the reaction of amides showed varied stereoselectivity depending on the nature of the amide. Theor. studies at the UHF/3-21G* level showed that the intermediate benzylic radical of the Me ester, the N-Me amide, and the N,N-diisopropyl amide had different energy profiles with respect to rotation of the C(2)-C(3) bond. The different stereoselectivity observed from reaction of the various acid derivs. could be attributed, at least in part, to different distribution of conformers of the radical intermediate.

RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

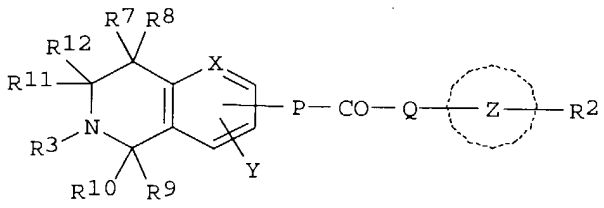
L8 ANSWER 15 OF 61 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2000:167046 CAPLUS
DN 133:4557
TI Enantiopure epoxidation of electrophilic alkenes
AU Meth-Cohn, Otto; Chen, Yi; Williams, David J.
CS Chem. Dep., University of Sunderland, Sunderland, SR1 3SD, UK
SO Chemical Communications (Cambridge) (2000), (6), 495-496
CODEN: CHCOFS; ISSN: 1359-7345
PB Royal Society of Chemistry
DT Journal
LA English
OS CASREACT 133:4557
AB Cinnamamides derived from prolinols and from proline amides are epoxidized with total retention of the alkene configuration, to give either epoxides or bicyclic derivs. thereof, essentially enantiopure, using tert-Bu

hydroperoxide and butyllithium.
RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 16 OF 61 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2000:117027 CAPLUS
DN 132:166128
TI Preparation of substituted isoquinolines as anticonvulsants
IN Coulton, Steven; Harling, John David; Porter, Roderick Alan; Thompson, Mervyn
PA Smithkline Beecham Plc, UK
SO PCT Int. Appl., 72 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| PI WO 2000007993 | A1 | 20000217 | WO 1999-EP5583 | 19990803 |
| W: CA, JP, US | | | | |
| RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE | | | | |
| | | | GB 1998-16984 | 19980805 |

OS MARPAT 132:166128
GI

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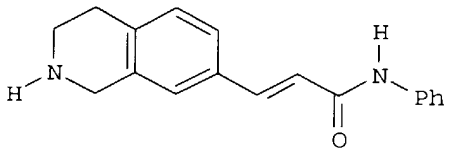



II

AB The title compds. [I; Z = a carbocyclic or heterocyclic or a fused carbocyclic or heterocyclic ring containing at least one aromatic ring; X = CH, N; Y = H, alkyl, halo; P = CH:CH and Q = NR1, or P = CH:CH and Q = NR1CH2, or P = NH and Q = CR1a:CH; R1 = H, phenylalkyl, alkyl; R1a = H, halo, phenylalkyl, alkyl; R2 = H, halo, NO2, etc.; R3 = H, phenylalkyl, alkyl, etc.; R7-R12 = H, alkyl] including tetrahydroisoquinolinyl **cinnamides** and acrylamides which are indicated to be useful for the treatment and/or prevention of anxiety, mania, depression, panic disorders and/or aggression, disorders associated with a subarachnoid hemorrhage or neural shock, the effects associated with withdrawal from substances of abuse such as cocaine, nicotine, alc. and benzodiazepines,

disorders treatable and/or preventable with anti-convulsive agents, such as epilepsy including post-traumatic epilepsy, Parkinson's disease, etc., were prepared Thus, reacting (E)-7-(2-carboxyvinyl)-3,4-dihydro-1H-isoquinoline-2-carboxylic acid tert-Bu ester with aniline followed by treatment of the intermediate with trifluoroacetic acid afforded (E)-II which showed statistically significant increase (140%) in seizure threshold at 10 mg/kg p.o. in mice (MEST test).

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 17 OF 61 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1999:484865 CAPLUS
DN 131:252095
TI Structure-Activity Relationship of N-(Phenylalkyl)**cinnamides** as Novel NR2B Subtype-Selective NMDA Receptor Antagonists
AU Tamiz, Amir P.; Cai, Sui Xiong; Zhou, Zhang-Lin; Yuen, Po-Wai; Schelkun, Robert M.; Whittemore, Edward R.; Weber, Eckard; Woodward, Richard M.; Keana, John F. W.
CS Department of Chemistry, University of Oregon, Eugene, OR, 97403, USA
SO Journal of Medicinal Chemistry (1999), 42(17), 3412-3420
CODEN: JMCMAR; ISSN: 0022-2623
PB American Chemical Society
DT Journal
LA English
AB A novel series of N-(phenylalkyl)**cinnamides** related to N-(4-phenylbutyl)-3,4-dihydroxy-β-cyanocinnamide (6, an EGFR-K inhibitor with high antiproliferative activity) was synthesized and tested for antagonism at N-methyl-D-aspartate (NMDA) receptor subtypes. Potency and subunit selectivity were assayed by elec. recordings in Xenopus oocytes expressing three binary combinations of cloned rat NMDA receptor subunits: NR1A expressed in combination with either NR2A, NR2B, or NR2C. The N-(phenylalkyl)**cinnamides** are selective antagonists of NR1A/2B receptors. Assayed under steady-state conditions, N-(4-phenylbutyl)-4-hydroxycinnamide has an IC50 value of 77 nM and >1000-fold selectivity with respect to NR1A/2A and NR1A/2C receptors. Potency at α1 adrenergic receptors is low for the four **cinnamides** tested. Inhibition of NR1A/2B receptors does not correlate with EGFR and ErbB2/neu tyrosine kinase inhibitor activity. The N-(phenylalkyl)cinnamide series we describe provides a novel and structurally diverse framework for designing new NR2B-selective NMDA antagonists as potential CNS therapeutics.

RE.CNT 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 18 OF 61 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1999:280037 CAPLUS
DN 131:153419
TI Synthesis and vasodilative activities of cinnamide and α-phenylcinnamide derivatives
AU He, Xin; Lin, Ziyun; Zhu, Liya
CS Institute of Materia Medica, Chinese Academy of Medical Sciences and Peking Union College, Beijing, 100050, Peop. Rep. China
SO Yaoxue Xuebao (1999), 34(3), 192-196
CODEN: YHHPAL; ISSN: 0513-4870
PB Yaoxue Xuebao Bianjibu
DT Journal
LA Chinese
AB The synthesis and vasodilative activities of cinnamide and

α-phenylcinnamide derivs. were studied for searching new compds. with strong vasodilating effect. The classic Knoevenagel condensation and mixed anhydride method were used. Nine **cinnamides** and 8 α-phenylcinnamide derivs. were synthesized. Vasodilative activity screening in vitro showed that the olefinic linkage inserted between the benzene ring and carbonyl group was unfavorable to inhibit the contraction activity of rat aortic strip induced by noradrenaline (10-7 mol L-1), while the introduction of bulky groups (substituted phenyl) at α position of the cinnamoyl carbonyl group might selectively enhance the inhibition activity against 85.7 mmol L-1 KCl induced contraction of rat aortic strip.

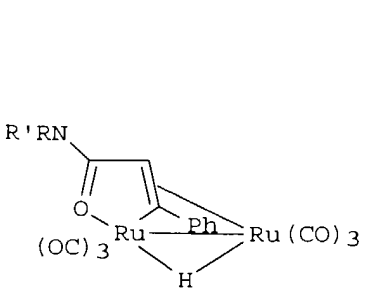
L8 ANSWER 19 OF 61 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1999:238026 CAPLUS
DN 131:111148
TI Synthesis and vasodilating activity of benzamide and cinnamide derivatives
AU Fu, Huanjian; Lin, Ziyun; Zhu, Liya; Zeng, Xianyu
CS Institute of Materia Medica, Chinese Academy of Medical Sciences and Peking Union Medical College, Beijing, 100050, Peop. Rep. China
SO Yaoxue Xuebao (1999), 34(2), 109-113
CODEN: YHHPAL; ISSN: 0513-4870
PB Yaoxue Xuebao Bianjibu
DT Journal
LA Chinese
AB The benzamide and cinnamide derivs. were synthesized for selecting new drugs with strong vasodilating effect. The mixed anhydride method was used for their syntheses. Twenty benzamides (I1-12) and **cinnamides** (II1- 8) were designed and synthesized. All synthesized amides were submitted to under go pharmacol. screening. The contraction of rat aortic strip induced by 0.1 μmoL L-1 noradrenaline was taken as criterion. Compound N-(4-methoxybenzoic)-N'-cinnamylpiperazine showed a potent potassium channel activator. Compound N-(3-methoxy-4-hydroxy α-4-hydroxyphenylcinnamic)- N'-(3,4-methylenedioxyphenylethylamine) exhibited calcium antagonistic activity and showed potent vasodilating activity.

L8 ANSWER 20 OF 61 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1998:812124 CAPLUS
DN 130:191417
TI Carboxy-Substituted **Cinnamides**: A Novel Series of Potent, Orally Active LTB4 Receptor Antagonists
AU Greenspan, Paul D.; Fujimoto, Roger A.; Marshall, Paul J.; Raychaudhuri, Anil; Lipson, Kenneth E.; Zhou, Huanghai; Doti, Robert A.; Coppa, David E.; Zhu, Lijuan; Pelletier, Roberta; Uziel-Fusi, Susan; Jackson, Robert H.; Chin, Michael H.; Kotyuk, Bernard L.; Fitt, John J.
CS Arthritis and Bone Metabolism Research, Novartis Pharmaceuticals Corporation, Summit, NJ, 07901, USA
SO Journal of Medicinal Chemistry (1999), 42(1), 164-172
CODEN: JMCMAR; ISSN: 0022-2623
PB American Chemical Society
DT Journal
LA English
AB A series of carboxy-substituted **cinnamides** were investigated as antagonists of the human cell surface leukotriene B4 (LTB4) receptor. Binding was determined through measurement of [3H]LTB4 displacement from human neutrophils. Receptor antagonism was confirmed through a functional assay, which measures inhibition of Ca2+ release in human neutrophils. Potent antagonists were discovered through optimization of a random

screening hit, a p-(α-methylbenzyloxy)cinnamide, having low-micromolar activity. Substantial improvement of in vitro potency was realized by the attachment of a carboxylic acid moiety to the cinnamide Ph ring through a flexible tether, leading to identification of compds. with low-nanomolar potency. Modification of the benzyloxy substituent, either through ortho-substitution on the benzyloxy Ph group or through replacement of the ether oxygen with a methylene or sulfur atom, produced achiral antagonists of equal or greater potency. The most potent compds. in vitro were assayed for oral activity using the arachidonic acid-induced mouse ear edema model of inflammation. Several compds. in this series were found to significantly inhibit edema formation and myeloperoxidase activity in this model up to 17 h after oral administration. Representatives of this series have been shown to be potent and long-acting orally active inhibitors of the LTB4 receptor.

RE.CNT 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 21 OF 61 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1998:639476 CAPLUS
DN 130:3959
TI Binuclear and tetranuclear complexes prepared by reactions of dodecacarbonyltriruthenium with the N-substituted cinnamic acid amides. Crystal and molecular structures of [Ru2(μ-H)(μ-η3-PhC:CHC(O)N(CH2)4)(CO)6] and [Ru4(μ3-H)2(μ-η3-PhC:CHC(O)N(CH2)4)2(CO)10]
AU Rybinskaya, M. I.; Stelzer, N. A.; Rybin, L. V.; Dolgushin, F. M.; Yanovsky, A. I.; Struchkov, Yu. T.; Petrovskii, P. V.
CS A.N. Nesmeyanov Inst. Organoelement Compounds, Moscow, 117813, Russia
SO Inorganica Chimica Acta (1998), 280(1-2), 243-248
CODEN: ICHAA3; ISSN: 0020-1693
PB Elsevier Science S.A.
DT Journal
LA English
GI

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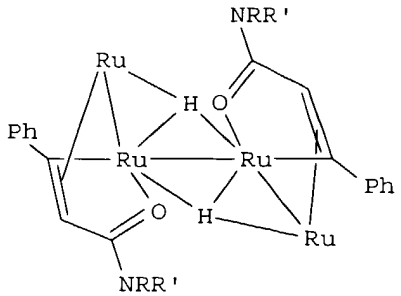



II

AB The thermal reactions of Ru3(CO)12 with the N-substituted cinnamic acid amides PhCH:CHCONRR' in hydrocarbons yield the binuclear and tetranuclear complexes, [Ru2(μ-H)(μ-η3-PhC:CHC(O)NRR')(CO)6] (2; NRR' = pyrrolidinyl, NMe2, NEt2, NHMe; shown as I) and [Ru4(μ3-H)2(μ-η3-PhC:CHC(O)NRR')(CO)10] (3; shown as II without carbonyls), involving five-membered oxaruthenacycles η3 coordinated by the 2nd Ru atom. The

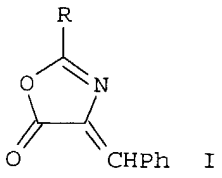
structures of the complexes with NRR' = pyrrolidinyl were determined by single crystal x-ray diffraction studies. On heating in hydrocarbons, complexes 2 are converted into clusters 3. The reverse transformation occurs on treatment of complexes 3 by CH2Cl2.

RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 22 OF 61 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1998:516991 CAPLUS
DN 129:260022
TI Unusual Regioselectivity of the Dipolar Cycloaddition Reactions of Nitrile Oxides and Tertiary **Cinnamides** and Crotonamides
AU Weidner-Wells, Michele A.; Fraga-Spano, Stephanie A.; Turchi, Ignatius J.
CS Drug Discovery, The R.W. Johnson Pharmaceutical Research Institute, Raritan, NJ, 08869, USA
SO Journal of Organic Chemistry (1998), 63(18), 6319-6328
CODEN: JOCEAH; ISSN: 0022-3263
PB American Chemical Society
DT Journal
LA English
OS CASREACT 129:260022
AB Benzonitrile oxides undergo 1,3-dipolar cycloaddn. reactions with Me cinnamate to produce the 5-Ph and 4-Ph regioisomers in approx. an 80:20 ratio. However, use of N,N-diethylcinnamide as the dipolarophile unexpectedly resulted in the formation of the 5-Ph and 4-Ph regioisomers in a 23:77 ratio. Studies have shown that this phenomena occurs only for tertiary **cinnamides**. In addition, it has been demonstrated that the Ph group of tertiary **cinnamides** is not essential for the reversal of regioselectivity since crotonamides produce the same results and trends as the **cinnamides**. However, since acrylates and acrylamides both produce the 5-carbonyl regioisomers, it can be concluded that the β-substituent is playing a key role for the unexpected results by possibly increasing steric interactions between the dipole and dipolarophile in the transition state. Transition state energies were calculated for the regioisomeric cycloadduct pairs derived from several crotonamides as well as Me crotonate. These calcns. indicate that steric factors are indeed responsible for the reversal of regioselectivity.

RE.CNT 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 23 OF 61 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1997:778797 CAPLUS
DN 128:34697
TI Synthesis of some aryl **cinnamides** and imidazolone derivatives of expected biological activities based on 2-(N-phthalimidomethyl)-4-benzylidene-5(4)- oxazolone
AU Arief, M. M. H.; Amine, M. S.; Wasfy, A. A. F.; Aly, A. A.
CS Chemistry Department, Faculty of Science, Benha University, Benha, Egypt
SO Egyptian Journal of Chemistry (1997), 40(2), 149-157
CODEN: EGJCA3; ISSN: 0367-0422
PB National Information and Documentation Centre
DT Journal
LA English
GI




I

AB Reactions of 2-(N-phthalimidomethyl)-4-benzylidene-5(4)-oxazolone (I, R = N-phthalimidomethyl) were investigated. E.g., reaction of I (R = N-phthalimidomethyl) with aromatic amines or amino acids R1NH2 [R1 = (un)substituted Ph, CH2CO2H, CHMeCO2H, CH(CH2Ph)CO2H] gave PhCH:C(NHCOR)CONHR1. Fungicidal and bactericidal activities of the products were investigated.

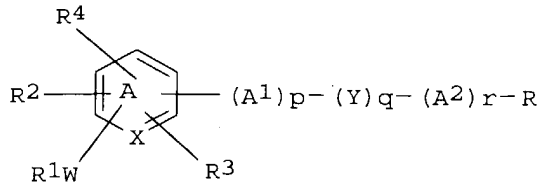
RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 24 OF 61 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1997:542421 CAPLUS
DN 127:190528
TI Preparation and formulation of benzamides, **cinnamides**, and heterocyclic compounds as inflammation and allergy inhibitors
IN Inaba, Takashi; Kaya, Tetsudo; Iwamura, Hiroyuki
PA Japan Tobacco Inc., Japan
SO PCT Int. Appl., 381 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| PI WO 9729079 | A1 | 19970814 | WO 1997-JP291 | 19970206 |
| W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | | |
| | | | JP 1996-20083 | 19960206 |
| | | | JP 1996-94989 | 19960417 |
| CA 2245586 | AA | 19970814 | CA 1997-2245586 | 19970206 |
| | | | JP 1996-20083 | 19960206 |
| | | | JP 1996-94989 | 19960417 |
| AU 9716186 | A1 | 19970828 | AU 1997-16186 | 19970206 |
| | | | JP 1996-20083 | 19960206 |
| | | | JP 1996-94989 | 19960417 |
| | | | WO 1997-JP291 | 19970206 |
| EP 887340 | A1 | 19981230 | EP 1997-902594 | 19970206 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI | | | | |
| | | | JP 1996-20083 | 19960206 |
| | | | JP 1996-94989 | 19960417 |
| | | | WO 1997-JP291 | 19970206 |
| US 6017919 | A | 20000125 | US 1998-117879 | 19980806 |

JP 1996-20083 19960206
JP 1996-94989 19960417
WO 1997-JP291 19970206

OS MARPAT 127:190528
GI



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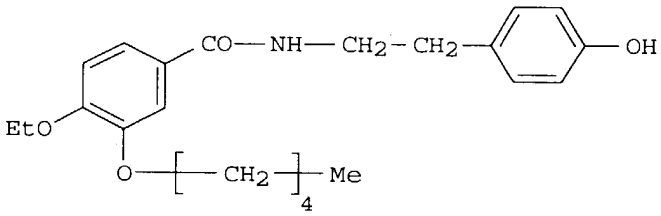


II

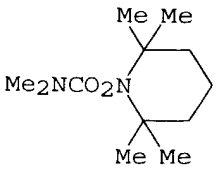
AB The title compds. I [X = CH, N; W = O, etc.; R1 = (un)substituted alkyl, etc.; R2 = H, (un)substituted alkyl, etc.; R3 = H, alkoxy, etc.; R4 = H, or R2 and R4 together form a ring fused to ring A; A1 = CH:CH, CH2CH2, C.tplbond.C; Y = CONR10, NHCONH, etc.; R10 = H, etc.; A2 = alkylene, etc.; R = aryl, etc.; p, q, r = 0 or 1; provisos related to p, q, r are given] are prepared The title compds. act selectively on the peripheral cannabinoid receptors and are useful in the treatment of inflammation, allergy, autoimmune diseases, nephritis, etc. In the in vitro test for affinity for the peripheral cannabinoid receptors, the title compound II showed the Ki value of 1.1 nM. II showed ED50 of 0.5 mg/kg orally in the carrageenin-induced edema test in mice.

L8 ANSWER 25 OF 61 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1997:285666 CAPLUS
DN 127:12972
TI N-Aryl **cinnamides**: a novel class of rigid and highly potent leukotriene B4 receptor antagonists
AU Greenspan, Paul D.; Main, Alan J.; Bhagwat, Shripad S.; Barsky, Lester I.; Doti, Robert A.; Engle, Alan R.; Frey, Lisa M.; Zhou, Huanghai; Lipson, Kenneth E.; et al.
CS Arthritis and Bone Metabolism Research, Novartis Pharmaceuticals Corporation, Summit, NJ, 07901, USA
SO Bioorganic & Medicinal Chemistry Letters (1997), 7(7), 949-954
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier
DT Journal
LA English
OS CASREACT 127:12972

AB A series of N-aryl **cinnamides** has been prepared and assayed for antagonism of the leukotriene B4 receptor. Several compds. in this series were highly potent antagonists of the human neutrophil receptor, based on a whole cell binding assay, as well as a neutrophil aggregation assay. This series is unique among LTB4 antagonists, due to its high degree of rigidity.
RE.CNT 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 26 OF 61 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1995:924705 CAPLUS
TI Reversal of regioselectivity in nitrile oxide cycloadditions to tertiary **cinnamides**.
AU Weidner-Wells, Michele A.; Fraga, Stephanie A.; Demers, James P.
CS Medicinal Chemistry Department, R.W. Johnson Pharmaceutical Research Institute, Raritan, NJ, 08869, USA
SO Book of Abstracts, 210th ACS National Meeting, Chicago, IL, August 20-24 (1995), Issue Pt. 2, ORGN-091 Publisher: American Chemical Society, Washington, D. C.
CODEN: 61XGAC
DT Conference; Meeting Abstract
LA English
AB Tertiary **cinnamides** undergo cycloaddn. with benzonitrile oxides producing the 5-Ph and 4-Ph regioisomers 2b and 3b in a 25-30:75-70 ratio. This result is opposite to that obtained utilizing Me cinnamate 1a as the dipolarophile. Reversal of the regioselectivity was also observed, in varying extents, with other tertiary **cinnamides** and aniline **cinnamides**, but not with aliphatic secondary **cinnamides**. A synthetically useful method for the preparation of acid 3c, derived from what is usually the minor regioisomer, has been developed by taking advantage of this reversal of regiochem.

L8 ANSWER 27 OF 61 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1994:434419 CAPLUS
DN 121:34419
TI Cobalt-mediated reactions: inter- and intramolecular additions of carbamoyl radical to alkenes in the synthesis of amides and lactams
AU Gill, G. Byron; Pattenden, Gerald; Reynolds, Stephen J.
CS Dep. Chem., Univ. Nottingham, NG7 2RD, UK
SO Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1972-1999) (1994), (4), 369-78
CODEN: JCPRB4; ISSN: 0300-922X
DT Journal
LA English
OS CASREACT 121:34419
GI

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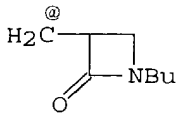


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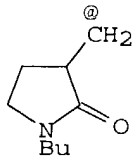
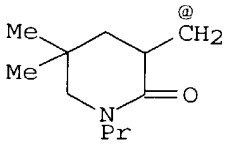
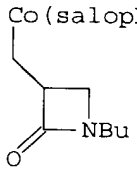



III




IV



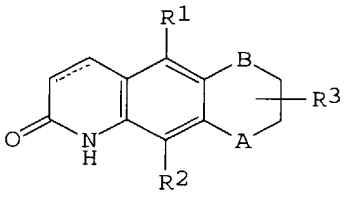

V

AB Carbamoylcobalt(III) salophen compds. [salophen = coordinated ligand of N,N'-o-phenylenebis(salicylidenaminato)], such as Me2NCOCo(salophen), are sources of carbamoyl radicals under either thermal or photolytic conditions. Generation of N,N-dimethylcarbamoyl radicals, e.g. Me2NC•(:O) 5, in the presence of trapping agents such as di-Ph disulfide or 2,2,6,6-tetramethylpiperidin-1-yloxy radical afforded the expected amido derivs., e.g. Me2NCOSPh and I, resp. These radicals 5 also underwent oxidative intermol. addition to styrene; the thermal process gave the E-cinnamide (E)-Me2NCOCH:CHPh exclusively, whereas under photochem. conditions a 1:1 mixture of E- and Z-**cinnamides** was obtained. A series of N-alkenyl-N-alkylcarbamoylcobalt(III) salophens have also been prepared [i.e. CH2:CHCH2NBuCOCo(salophen), CH2:CHCH2CH2NBuCOCo(salophen), CH2:CHCH2CMe2CH2NPrCOCo(salophen)], and are shown to be suitable precursors for the synthesis of β-, γ, and δ-lactams through the 4-, 5- or 6-exo-trig-modes of cyclization of the corresponding intermediate carbamoyl radicals. The products of these cyclizations N-alkyl-3-lactamidomethyl radical (i.e., II-IV, resp.) are trapped by the cobalt(II) salophen to give the corresponding alkylcobalt(III)salophens (e.g. II→V). Although these alkylcobalt salophens appeared to be stable at temps. ≤40°, under the thermolytic conditions for radical generation that were usually employed (110°) dehydrocobaltation occurred, and the unsatd. lactam was formed. Results concerning the introduction of side-chain oxygen functionality at the product radical center in tandem with the carbamoyl radical cyclization are also presented. Computer-generated mol. modeling calcns. supporting the novel 4-exo-trig-cyclization of CH2:CHCH2NBuC•(:O) are discussed.

L8 ANSWER 28 OF 61 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1994:298644 CAPLUS
DN 120:298644
TI Preparation of furo- or pyranoquinoline derivatives or their salts as cardiotonics, antiarrhythmics, and vasodilators
IN Kyotani, Yoshinori; Taima, Tsutomu; Kurihara, Juji; Kitamura, Takahiro; Kamya, Kazuhiro; Yamaguchi, Takashi; Onoki, Kazuhiro; Sato, Seiichi; Oota, Tomio; Uchida, Yasuyoshi
PA Kowa Co, Japan
SO Jpn. Kokai Tokkyo Koho, 14 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | JP 05339271 | A2 | 19931221 | JP 1992-145545 | 19920605 |
| | JP 3153335 | B2 | 20010409 | | |
| | | | | JP 1992-145545 | 19920605 |

OS MARPAT 120:298644
GI



I

AB The title derivs. I [R1-2 = H, lower alkyl; R3 = (un)substituted lower alkyl, lower alkanoyloxy, OH, lower alkylsulfonyloxy, azido, amino; A = O, direct bond; when A = O then B = direct bond or CH:CH; when A = direct bond then B = O] or their salts are prepared as cardiotonics, antiarrhythmics, and vasodilators (no data). A solution of 7-acetoxy-1,2-dihydro-6-(2,3-epoxypropyl)-8-methylquinolin-8-one (preparation from 3-amino-o-cresol in 6 steps) in DMF was treated with aqueous NaOH at 50° for 30 min to give 61.8% 2-hydroxymethyl-9-methyl-2,3,7,8-tetrahydrofuro[3,2-g]quinolin-7-one.

L8 ANSWER 29 OF 61 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1994:133588 CAPLUS
DN 120:133588
TI Study of p-hydroxycinnamic aldehydes and amides with UV spectrophotometry. Comparison of their complexing ability with zinc bromide
AU Duran, Hubert; Duran, Elisabeth; Gorrichon, Liliane; Perry, Marcel
CS Unite Rech., Univ. Paul Sabatier, Toulouse, 31062, Fr.
SO Canadian Journal of Chemistry (1993), 71(7), 1041-7
CODEN: CJCHAG; ISSN: 0008-4042
DT Journal
LA French
AB The ability of zinc salts to be complexed by cinnamaldehydes and N-pyridyl **cinnamides** has been investigated for modeling the active site of cinnamyl alc. dehydrogenase (CAD), a zinc enzyme involved in the lignification process. P-hydroxycinnamaldehydes are specific substrates of the enzyme while **cinnamides** were synthesized as bidentate ligands towards zinc, and present inhibitory effects toward CAD. The interaction C:O...Zn was studied by UV methods and was observed in each example; the complexation consts. are rather low with aldehydes (K = 3-16 L mol-1) and the order (2-12) + 103 stronger with the corresponding **cinnamides**, due to the aminopyridine moiety participation. The stoichiometry of the complexes was found to be ML (M: salt, L: ligand) with monosubstituted aldehydes and M2L with di- and trisubstituted cinnamaldehydes, which was attributed to an addnl. complexation caused by the catechol effect. With the N-pyridylamides the complexation occurs according to a 1:1 (ML) or 1:2 (ML2) stoichiometry. Strong bathochromic effects were also observed; they are more important with the aldehydes than with the amides (cross conjugation). Bathochromic effects due to the substitution of the aromatic ring are shown by a relationship between the

ligands and their zinc complexes.

L8 ANSWER 30 OF 61 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1993:225269 CAPLUS
DN 118:225269
TI Substituted cinnamoylamides effects on brain circulation functional stability
AU Simonyan, A. V.; Kupko, E. N.; Vereshchagin, V. K.
CS Pyatigorsk. Farm. Inst., Russia
SO Khimiko-Farmatsevticheskii Zhurnal (1992), 26(11-12), 48-50
CODEN: KHFZAN; ISSN: 0023-1134
DT Journal
LA Russian
AB Six substituted benzoic acid **cinnamides** were prepared by the condensation of phenylacrylic acid chloroanhydrides with diethylaminoethyl ester of 4-aminobenzoic acid in pyridine. The products were tested for antihypoxic effects in rats with occlusion-induced brain ischemia. Parameters of blood pressure, cerebral vascular resistance, and brain circulation were measured.

L8 ANSWER 31 OF 61 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1993:159872 CAPLUS
DN 118:159872
TI Zinc(2+) complexes with N-(2-pyridyl)**cinnamides**: characterization and UV studies
AU Duran, H.; Duran, E.; Gorrichon, L.; Perry, M.
CS Lab. Synth. Physicochim. Org., Univ. Paul Sabatier, Toulouse, 31062, Fr.
SO Journal of Physical Organic Chemistry (1993), 6(1), 15-22
CODEN: JPOCEE; ISSN: 0894-3230
DT Journal
LA English
AB Zn(II) complexes of N-pyridylcinnamides (H, m-OMe, p-OMe and p-OH derivs.) were studied by spectrophotometric methods in aprotic media, and represent a chemical model of inhibition by **cinnamides** of coniferyl alc. dehydrogenase (CAD), a Zn enzyme involved in the lignification process. The complexation of N-pyridylcinnamide and m-methoxy-N-pyridylcinnamide with Zn ion is effected according to a 1:1 stoichiometry (ML), whereas a 2-step equilibrium (M + L .dblharw. ML and ML + L .dblharw. ML2) is preferred with p-OMe and p-OH compds. These ligands are mainly bonded through the carbonyl O atom and the N of the pyridyl ring. Molar absorptivities for these complexes, not directly available, were calculated from anal. of the exptl. data. The UV complexation results are also supported by the stoichiometry of the complexes, which were prepared and characterized.

L8 ANSWER 32 OF 61 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1992:466556 CAPLUS
DN 117:66556
TI Sulfur containing **cinnamides** with antifungal activity from Glycosmis cyanocarpa
AU Greger, Harald; Hofer, Otmar; Kaehlig, Hanspeter; Wurz, Gerald
CS Inst. Bot., Univ. Vienna, Vienna, A-1030, Austria
SO Tetrahedron (1992), 48(7), 1209-18
CODEN: TETRAB; ISSN: 0040-4020
DT Journal
LA English
AB Bioassay guided anal. of the methanolic leaf extract of Glycosmis cyanocarpa (Rutaceae) led to the isolation of a new type of sulfur-containing **cinnamides** with antifungal activity: sinharine (cinnamic acid

methylsulfidoethylamide) (I) and the corresponding N-Me derivative methylsinharine (II). In addition, the dominating furoquinoline kokusaginine together with small amts. of skimmianine and the carbazole glycozolidol were also isolated. A series of quinolone and quinazolone alkaloids were detected as minor components by reversed phase HPLC. The structures of I and II were elucidated by means of spectroscopic methods (IR, UV, 1H NMR, 13C NMR, MS). Temperature dependent 1H NMR and lanthanide induced shifts (LIS) established the stereochem. of the two conformers of II.

L8 ANSWER 33 OF 61 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1992:206654 CAPLUS
DN 116:206654
TI Interaction of zinc(2+) with N-(2-pyridyl)-3-phenyl-2-propenamide, a model in lignin biosynthesis inhibition by **cinnamides**. Crystal and molecular structure of [Zn(O-N)2(CH3OH)2](CF3SO3)2
AU Bouayad, Abdessalam; Bitit, Najib; Deydier, Eric; Menu, Marie Joelle; Dartiguenave, Michele; Dartiguenave, Yves; Duran, Hubert; Gorrichon, Liliane; Simard, Michel; Beauchamp, Andre L.
CS Fac. Sci., Univ. Sidi Mohamed Ben Abdelha, Fes, Morocco
SO Inorganica Chimica Acta (1991), 190(1), 79-84
CODEN: ICHAA3; ISSN: 0020-1693
DT Journal
LA English
AB Reacting Zn(CF3SO3)2 with N-(2-pyridyl)-3-phenyl-2-propenamide (O-N) yields a 1:2 complex which ppts. from methanol as [Zn(O-N)2(CH3OH)2](CF3SO3)2. The crystal structure of this complex (P21/c, a 13.711(2), b 10.401(2), c 16.358(2) Å, β = 124.27(1)°, R = 0.059, 1918 observed reflections) reveals the presence of a centrosym., nearly octahedral, complex cation. The all-trans configuration about Zn2+ is achieved by two methanol hydroxyl groups and two bidentate ligands bonded via their pyridyl nitrogens and amide oxygens. The amide group nitrogen is not coordinated to the metal, but it forms an N-H...O hydrogen bond to the CF3SO3- counterions. The influence of the amide substituent on the structure is discussed on the basis of comparisons with [Zn(O-N1)2(H2O)2]2+ [(O-N1) = N-(2-pyridyl)acetamide] containing a similar amidopyridine chelate ring.

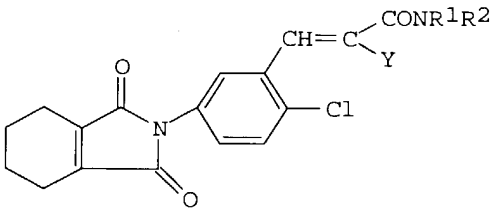
L8 ANSWER 34 OF 61 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1990:216310 CAPLUS
DN 112:216310
TI Vinylation of aryl iodides in the presence of a new polymer-supported catalyst, poly(4-vinylpyridine)palladium
AU Gou, Shaohua; Hu, Hongwen
CS Dep. Chem., Nanjing Univ., Nanjing, Peop. Rep. China
SO Fenzi Cuihua (1989), 3(2), 165-7
CODEN: FECUEN; ISSN: 1001-3555
DT Journal
LA Chinese
AB Copolymer of 4-vinylpyridine and styrene was used as polymer supported in the preparation of palladium(0) catalyst. The poly(4-vinylpyridine) Pd(0) catalyst obtained was used in the vinylation of aryl iodides, giving cinnamic acids, **cinnamides** and stilbenes. The catalyst was stable to air and moisture, and could be recycled about 10 times using sodium acetate as the base in aqueous DMF solution

L8 ANSWER 35 OF 61 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1990:118643 CAPLUS
DN 112:118643

TI Preparation of 5-(tetrahydrophthalimido)**cinnamides** as herbicides
IN Plath, Peter; Eicken, Karl; Rueb, Lothar; Schwalge, Barbara; Westphalen, Karl Otto; Wuerzer, Bruno
PA BASF A.-G., Fed. Rep. Ger.
SO Ger. Offen., 18 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| PI DE 3900353 | A1 | 19890907 | DE 1989-3900353 | 19890107 |
| | | | DE 1989-3900353 | 19890107 |

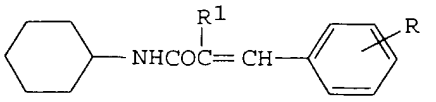
OS CASREACT 112:118643; MARPAT 112:118643
GI



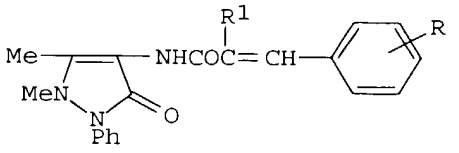
I

AB The title compds. (I; R1, R2 = H, C1-4 alkyl; NR1R2 = heterocyclyl; Y = Cl, Br, C1-4 alkyl) were prepared as herbicides (no data). Thus, 2,5-Cl(O2N)C6H3CH:CHCOCl was stirred 4 h at 25° with aqueous Me2NH in THF to give 55% 2,5-Cl(O2N)C6H3CH:CHCONMe2 which was stirred 2 h at 40° with Br in CH2Cl2 and the product stirred 2 h at 65° with NaOMe in MeOH to give 79% 2,5-Cl(O2N)C6H3CH:CBrCONMe2. The latter was stirred 2 h at 80° with Fe in HOAc-MeOH to give 100% 2,5-Cl(H2N)C6H3CH:CBrCONMe2 which was stirred 3 h at 100° with tetrahydrophthalic anhydride in HOAc to give 55% I (R1 = R2 = Me, Y = Br).

L8 ANSWER 36 OF 61 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1990:35744 CAPLUS
DN 112:35744
TI Synthesis and juvenial hormone activity of N-(substituted)-yl-3-(sub-1'-phenyl)acrylamides and N-(substituted)-yl-2-alkyl-3-(sub-1'-phenyl)acrylamides
AU Bhatia, M. S.; Kaur, Arvinder; Kaur, B.; Cherian, Xavier M.
CS Dep. Chem., Punjab Agric. Univ., Ludhiana, 141 004, India
SO Journal of the Indian Chemical Society (1989), 66(3), 205-6
CODEN: JICSAH; ISSN: 0019-4522
DT Journal
LA English
OS CASREACT 112:35744
GI



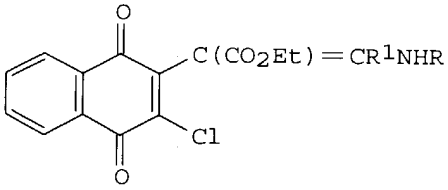

I



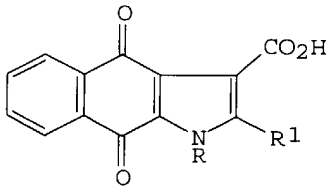

II

AB Wittig reactions of aldehydes gave cinnamates which were hydrolyzed to the acids and amidated to give I and II (R = o-, m-, p-O2N, o-, m-, p-Cl; R2 = H, Me, Et).

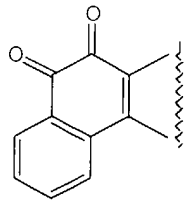
L8 ANSWER 37 OF 61 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1989:614358 CAPLUS
DN 111:214358
TI Synthesis of ortho- and paraquinones of the benzindole series
AU Nesterova, I. N.; Grinev, A. N.; Rubtsov, N. M.
CS Vses. Nauchno-Issled. Khim.-Farm. Inst., Moscow, 119021, USSR
SO Khimiya Geterotsiklicheskikh Soedinenii (1989), (1), 66-8
CODEN: KGSSAQ; ISSN: 0453-8234
DT Journal
LA Russian
OS CASREACT 111:214358
GI



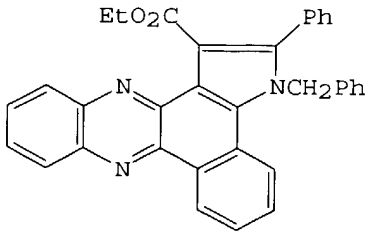

I




II




III




IV

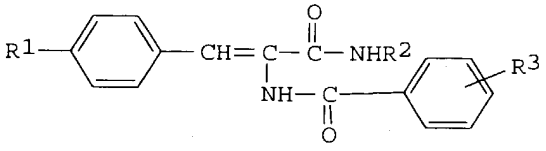
AB Substitution of 2,3-dichloro-1,4-naphthoquinone by RHNCR1:CHCO2Et (R = Ph, Me, R1 = Me; R = PhCH2, R1 = H) gave benzoquionones I which underwent base-catalyzed cyclization to give benzindolecarboxylic acids II and AcOH-catalyzed cyclization to give benzindolocarboxlates III. The latter III (R = PhCH2, R1 = Ph) cyclocondensed with o-H2NC6H4NH2 to give quinoxalineindole IV.

L8 ANSWER 38 OF 61 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1989:400202 CAPLUS
DN 111:202
TI Thermodynamic properties-anticonvulsant activity relationship in some β-substituted cinnamamides
AU Liu, Yunna; Lei, Xiaoping; Wang, Shuyu; Liu, Weiqin
CS Inst. Chem., Acad. Sin., Beijing, Peop. Rep. China
SO Kexue Tongbao (Foreign Language Edition) (1988), 33(19), 1614-16
CODEN: KHTPBU; ISSN: 0250-7862
DT Journal
LA English
AB The anticonvulsant activities of 10 β-substituted cinnamamides were determined in mice and compared with their thermodn. properties. The smaller the melting entropy, the higher the anticonvulsant activity.

L8 ANSWER 39 OF 61 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1989:134894 CAPLUS
DN 110:134894
TI Preparation of insecticidal and acaricidal benzamidocinnamamides and their benzylideneoxazolinone intermediates
IN Debitsudo, Jooji Kan
PA American Cyanamid Co., USA
SO Jpn. Kokai Tokkyo Koho, 12 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | JP 63166851 | A2 | 19880711 | JP 1986-308062 | 19861225 |
| | | | | JP 1986-308062 | 19861225 |

OS MARPAT 110:134894
GI



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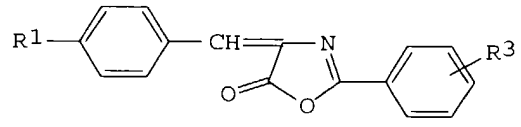


II

AB The title **cinnamides** (I; R1 = C1-4 alkyl, C1-4 alkoxy, CF3CH2O, CF3O, F, Cl, Br, CF3, NO2, F2CHS, F2CHO, R2N, RSO3, RCONH, Y2CHCF2O; R = C1-4 alkyl; R2 = Me, Et, C3-5 alkyl, cyclopropyl; R3 = Cl, C1-4 alkyl, C1-4 alkoxy, cyano; Y = F, Cl, Br) are prepared from the corresponding oxazolinones II, and are useful as agrochem. insecticides and acaricides. The hippuric acid prepared by amidation of 4-FC6H4COCl with glycine was cyclocondensed with 4-NCC6H4CHO at 90° in Ac2O in the presence of NaOAc to give 85% II (R1 = cyano, R3 = H) which was ring-opened by

amidation with Me2CHNH2 in C6H6 at room temperature, followed by refluxing 3 h, to give 83% I (R1 = cyano, R2 = Me2CH, R3 = H). Various I at 8 kg/ha applied to the soil or in feed water gave complete control of insects and mites. Dispersible insecticides were formulated by mixing I 3, inert powders 60-94, and anionic surfactants 3-20 weight parts.

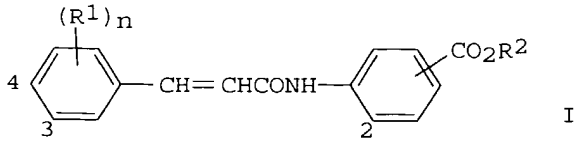
L8 ANSWER 40 OF 61 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1988:105931 CAPLUS
DN 108:105931
TI Molecular orbital calculation of the conformation of cinnamide compounds
AU Zhuo, Jicang; Peng, Shiqi; Liu, Weiqing
CS Coll. Pharm. Sci., Beijing Med. Univ., Beijing, Peop. Rep. China
SO Fenzi Kexue Xuebao (1986), 4(2), 187-92
CODEN: JMOSE7; ISSN: 1000-9035
DT Journal
LA English
AB In this paper, the conformation of anticonvulsant **cinnamides** is expressed by the relevant torsional angles θ1 and θ2. Conformational potential energy surfaces of 26 **cinnamides** belonging to 3 series are calculated by means of EHMO methods in combination with the data of x-ray diffraction. Their stable conformations of min. energy are determined Calculated results can represent the relative conformational differences of cinnamide compds. belonging to these 3 series.

L8 ANSWER 41 OF 61 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1987:590330 CAPLUS
DN 107:190330
TI The relationships of stable conformations and anticonvulsant activities in cinnamide compounds
AU Peng, Shiqi; Liu, Wieqin; Zhuo, Jichang; Pei, Yingquan
CS Coll. Pharm. Sci., Beijing Med. Univ., Beijing, Peop. Rep. China
SO Fenzi Kexue Xuebao (1986), 4(2), 163-7
CODEN: JMOSE7; ISSN: 1000-9035
DT Journal
LA English
AB The relationship between dihedral angles of stable conformations of the **cinnamides** and their anticonvulsant activities in mice are discussed. Conformations allowing the Ph ring and the carbonyl group to bind with receptor areas A and B, resp., appear to be associated with anticonvulsant properties.

L8 ANSWER 42 OF 61 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1987:18135 CAPLUS
DN 106:18135
TI **Cinnamides**
IN Puigdellivol Llobet, Pere; Goday Baylina, Elisa
PA Laboratorio Fides S. A., Spain
SO Span., 9 pp.
CODEN: SPXXAD
DT Patent
LA Spanish
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | ES 543000 | A1 | 19860101 | ES 1985-543000 | 19850510 |
| | | | | ES 1985-543000 | 19850510 |

GI



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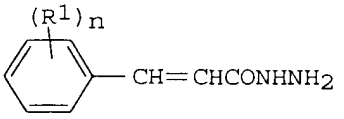
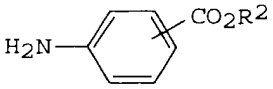


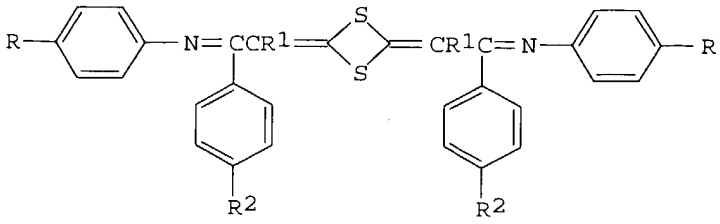
II



III

AB Cinnamide derivs. I (R1 = H, OH, alkoxy, acetoxy, halo, methylenedioxy; R2 = H, alkyl; n = 1-3) are prepared by oxidation of cinnamic hydrazides II in the presence of aminobenzoates III in an inert solvent at ambient to reflux temperature I include compds. useful as antiallergics (no data). Thus, I [(R1)n = 3,4-(MeO)2, R2 = H, carboxy group in 2-position], i.e. the antiallergic tranilast, was prepared by stirring the corresponding II and III with yellow HgO in C6H6 at room temperature, followed by portionwise addition of MeOH and heating at 50°.

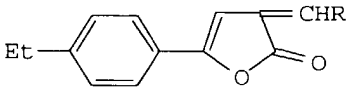
L8 ANSWER 43 OF 61 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1986:424211 CAPLUS
DN 105:24211
TI Synthesis and reactivity of new derivatives of 1,3-dithietane
AU Zankowska-Jasinska, Wanda; Galuszka, Barbara
CS Dep. Org. Chem., Jagiellonian Univ., Krakow, Pol.
SO Zeszyty Naukowe Uniwersytetu Jagiellonskiego, Prace Chemiczne (1985), 29, 29-56
CODEN: ZUJCAQ; ISSN: 0373-0166
DT Journal
LA English
OS CASREACT 105:24211
GI



I

AB p-RC6H4NHC(C6H4R2-p):CHR1 [R = H, F, Cl, Br, O2N, Me; R1 = (PhNHCO, p-FC6H4NHCO, p-ClC6H4NHCO, R2 = H, F; R = H, R1 = CONH, cyano; R2 = H) underwent cyclization with CSCl2 to give E- and Z-2,4-bis(methylene)-1,3-dithietanes I.

L8 ANSWER 44 OF 61 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1978:22802 CAPLUS
DN 88:22802
TI Ring opening reactions of some furan derivatives with bases
AU Elkasaby, M. A.; Elkady, M.; Eldin, N. A. Nour
CS Fac. Sci., Ain Shams Univ., Cairo, Egypt
SO Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1977), 15B(5), 436-9
CODEN: IJSBDB; ISSN: 0376-4699
DT Journal
LA English
OS CASREACT 88:22802
GI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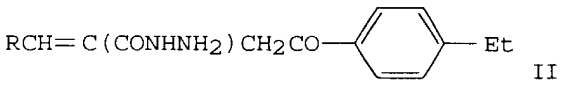
II

AB 3-Arylidene-5-(p-ethylphenyl)furan-2-ones (I; R = Ph, p-MeOC6H4, m-O2NC6H4, styryl, p-Me2C6H4) react with ethanolic N2H4 to give II at room temperature while I (R = Ph, p-MeOC6H4, p-Me2NC6H4, styrl) give III in boiling EtOH. Similar reaction of [2-oxo-5-(p-ethylphenyl)-2,3-dihydro-3-furylidene]phthalide with hydrazine hydrate in EtOH at room temperature gives cinnamic acid whereas in boiling ethanol 4-{4'-[6'-(p-ethylphenyl)-3'-oxo-2',3',4',5'-tetrahydropyridazinyl]}-1,2-dihydrophthalazin-1-one is formed. 5-(P-Ethylphenyl)-2,3-dihydrospiro[furan-3,2'-indan]-2,1',3'-trione reacts with hydrazine hydrate to give 2-(p-ethylphenyl)-1,3-dioxoindan-2-carboxylic acid hydrazide 1,3-dihydrazone at room temperature, 6'-(p-ethylphenyl)-2',3'-dihydrospiro[indan-2,4'(5'H)-pyridazine]-1,3,3'-trione 1,3-dihydrazone (IV) in boiling EtOH, and a mixture of IV and its 2'-Ac derivative in boiling AcOH. 3-[3'-[5'-(P-Ethylphenyl)-2'-oxo-2',3'-dihydrofurylidene]]isoindolin-1-one reacts with hydrazine hydrate to give 3-[4'-[6'-(p-ethylphenyl)-3'-oxo-2',3',4',5'-tetrahydropyridazinylidene]]isoindolin-1-one.

L8 ANSWER 45 OF 61 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1975:514480 CAPLUS
DN 83:114480
TI 1-Cinnamyl- or 1-cinnamoyl-4-benzhydrylpiperazines
IN Tayama, Tatsuya; Yasui, Eiichiro
PA Kanebo, Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 2 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| PI JP 50029576 | A2 | 19750325 | JP 1973-78612 | 19730712 |
| | | | JP 1973-78612 | 19730712 |

GI For diagram(s), see printed CA Issue.
AB Piperazines I (R1-R3 = H, halo, lower alkyl, lower alkoxy; Y = CO, CH2) are prepared by cyclizing amines, II and III, with 1,2-dihaloethane in the presence of a dehydrohalogenating agent, followed by reducing the CO to

CH2 group if required. Thus, 18.2 g II (R1 = Cl, R2 = H), 19.6 g III (R3 = p-Me, Y = CO), and 40 g C5H5N in 700 ml EtOH was refluxed and treated dropwise with 25 g ClCH2CH2Cl in EtOH. The mixture was heated at 60-70° 12-15 hr to give I (R1 = Cl, R2 = H, R3 = p-Me, Y = CO). Reduction with LiAlH4 gave I (Y = CH2, other substituents same). Also prepared was I (R1 = R2 = R3 = H, Y = CH2).

L8 ANSWER 46 OF 61 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1975:86995 CAPLUS
DN 82:86995
TI α-Cyano-3,5-di-tert-butyl-4-hydroxycinnamides for use as photostabilizers
IN Haga, Takeyoshi; Fukutani, Hideo; Nishmura, Akio; Nagasaka, Hideki
PA Mitsubishi Chemical Industries Co., Ltd.
SO Jpn. Kokai Tokkyo Koho, 7 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| PI JP 48097865 | A2 | 19731213 | JP 1972-28685 | 19720322 |
| | | | JP 1972-28685 | 19720322 |

GI For diagram(s), see printed CA Issue.
AB **Cinnamides** I, R = H, Et, X = CH2, O, NBu, CH2CH2) useful as uv light absorbers for polypropylene [9003-07-0] were prepared Thus, cyanoacetopiperidide, prepared from Me cyanoacetate and piperidine, was heated with 3,5-di-tert-butyl-4-hydroxybenzaldehyde and piperidine in C5H5N at 80° for 3 hr to give 86% I (R = H, X = CH2). Similarly prepared were its pyrrolidide analog, N,N'-bis(α-cyano-3,5-di-tert-butyl-4-hydroxycinnamoyl)piperazide [51866-32-1], and 5 other **cinnamides** (I, R and X given): H, O; H, NBu; H, CH2CH2; Et, CH2; Et, CH2CH2.

L8 ANSWER 47 OF 61 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1974:403634 CAPLUS
DN 81:3634
TI 3,4,5-Trisubstituted **cinnamides**
IN Horrom, Bruce W.
PA Abbott Laboratories
SO U.S., 7 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| PI US 3801636 | A | 19740402 | US 1971-171737 | 19710813 |
| | | | US 1971-171737 | 19710813 |

GI For diagram(s), see printed CA Issue.
AB About 18 cinnamamides (I; R = Me, Et, Pr, Bu; R1 = H, CH.tplbond.-CCMe2, Pr, cyclopropyl, cyclopropylmethyl), useful as antidepressants, were prepared by a series of known reactions. E.g., 3,5,4-Cl2(BuNH)C6H2CH:CHCO2H, prepared from 3,5,4-Cl2-(BuNH)C6H2CHO and CH2(CO2H)2 in a Perkin type reaction in the presence of piperidine, was treated successively with Et3N, ClCO2Et, and R1NH2 in AcNMe2 to give I (R = Bu). The benzaldehydes were obtained from the benzyl alcs. which were prepared from the benzoate esters by LiAlH4 reduction

L8 ANSWER 48 OF 61 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1974:47610 CAPLUS
DN 80:47610
TI Synthesis of substituted **cinnamides**. Relations between anticonvulsant and monoamine oxidase inhibitory properties
AU Parmar, Surendra S.; Joshi, P. C.; Ali, Basheer; Brumleve, Stanley J.
CS Sch. Med., Univ. North Dakota, Grand Forks, ND, USA
SO Journal of Pharmaceutical Sciences (1973), 62(12), 1986-9
CODEN: JPMSAE; ISSN: 0022-3549
DT Journal
LA English
AB 2,3,4-RR1R2C6H2CH:C(NHBz)CONH(CH2)nCO2Et (I; R, R1, and R2 = H, Cl; n = 1, 2, 3) were prepared by the reaction of 2,3,4-RR1R2C6H2CHO with BzNHCH2CO2H, followed by the reaction with H2N(CH2)nCO2Et in EtOH-Et3N. Twelve compds were prepared, which were converted into hydrazides. The hydrazides showed anticonvulsant activity, but no correlation was observed between the anticonvulsant activity and the ability to inhibit monoamine oxidase.

L8 ANSWER 49 OF 61 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1973:491891 CAPLUS
DN 79:91891
TI Indole derivatives. LXXXIII. Preparation of indole and 5-bromoindole from 2-naphthol and 6-bromo-2-naphthol
AU Petrova, G.N.; Shner, V. F.; Alekseeva, L. M.; Suvorov, N. N.
CS Mosk. Khim.-Tekhnol. Inst. im. Mendeleeva, Moscow, USSR
SO Khimiya Geterotsiklicheskikh Soedinenii (1973), (6), 753-5
CODEN: KGSSAQ; ISSN: 0132-6244
DT Journal
LA Russian
GI For diagram(s), see printed CA Issue.
AB β-Naphthol and 6-bromo-β-naphthol were oxidized by H2O2 in HOAc containing Na2MoO4 to give cinnamic acids I (R = H, Br, resp.), which yielded **cinnamides** II by successive reaction with PCl5 and NH3. II underwent Hofmann rearrangement and then treatment with aqueous KOH to give indole and 5-bromoindole. 5-Nitro-β-naphthol was oxidized by H2O2 to yield hydrocinnamic acid lactone III.

L8 ANSWER 50 OF 61 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1972:488048 CAPLUS
DN 77:88048
TI Topochemistry. XXXV. Formation of mixed dimers from solid solutions of trans-cinnamic acids and trans-**cinnamides**
AU Hung, J. D.; Lahav, M.; Luwisch, M.; Schmidt, G. M. J.
CS Dep. Chem., Weizmann Inst. Sci., Rehovot, Israel
SO Israel Journal of Chemistry (1972), 10(2), 585-99
CODEN: ISJCAT; ISSN: 0021-2148
DT Journal
LA English
GI For diagram(s), see printed CA Issue.
AB Irradiation of two-component solid solns. of trans-ArCH:CHCONH2 (Ar = Ph, p-MeOC6H4, p-ClC6H4, p-MeC6H4, 2-thienyl) each of which appeared in the α crystal form, gave three photoproducts: two α-truxillic homodimers I, an α-truxillic heterodimer. Similarly, two-component solid solns. of trans-ArCH:CHCO2H [Ar = Ph (α-form), p-ClC6H4 (β), p-MeC6H4 (α), p-MeOC6H4 (γ), o-ClC6H4 (β), o-CH3C6H4 (γ)] were irradiated. A solid solution of two α acids, each photodimerizing in the solid to α-truxillic

acid gave 2 α-truxillic homodimers and an α-truxillic heterodimer. A solid solution of an α acid with a β acid, photodimerizing to β-truxinic acids gave six photoproducts: 3 α truxillic acid dimers and 3 β-truxinic acid dimers (four homodimers and two heterodimers). Irradiation of a solution of a γ acid, nonphotodimerizing in the solid state, with and α acid afforded α-truxillic dimers (2 homodimers and 1 heterodimer). However, irradiation of the mixed crystals from p-methoxycinnamic acid (γ-type) and p-chlorocinnamic acid (β-type), which gave 2 α-truxillic dimers and 2 β-truxinic dimers indicated that new phases, unobsd. for the pure compds., can be generated.

L8 ANSWER 51 OF 61 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1972:162004 CAPLUS
DN 76:162004
TI Iodine in acetic acid. Specific analytical reagent for the cyclization of aryl 2-propynylcarboxamides
AU Raihle, J. A.; Schommer, L. J.; Wimer, D. C.
CS Abbott Lab., North Chicago, IL, USA
SO Analytical Chemistry (1972), 44(6), 905-10
CODEN: ANCHAM; ISSN: 0003-2700
DT Journal
LA English
AB I in HOAc was a useful and highly specific reagent for the determination of aryl 2-propynylcarboxamides. After the cyclization, the unreacted I was determined by S2O32- titration The cyclization products of 3 N-(2-propynyl) **cinnamides** and of 5 N-(2-propynyl)benzamides were characterized as 5-iodomethylene-2-oxazolines by elemental anal., NMR, and mass spectrometry, and a reaction mechanism was postulated.

L8 ANSWER 52 OF 61 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1972:121816 CAPLUS
DN 76:121816
TI Relation between monoamine oxidase [MAO] inhibitory and anticonvulsant properties of substituted **cinnamides**
AU Parmar, Surendra S.; Chaturvedi, A. K.; Chaudhari, A.; Misra, R. S.
CS King George's Med. Coll., Lucknow Univ., Lucknow, India
SO Journal of Pharmaceutical Sciences (1972), 61(1), 78-81
CODEN: JPMSAE; ISSN: 0022-3549
DT Journal
LA English
AB The ability of 24 substituted cinnamamides (I, R = H, OMe,Cl; R1 = H, Me; X = O,S), such as N-[p-[N4-(p-tolyl)semicarbazido]phenyl]-α-benzamido-p-methoxycinnamamide [34415-23-1] (I, R = OMe, R1 = p-Me, X = O) and N-[p-[N4-(m-tolyl)thiosemicarbazido]phenyl]-α-benzamido-p-chlorocinnamamide [34415-24-2] (I, R = Cl, R1 = m-Me, X = S), to protect mice against pentylenetetrazole-induced convulsions was in no way related to their ability to inhibit monoamine oxidase (MAO) [9001-66-5] (EC 1.4.3.4) in vitro, suggesting that MAO inhibition is not the only mechanism responsible for the anticonvulsant properties of these compounds. Reaction of benzaldehydes, hippuric acid, and Ac2O in the presence of NaOAc gave substituted oxazolones which underwent ring opening when treated with Et p-aminobenzoate and Et3N, affording N-(p-carbethoxyphenyl)-α-benzamidocinnamamides (II). II were converted to hydrazides by reaction with H2NNH2.H2O, which reacted with appropriate arylisocyanates and arylisothiocyanates to give the desired I derivs.

L8 ANSWER 53 OF 61 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1972:39922 CAPLUS
DN 76:39922
TI Nuclear magnetic resonance studies of internal rotation. III. Rotational barriers in m- and p-substituted N,N-dimethylcinnamamides
AU Spasov, S. L.; Dimitrov, V. S.; Agova, M.; Kanchovska, I.; Todorova, R.
CS Inst. Org. Chem., Sofia, Bulg.
SO Organic Magnetic Resonance (1971), 3(5), 551-6
CODEN: ORMRBD; ISSN: 0030-4921
DT Journal
LA English
AB The rotational barriers about the CN bond of 8 m- and p-substituted N,N-dimethylcinnamanides were determined by the iterative total line shape NMR method. The ΔG≠298.2 values were correlated with the substituent consts. σ, ]rn and σ . By comparison with literature data, conclusions about the accuracy of the barrier determination as well as the transmittance of polar effects in conjugated amides are discussed.

L8 ANSWER 54 OF 61 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1970:90100 CAPLUS
DN 72:90100
TI α-Chlorocinnamides
IN Khaskin, I. G.; Rudnev, G. K.
SO U.S.S.R.
From: Otkrytiya, Izobret., Prom. Obraztsy, Tovarnye Znaki 1969, 46(24), 20.
CODEN: URXXAF
DT Patent
LA Russian
FAN.CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| SU 248660 | | 19690718 | SU | 19671214 |

AB The title compound is prepared by treating α,β-dichlorocinnamaldimideswith a tertiary amine in an inert organic solvent.

L8 ANSWER 55 OF 61 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1969:460307 CAPLUS
DN 71:60307
TI Hydrolytic and associative behavior of aromatic amides in aqueous solution
AU Kakemi, Kiichiro; Sezaki, Hitoshi; Nakano, Masahiro; Ohsuga, Kiichiro; Mitsunaga, Takayoshi
CS Fac. Pharm. Sci., Kyoto Univ., Kyoto, Japan
SO Chemical & Pharmaceutical Bulletin (1969), 17(5), 901-5
CODEN: CPBTAL; ISSN: 0009-2363
DT Journal
LA English
AB Rate consts. for alkaline hydrolysis in 0.1N NaOH of aromatic amides, such as nicotinamide, benzamide, phenylacetamide, cinnamamide, and their corresponding N-alkyl-substituted analogs, were determined at 25° and 90° in order to investigate the effect of mol. structure on the stability of these amides against hydroxyl ion attack. Stability consts. of these aromatic amide complexes with theophylline and 8-methoxycaffeine at 25° were also computed from phase-solution data. Cinnamamides are most stable against hydroxyl ion attack and formed the most stable complexes with the alkylxanthines while phenylacetamides are least stable

in alkaline hydrolysis and least associative with alkylxanthines among benzene derivs. Both hydrolytic behavior and associative tendency of these amides are discussed in relation to the extent of conjugation of the amide group with the rest of the mol.

L8 ANSWER 56 OF 61 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1969:58343 CAPLUS
DN 70:58343
TI Synthesis of α-polypeptide by hydrogen migration polymerization of β-substituted acrylamide
AU Imanishi, Yukio; Andoh, Tadakatsu; Okamura, Seizo
CS Kyoto Univ., Kyoto, Japan
SO Kobunshi Kagaku (1968), 25(282), 708-16
CODEN: KOKAAM; ISSN: 0023-2556
DT Journal
LA Japanese
AB To synthesize α-polypeptide by the H migration polymerization of acrylamide derivs. which carry an electron-withdrawing substituent at the β-carbon, trans-p-nitrocinnamide (I) and trans-β-chloroacrylamide (II) were prepared and polymerized with basic initiators. p-O2NC6H4CH:CHCO2Et (m. 136-7°) was refluxed with equimolar Na2CO3 (1N aqueous solution) for 4 hrs. to yield 73.2% p-O2N-C6H4CH:CHCO2H, m. 275°, which (5 g.) was refluxed with 10.8 g. PCl3 in 100 ml. POCl3 to give p-O2NC6H4CH:CHCOCl (III), m. 142° (CHCl3). NH3 was introduced into a solution of III in CHCl3 at <10° to yield 44.5% I, m. 222° (EtOH). CH.tplbond.CCO2H (b12 57°) was converted into CHCl:CHCO2H, b17 88.5°, which was treated with PhCCl3 to give CHCl:CHCOCl and then treated with NH3-ether to give II, m. 151-2.5°. Intrinsic viscosity of I polymer is about 0.09. About one third of the structural units in the polymer, [CH(C6H4NO2-p)CH(CONH2)]m[CH(CH2C6H4NO2-p)-CONH]n are linked by peptide bonds. Existence of the α-peptide linkage was confirmed. trans-Cinnamide gives only the β-polypeptide. This indicates the necessity of a strongly electron withdrawing p-nitro group to induce α-polypeptide formation. Intrinsic viscosity of II polymer is .apprx.0.1. About one fourth of the structural units, [CHClCH(CONH2)m[CH(CH2Cl)CONH]n, were linked by α or β peptide bonds.

L8 ANSWER 57 OF 61 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1969:37497 CAPLUS
DN 70:37497
TI N,N-Dimethylcinnamides
PA Smith Kline and French Laboratories
SO Brit., 4 pp.
CODEN: BRXXAA
DT Patent
LA English
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | GB 1131727 | | 19681023 | | |
| | | | | US | 19660510 |
| | DE 1618895 | | | DE | |
| | FR 6489 | | | FR | |

AB Compns. with antidepressant and some anticonvulsant properties primarily for oral administration contain as active ingredients the title compds. 4,3,2-R1R2R3C6H2CH:CHCONMe2 (I). Heating a mixture of 0.28 mole of a phenylacrylic acid and 175 ml. SOCl2 under reflux 2 hrs., evaporating, and

treatment of the residue in 50 ml. Et2O with 60 ml. 25% aqueous Me2NH gave trans-I. The following I were prepared (R1, R2, R3, and m.p. given): H, H, H (II), 98°; Cl, H, H (III), 122-4°; CF3, H, H, 109°; H, Cl, H, 90°; H, H, Cl, 94°; Cl, Cl, H, 137-8°; F, H, H 97-8°; MeO, H, H (IV), 90-5°. The intermediate p-ClC6H4CH:CHCO2H, m. 241-6° was prepared in the usual way from p-ClC6H4CHO, CH2(CO2H)2, C5H5N, and piperidine. The trans derivs. were much more active than the cis isomers. Antidepressant activity was assessed by observing the prevention of reserpine induced ptosis in mice. The ED50 of II was 49 mg./kg., that of III 15 mg./kg., and that of IV 50 mg./kg. as compared with amitriptyline and imipramine, 15.5 and 10.5 mg./kg. resp. in this test. Tablets contain 25-100 mg. active compound

L8 ANSWER 58 OF 61 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1968:495995 CAPLUS
DN 69:95995
TI N,N-Dialkylamides of mono- or dicarboxylic acids
IN Kopecky, Jan; Smejkal, Jaroslav
SO Czech., 2 pp.
CODEN: CZXXA9
DT Patent
LA Czech
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | CS 124237 | | 19670915 | CS | 19660625 |

AB Heating the parent acid (I) with OP(NR1R2)3 at 180-200° 1.5-2.5 hrs. gave the following title compds. [I, % yield, and b.p./mm. (or m.p.) given]: BzOH, 90.7, 150-3°; AcOH, 88.5, 68-70°/20; adipic acid, 85, m. 81-3°; valeric acid 93, 97-100°/22; lauric acid, 95.5, 175-6°/13; CH2ClCO2H, 66.5, 99.5-100.5°/14; CCl3CO2H, 70, 111-13°/13; succinic acid, 88.5, m. 79-80°; phthalic acid, 87, m. 121-2°; m-toluic acid, 84, 145-6°/12; cinnamic acid, 88, m. 95°; pyromucic acid, 67, 124-6°/15.

L8 ANSWER 59 OF 61 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1968:467125 CAPLUS
DN 69:67125
TI N-(2-Dialkylaminoethyl)-α-(acylamine) **cinnamides**
PA E. Scheurich Pharmwerk G.m.b.H.
SO Brit., 7 pp.
CODEN: BRXXAA
DT Patent
LA English
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | GB 1113569 | | 19680515 | GB | |
| | | | | DE | 19651220 |
| | | | | DE | 19661003 |
| | DE 1493184 | | | DE | |
| | DE 1620463 | | | DE | |
| | FR 1511631 | | | FR | |
| | ZA 6607561 | | 19660000 | ZA | |

GI For diagram(s), see printed CA Issue.
AB I are prepared from azlactones II and H2NCH2CH2NR2. A solution of 18.7 g. II (R1 = Me, R2 = R3 = H) in 300 ml. C6H6 is treated with 13 g. β-morpholinoethylamine at room temperature and the mixture kept overnight to

give 70% N-(β-morpholinoethyl)-α-acetylaminocinnamamide, m. 164-6° (Me2CO). Similarly prepared are the following I (R or NR2, R1, R2, R3, m.p., and % yield given): morpholino, Me, H, MeO, 186-7°, 75; morpholino, Me, H, Cl, 180-1°, 71; morpholino, Me, H, AcO, 160-1°, 53; Me, Me, H, H, 139-41°, 60; Me, Me, H, H, 100-3°, 57; Et, Me, H, H, 138-9°, 70; Et, Me, H, Cl, 137-8°, 69; Et, Me, H, AcO, 136-7°, 72; Et, Me, MeO, MeO, 139-41°, 65; piperidino, Me, H, H, 171-2°, 58; piperidino, Me, H, Cl, 164-6°, 74; piperidino, Me, H, MeO, 146-8°, 80; Et, Ph, H, H, 146-7°, 83; Et, Ph, MeO, MeO, 117-19°, 51; morpholino, Ph, H, H, 170-1°, 82; Et, PhCH2, H, H, 114-16°, 75; Et, PhCH2, H, Cl, 131-2°, 76; Et, PhCH2, H, MeO, 104-6°, 65; morpholino, PhCH2, H, H, 154-5°, 58; Et, Ph2CH, H, H, 170-1°, 90; piperidino, Ph2CH, H, H, 156-8°, 81; and morpholino, Ph2CH, H, H, 153-5°, 80. Also prepared were the following I [R = Et, R1 = p-(Et2NCH2CH2O)C6H4, R2 = H] (R3, m.p., and % yield given): H, 96-7°, 92; MeO, 116-18°, 75; and AcO, 99-102°, 62. Also prepared were (m.p. and % yield given): N-(β-dimethylaminoisopropyl)-α-acetylaminocinnamamide, 130-1°, 64; and N-(β-morpholinoethyl)-α-acetylamino-o-methylcinnamamide, 162-3°, -.

L8 ANSWER 60 OF 61 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1968:444006 CAPLUS
DN 69:44006
TI Reaction of phenylacetylene with nickel carbonyl and lithium dimethylamide
AU Fukuoka, Shinsuke; Ryang, Membo; Tsutsumi, Shigeru
CS Osaka Univ., Osaka, Japan
SO Journal of Organic Chemistry (1968), 33(7), 2973-5
CODEN: JOCEAH; ISSN: 0022-3263
DT Journal
LA English
AB Phenylacetylene is treated with Li-[Me2NCONi(CO)3] (I) to give 2-phenyl-N,N,N',N'-tetramethyl-succinamide, a small amount of N,N-dimethylcinnamide, and traces of triphenylbenzene and all-trans-1,4-diphenylbutadiene. I is prepared from LiNMe2 and Ni(CO)4; I is treated with HgCl2 to give Me2NCOCONMe2 and Me2NCONMe2.

L8 ANSWER 61 OF 61 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1968:432857 CAPLUS
DN 69:32857
TI Spectrophotometric determination of aliphatic amines by acylation with cinnamic anhydride
AU Hong, Wen-Hai; Connors, Kenneth A.
CS Sch. of Pharm., Univ. of Wisconsin, Madison, WI, USA
SO Analytical Chemistry (1968), 40(8), 1273-6
CODEN: ANCHAM; ISSN: 0003-2700
DT Journal
LA English
AB A simple, sensitive method for determining primary and secondary aliphatic amines is based on their conversion to N-substituted **cinnamides** by reaction with trans-cinnamic anhydride. After acylation of the amine in MeCN solution, the excess anhydride is hydrolyzed, the cinnamide is extracted into CHCl3, and the amide is measured spectrophotometrically. The method is applicable to amine samples in the 1-5 μmole range; the standard deviation is 0.5-1.0%. Water and MeOH do not interfere. The kinetics of the acylation and hydrolysis reactions have been studied, and a

correlation can be demonstrated between acylation rate and basicity for many primary amines; sterically hindered amines react more slowly than would be anticipated from their basicity.

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|---|---|---|
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